ACCESS ID # 309633

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Scientific and Technical Information Center

SEARCH REQUEST FORM

#19

Requester's Full Name: Kristin Bianchi Examiner #: [redacted] Date: 9/24/09

Art Unit: 1626 Phone Number: 30523 Serial Number: 10/566,342

Location (Bldg/Room): REM 3E24 (Mail Box #): 9114 Results Format Preferred (circle): PAPER DISK

email or Score plus

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract; or fill out the following:

Title of Invention: Compounds methods & formulations for the amidation of a glucagon-like peptide 1 (GLP-1) compound or a melanocortin-4 receptor (MC4R) agonist peptide

Inventor (please provide full names): Robert Heer, Louis Dunghim, John McGill, Kenneth Thornber, and Muralikrishna Unkeri

Earliest Priority Date: 8/20/03

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

please search claim 6 along w/ any obvious variants (i.e., homologs or n = 1-8; positional isomers or R-sitions of R1 & R2 on phenyl; hydrogen versus methyl, etc.)

```
=> fil cap
FILE 'CAPLUS' ENTERED AT 15:26:19 ON 24 SEP 2009
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FILE COVERS 1907 - 24 Sep 2009 VOL 151 ISS 13
FILE LAST UPDATED: 23 Sep 2009 (20090923/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> d que l24
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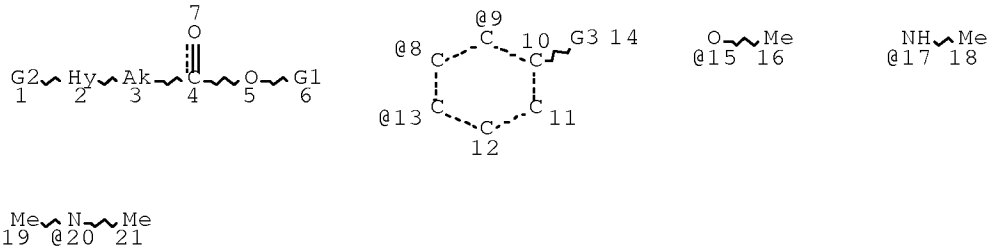

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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
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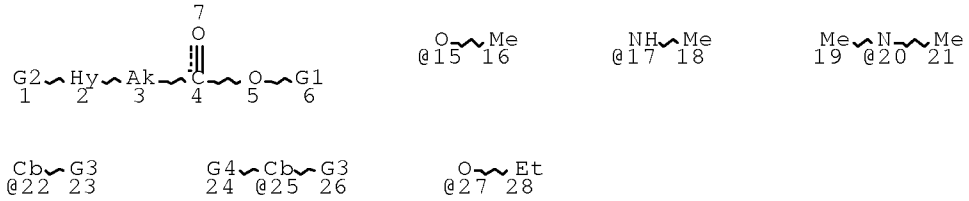

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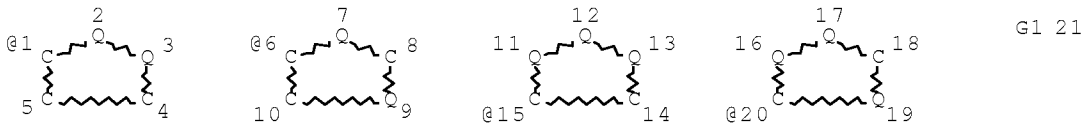

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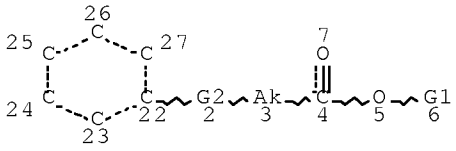

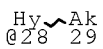

Hy @30

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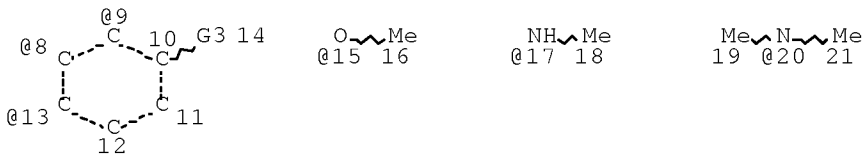

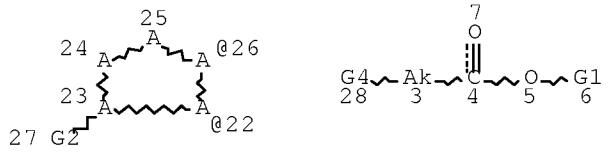

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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 26

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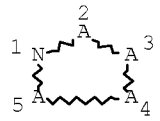

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=> d l24 ibib abs hitstr tot

L24 ANSWER 1 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:369265 CAPLUS Full-text
DOCUMENT NUMBER: 142:423892
TITLE: Alanyl aminopeptidase inhibitors for functionally influencing different cells and treating immunological, inflammatory, neuronal, and other diseases
INVENTOR(S): Ansorge, Siegfried; Bank, Ute; Nordhoff, Karsten; Tager, Michael; Striggow, Frank
PATENT ASSIGNEE(S): Institut Fur Medizintechnologie Magdeburg GmbH IMTM, Germany; Keyneurotek AG
SOURCE: PCT Int. Appl., 332 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037257	A2	20050428	WO 2004-EP11643	20041015 <--
WO 2005037257	A3	20060914		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10348023	A1	20050519	DE 2003-10348023	20031015 <--
AU 2004281536	A1	20050428	AU 2004-281536	20041015 <--
AU 2004281536	B2	20090709		
CA 2542723	A1	20050428	CA 2004-2542723	20041015 <--
EP 1673075	A2	20060628	EP 2004-790485	20041015 <--
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CN 1897928	A	20070117	CN 2004-80036456	20041015 <--
JP 2007508349	T	20070405	JP 2006-534706	20041015 <--
US 20070037752	A1	20070215	US 2006-575882	20060915 <--
PRIORITY APPLN. INFO.:			DE 2003-10348023 A	20031015 <--
			WO 2004-EP11643 W	20041015

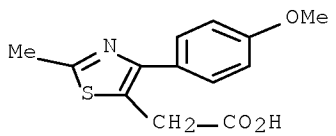
OTHER SOURCE(S): MARPAT 142:423892

AB The invention discloses substances which specifically inhibit peptidases splitting ala-p-nitroanilide for use in medicine. The invention further discloses the use of at least one such substance or at least one pharmaceutical or cosmetic composition containing such a substance for preventing and treating diseases, especially diseases with an overshooting immune response (autoimmune diseases, allergies, and transplant rejections), other chronic inflammatory diseases, neuronal diseases, brain damage, skin diseases (acne and psoriasis, among others), tumors, and special viral infections (including SARS).

IT 553629-28-0
RL: DEV (Device component use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alanyl aminopeptidase inhibitors for treatment of immunol., inflammatory, neuronal, and other diseases)

RN 553629-28-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:182636 CAPLUS Full-text

DOCUMENT NUMBER: 142:280192

TITLE: Preparation of aryl-substituted heterocyclylalkanoic acid derivatives for the oral delivery of a glucagon like peptide-1 compound or a melanocortin 4 receptor agonist peptide

INVENTOR(S): Herr, Robert Jason; Jungheim, Louis Nickolaus; McGill, John McNeill, III; Thrasher, Kenneth Jeff; Valluri, Muralikrishna

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2005019184	A1	20050303	WO 2004-US24386		20040818	<--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
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EP 1658273	A1	20060524	EP 2004-779446		20040818	<--
EP 1658273	B1	20070103				
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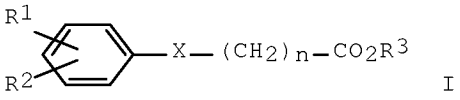
JP 2007502816	T	20070215	JP 2006-523865		20040818	<--
AT 361294	T	20070515	AT 2004-779447		20040818	<--
ES 2278346	T3	20070801	ES 2004-779446		20040818	<--
ES 2286679	T3	20071201	ES 2004-779447		20040818	<--
US 20080214448	A1	20080904	US 2006-566342		20060127	<--
PRIORITY APPLN. INFO.:			US 2003-496537P	P	20030820	<--
			WO 2004-US24386	W	20040818	

OTHER SOURCE(S): CASREACT 142:280192; MARPAT 142:280192
GI



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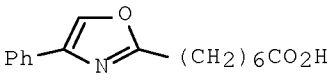

II

AB The present invention relates to novel title compds. I (R1, R2 = independently H, OH, CN, C1-6 alkyl, C1-6 alkoxy, CF3, NR4R4'; R3 = H, C1-6 alkyl; R4 = H, COR5, SO2R6, C1-6 alkyl; R4' = H, C1-6 alkyl; R5 = H, C1-6 alkyl, R6 = H, C1-6 alkyl; X = 5-membered heterocycle optionally substituted with C1-4 alkyl containing at least 2-3 N, O, or S atoms wherein at least one heteroatom is N and said heterocycle is not 1,3,4-oxadiazole; n = 2-7) or a pharmaceutical salt thereof, as well as methods and formulations useful for the oral delivery of a GLP-1 compound or an MC4 agonist peptide. Thus, esterification of HO2C(CH2)6CO2Me with 2-bromoacetophenone and subsequent cyclocondensation with

acetamide and saponification gave oxazole II. Formulations of prepared compds. I for oral delivery of glucagon like peptide-1 derivs. and melanocortin 4 receptor agonist peptides are given.

IT 847265-21-8P 847265-62-7P 847265-83-2P
847265-89-8P 847266-04-0P 847266-13-1P
847266-16-4P 847266-21-1P 847266-24-4P
847266-33-5P 847266-45-9P 847266-47-1P
847266-48-2P 847266-49-3P 847266-50-6P
847266-61-9P 847266-65-3P 847266-70-0P
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847266-76-6P 847266-77-7P 847266-78-8P
847266-80-2P 847266-82-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl-substituted heterocyclylalkanoic acid derivs. for oral delivery of glucagon like peptide-1 compound or melanocortin 4 receptor agonist peptide)

RN 847265-21-8 CAPLUS
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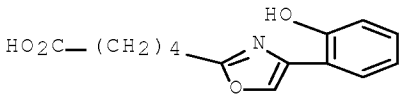

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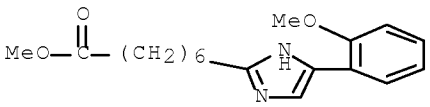

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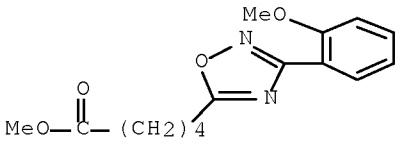

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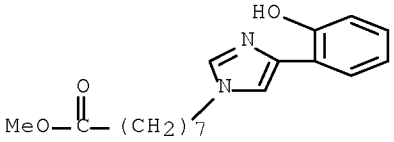

RN 847266-04-0 CAPLUS
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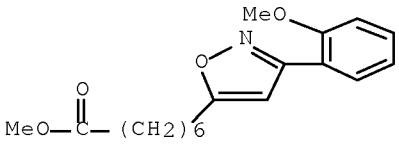

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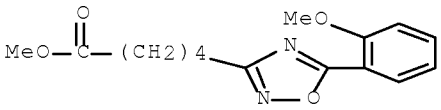

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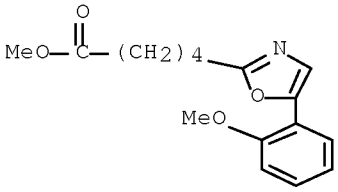
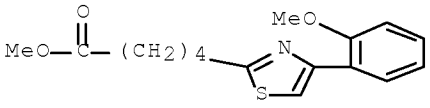


RN 847266-21-1 CAPLUS
CN 2-Thiazolepentanoic acid, 4-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



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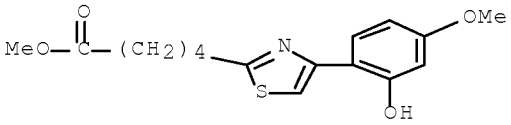

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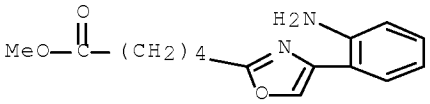

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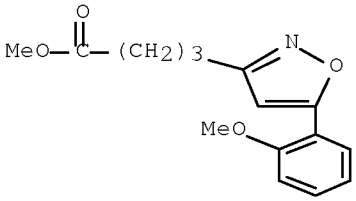
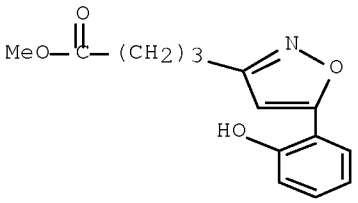
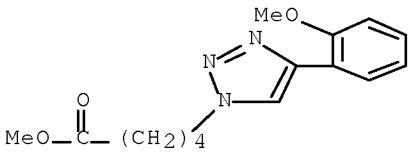


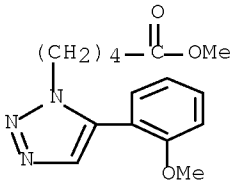
RN 847266-47-1 CAPLUS
CN 3-Isoxazolebutanoic acid, 5-(2-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



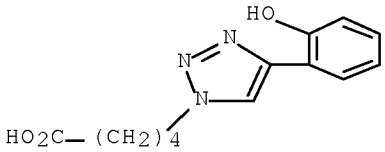
RN 847266-48-2 CAPLUS
CN 1H-1,2,3-Triazole-1-pentanoic acid, 4-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



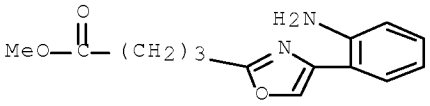
RN 847266-49-3 CAPLUS
CN 1H-1,2,3-Triazole-1-pentanoic acid, 5-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



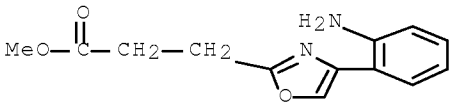
RN 847266-50-6 CAPLUS
CN 1H-1,2,3-Triazole-1-pentanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



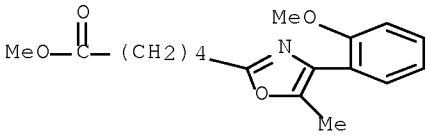
RN 847266-61-9 CAPLUS
CN 2-Oxazolebutanoic acid, 4-(2-aminophenyl)-, methyl ester (CA INDEX NAME)



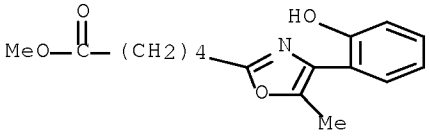
RN 847266-65-3 CAPLUS
CN 2-Oxazolepropanoic acid, 4-(2-aminophenyl)-, methyl ester (CA INDEX NAME)



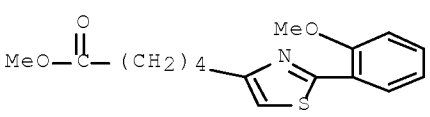
RN 847266-70-0 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



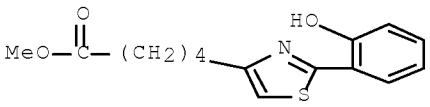
RN 847266-71-1 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-hydroxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



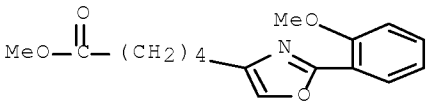
RN 847266-73-3 CAPLUS
CN 4-Thiazolepentanoic acid, 2-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



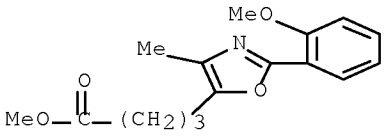
RN 847266-74-4 CAPLUS
CN 4-Thiazolepentanoic acid, 2-(2-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



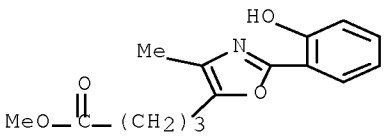
RN 847266-76-6 CAPLUS
CN 4-Oxazolepentanoic acid, 2-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 847266-77-7 CAPLUS
CN 5-Oxazolebutanoic acid, 2-(2-methoxyphenyl)-4-methyl-, methyl ester (CA INDEX NAME)

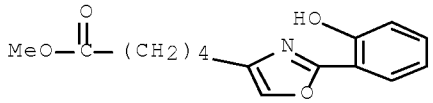


RN 847266-78-8 CAPLUS
CN 5-Oxazolebutanoic acid, 2-(2-hydroxyphenyl)-4-methyl-, methyl ester (CA INDEX NAME)

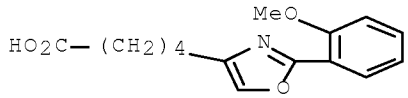


RN 847266-80-2 CAPLUS
CN 4-Oxazolepentanoic acid, 2-(2-hydroxyphenyl)-, methyl ester (CA INDEX

NAME)



RN 847266-82-4 CAPLUS
CN 4-Oxazolepentanoic acid, 2-(2-methoxyphenyl)- (CA INDEX NAME)



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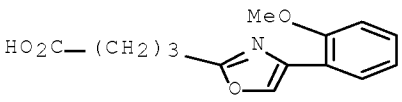
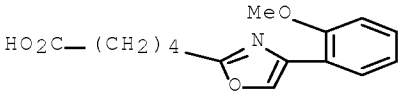
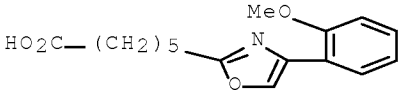
847266-79-9P 847266-81-3P 847266-89-1P
847268-20-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl-substituted heterocyclylalkanoic acid derivs. for oral delivery of glucagon like peptide-1 compound or melanocortin 4 receptor agonist peptide)
RN 847265-18-3 CAPLUS
CN 2-Oxazolebutanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



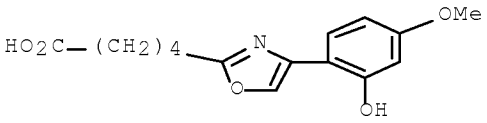
RN 847265-19-4 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



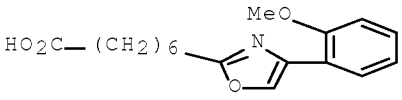
RN 847265-20-7 CAPLUS
CN 2-Oxazolehexanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



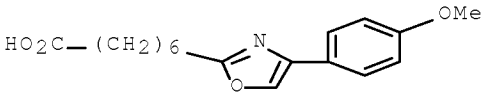
RN 847265-22-9 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



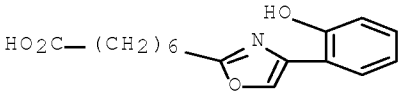
RN 847265-23-0 CAPLUS
CN 2-Oxazoleheptanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



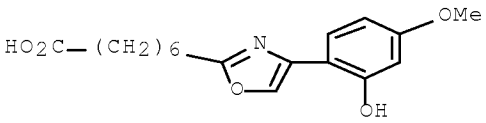
RN 847265-24-1 CAPLUS
CN 2-Oxazoleheptanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



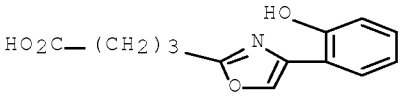
RN 847265-25-2 CAPLUS
CN 2-Oxazoleheptanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



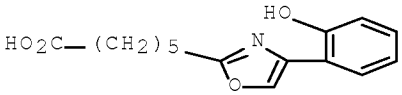
RN 847265-26-3 CAPLUS
CN 2-Oxazoleheptanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



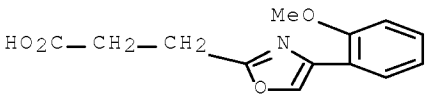
RN 847265-28-5 CAPLUS
CN 2-Oxazolebutanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



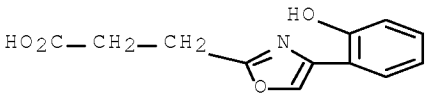
RN 847265-30-9 CAPLUS
CN 2-Oxazolehexanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



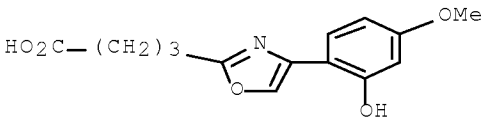
RN 847265-31-0 CAPLUS
CN 2-Oxazolepropanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



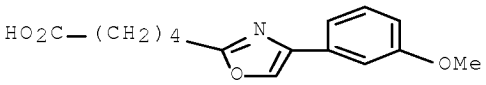
RN 847265-32-1 CAPLUS
CN 2-Oxazolepropanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



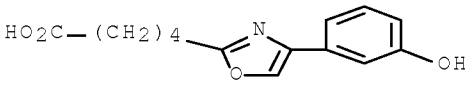
RN 847265-33-2 CAPLUS
CN 2-Oxazolebutanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



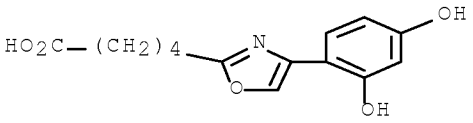
RN 847265-36-5 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(3-methoxyphenyl)- (CA INDEX NAME)



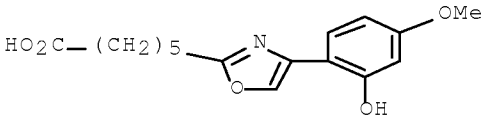
RN 847265-37-6 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(3-hydroxyphenyl)- (CA INDEX NAME)



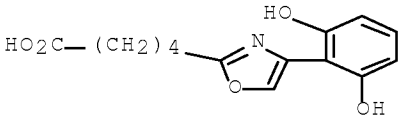
RN 847265-38-7 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2,4-dihydroxyphenyl)- (CA INDEX NAME)



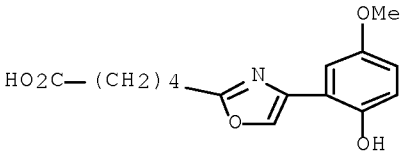
RN 847265-39-8 CAPLUS
CN 2-Oxazolehexanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



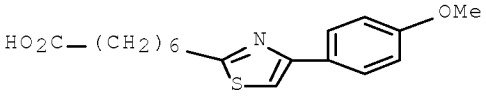
RN 847265-40-1 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2,6-dihydroxyphenyl)- (CA INDEX NAME)



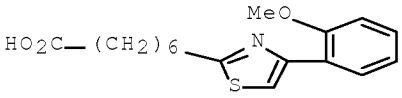
RN 847265-45-6 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-hydroxy-5-methoxyphenyl)- (CA INDEX NAME)



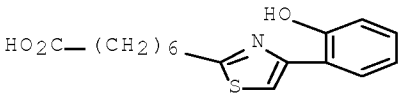
RN 847265-46-7 CAPLUS
CN 2-Thiazoleheptanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



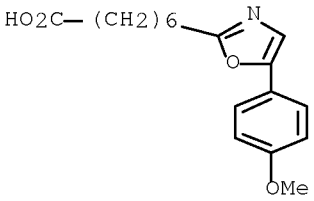
RN 847265-47-8 CAPLUS
CN 2-Thiazoleheptanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



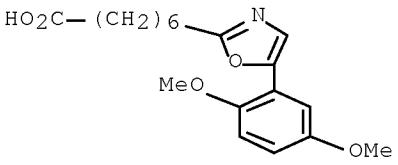
RN 847265-48-9 CAPLUS
CN 2-Thiazoleheptanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



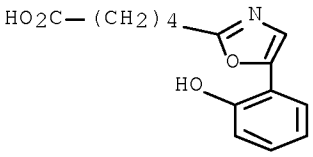
RN 847265-50-3 CAPLUS
CN 2-Oxazoleheptanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



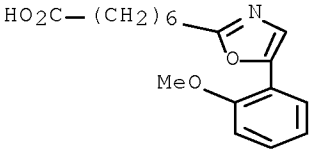
RN 847265-52-5 CAPLUS
CN 2-Oxazoleheptanoic acid, 5-(2,5-dimethoxyphenyl)- (CA INDEX NAME)



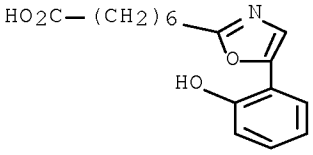
RN 847265-53-6 CAPLUS
CN 2-Oxazolepentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



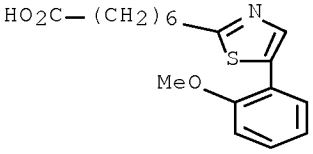
RN 847265-54-7 CAPLUS
CN 2-Oxazoleheptanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



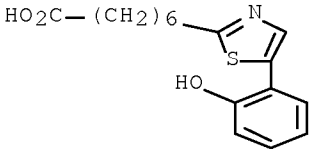
RN 847265-55-8 CAPLUS
CN 2-Oxazoleheptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



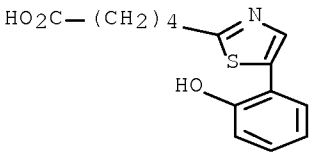
RN 847265-59-2 CAPLUS
CN 2-Thiazoleheptanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



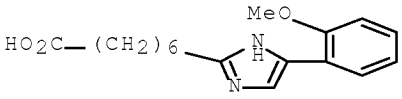
RN 847265-60-5 CAPLUS
CN 2-Thiazoleheptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



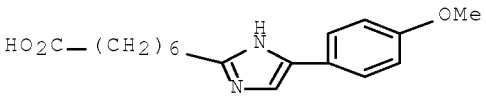
RN 847265-61-6 CAPLUS
CN 2-Thiazolepentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



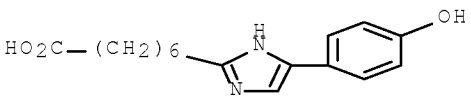
RN 847265-63-8 CAPLUS
CN 1H-Imidazole-2-heptanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



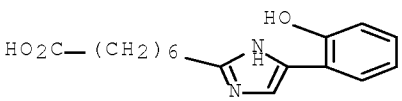
RN 847265-65-0 CAPLUS
CN 1H-Imidazole-2-heptanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



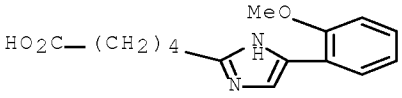
RN 847265-66-1 CAPLUS
CN 1H-Imidazole-2-heptanoic acid, 5-(4-hydroxyphenyl)- (CA INDEX NAME)



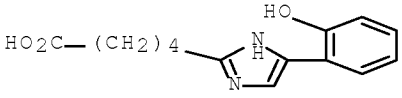
RN 847265-67-2 CAPLUS
CN 1H-Imidazole-2-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



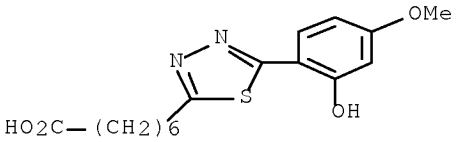
RN 847265-68-3 CAPLUS
CN 1H-Imidazole-2-pentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



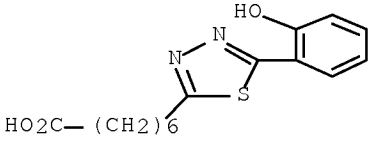
RN 847265-69-4 CAPLUS
CN 1H-Imidazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



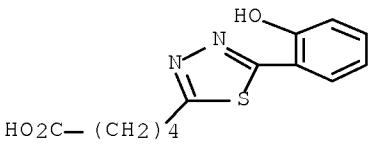
RN 847265-72-9 CAPLUS
CN 1,3,4-Thiadiazole-2-heptanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



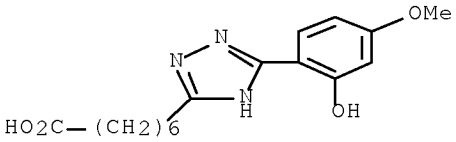
RN 847265-73-0 CAPLUS
CN 1,3,4-Thiadiazole-2-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



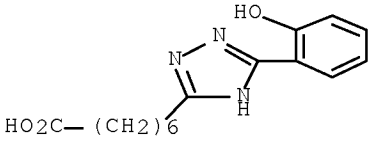
RN 847265-75-2 CAPLUS
CN 1,3,4-Thiadiazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



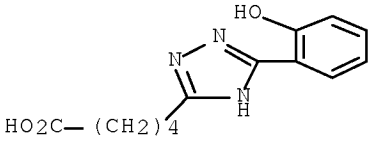
RN 847265-78-5 CAPLUS
CN 1H-1,2,4-Triazole-3-heptanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



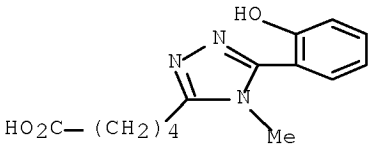
RN 847265-79-6 CAPLUS
CN 1H-1,2,4-Triazole-3-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



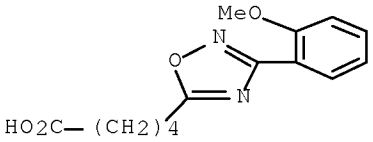
RN 847265-81-0 CAPLUS
CN 1H-1,2,4-Triazole-3-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



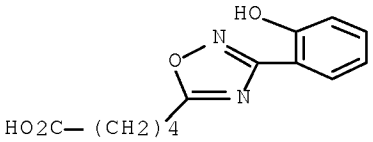
RN 847265-82-1 CAPLUS
CN 4H-1,2,4-Triazole-3-pentanoic acid, 5-(2-hydroxyphenyl)-4-methyl- (CA INDEX NAME)



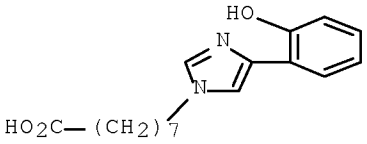
RN 847265-84-3 CAPLUS
CN 1,2,4-Oxadiazole-5-pentanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



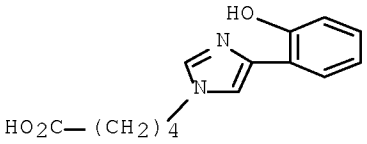
RN 847265-85-4 CAPLUS
CN 1,2,4-Oxadiazole-5-pentanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



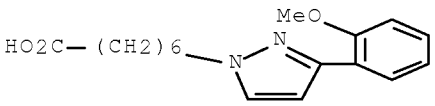
RN 847265-90-1 CAPLUS
CN 1H-Imidazole-1-octanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



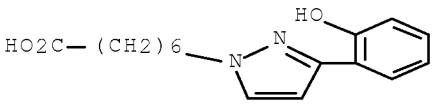
RN 847265-92-3 CAPLUS
CN 1H-Imidazole-1-pentanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



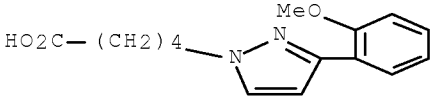
RN 847265-95-6 CAPLUS
CN 1H-Pyrazole-1-heptanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



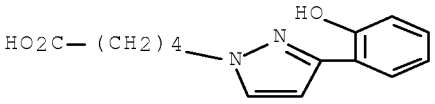
RN 847265-96-7 CAPLUS
CN 1H-Pyrazole-1-heptanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



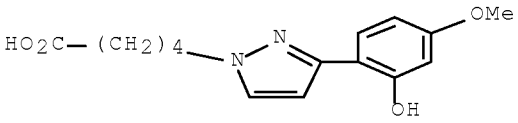
RN 847265-99-0 CAPLUS
CN 1H-Pyrazole-1-pentanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



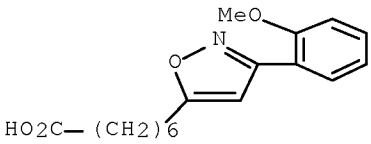
RN 847266-00-6 CAPLUS
CN 1H-Pyrazole-1-pentanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



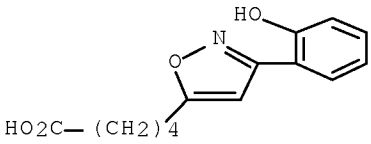
RN 847266-01-7 CAPLUS
CN 1H-Pyrazole-1-pentanoic acid, 3-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



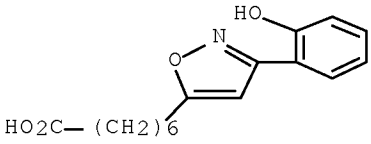
RN 847266-05-1 CAPLUS
CN 5-Isoxazoleheptanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



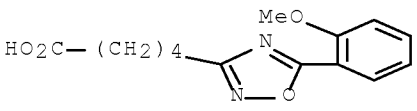
RN 847266-06-2 CAPLUS
CN 5-Isoxazolepentanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



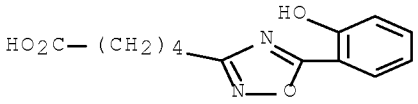
RN 847266-11-9 CAPLUS
CN 5-Isoxazoleheptanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



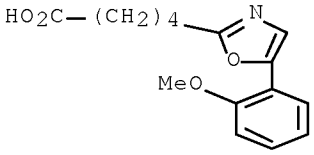
RN 847266-14-2 CAPLUS
CN 1,2,4-Oxadiazole-3-pentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



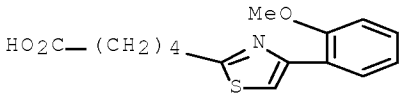
RN 847266-15-3 CAPLUS
CN 1,2,4-Oxadiazole-3-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



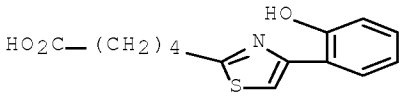
RN 847266-17-5 CAPLUS
CN 2-Oxazolepentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



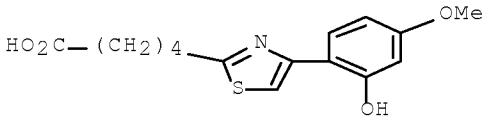
RN 847266-22-2 CAPLUS
CN 2-Thiazolepentanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



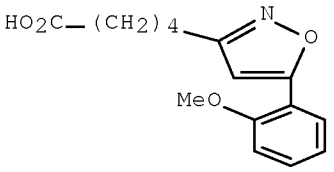
RN 847266-23-3 CAPLUS
CN 2-Thiazolepentanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



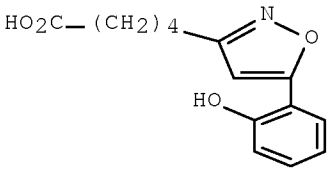
RN 847266-25-5 CAPLUS
CN 2-Thiazolepentanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



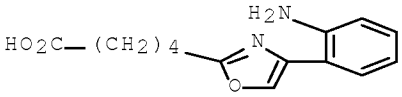
RN 847266-27-7 CAPLUS
CN 3-Isoxazolepentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



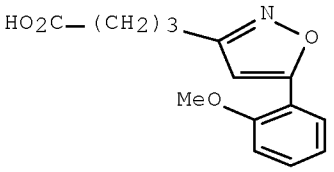
RN 847266-29-9 CAPLUS
CN 3-Isoxazolepentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



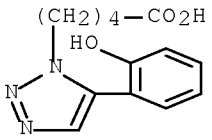
RN 847266-34-6 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



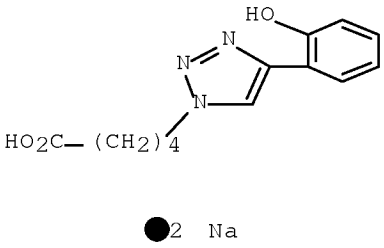
RN 847266-46-0 CAPLUS
CN 3-Isoxazolebutanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



RN 847266-51-7 CAPLUS
CN 1H-1,2,3-Triazole-1-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)

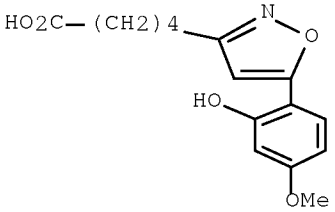


RN 847266-52-8 CAPLUS
CN 1H-1,2,3-Triazole-1-pentanoic acid, 4-(2-hydroxyphenyl)-, sodium salt (1:2) (CA INDEX NAME)

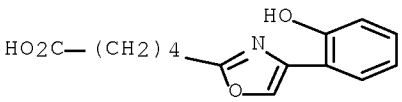


●2 Na

RN 847266-56-2 CAPLUS
CN 3-Isoxazolepentanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



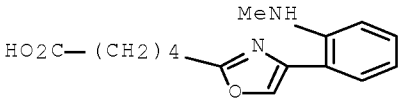
RN 847266-57-3 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-hydroxyphenyl)-, sodium salt (1:2) (CA INDEX NAME)



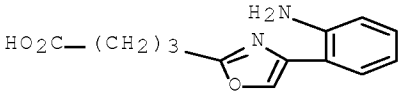
●2 Na

RN 847266-60-8 CAPLUS

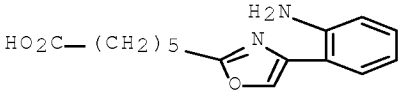
CN 2-Oxazolepentanoic acid, 4-[2-(methylamino)phenyl]- (CA INDEX NAME)



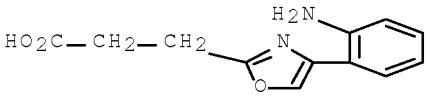
RN 847266-62-0 CAPLUS
CN 2-Oxazolebutanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



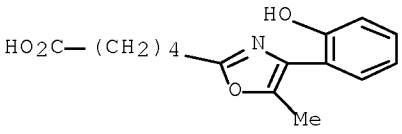
RN 847266-64-2 CAPLUS
CN 2-Oxazolehexanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



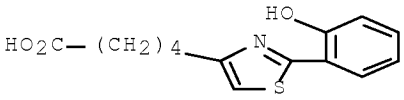
RN 847266-66-4 CAPLUS
CN 2-Oxazolepropanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



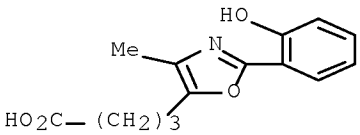
RN 847266-72-2 CAPLUS
CN 2-Oxazolepentanoic acid, 4-(2-hydroxyphenyl)-5-methyl- (CA INDEX NAME)



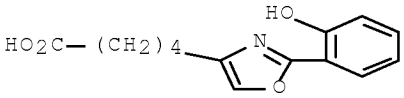
RN 847266-75-5 CAPLUS
CN 4-Thiazolepentanoic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



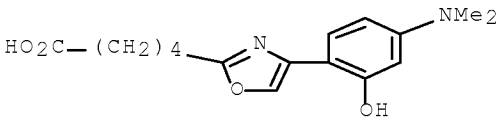
RN 847266-79-9 CAPLUS
CN 5-Oxazolebutanoic acid, 2-(2-hydroxyphenyl)-4-methyl- (CA INDEX NAME)



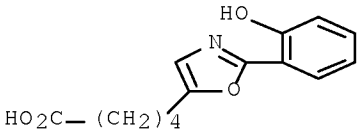
RN 847266-81-3 CAPLUS
CN 4-Oxazolepentanoic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 847266-89-1 CAPLUS
CN 2-Oxazolepentanoic acid, 4-[4-(dimethylamino)-2-hydroxyphenyl]- (CA INDEX NAME)



RN 847268-20-6 CAPLUS
CN 5-Oxazolepentanoic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)

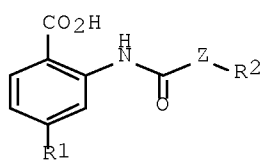


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:158627 CAPLUS Full-text
DOCUMENT NUMBER: 142:261304
TITLE: Preparation of anthranilic acid derivatives as selective agonists of the nicotinic acid receptor HM74A
INVENTOR(S): Campbell, Mathew; Hatley, Richard Jonathan; Heer, Jag Paul; Mason, Andrew McMurtrie; Nicholson, Neville Hubert; Pinto, Ivan Leo; Rahman, Shahzad Sharooq; Smith, Ian Edward David
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016870	A1	20050224	WO 2004-GB3528	20040813 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670749	A1	20060621	EP 2004-768088	20040813 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007502264	T	20070208	JP 2006-523062	20040813 <--

PRIORITY APPLN. INFO.: GB 2003-19124 A 20030814 <--
WO 2004-GB3528 W 20040813
OTHER SOURCE(S): CASREACT 142:261304; MARPAT 142:261304
GI



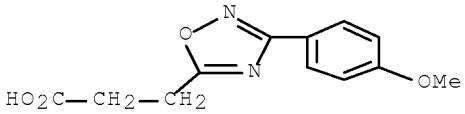
I

AB Therapeutically active anthranilic acid derivs. I [R1 = H, halo, alkyl; R2 = 5-6 membered aryl, heteroaryl, heterocyclyl, alicyclic ring; Z = (CH2)q, CH:CH, (CH2)nO, etc.; q = 1-4; n = 2-4], processes for the preparation of said compds. I, pharmaceutical formulations containing the active compds. and the use of the compds. in therapy, particularly in the treatment of diseases in which under-activation of the HM74A receptor contributes to the disease or in which activation of the receptor will be beneficial, are disclosed. Over sixty compds. I were prepared E.g., a 3-step synthesis of I [R1 = H; R2 = 3'-methoxybiphenylyl; Z = CH2O], starting from Me anthranilate, was given. The compds. I showed EC50 of 5.0 or greater and efficacy of 30% or greater in HM74A in-vitro assays.

IT 94192-18-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anthranilic acid derivs. as selective agonists of the nicotinic acid receptor HM74A for treating lipid metabolic diseases)

RN 94192-18-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)

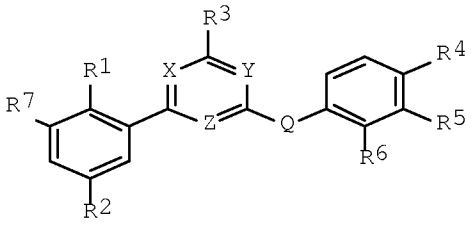


OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

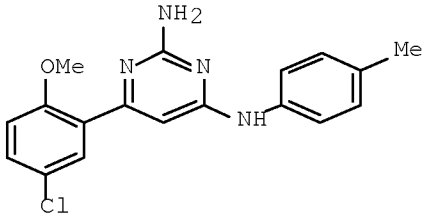
L24 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:857162 CAPLUS Full-text
DOCUMENT NUMBER: 141:350185
TITLE: Preparation of pyrimidine derivatives with lysophosphatidic acid acyltransferase β (LPAAT-β) inhibitory activity
INVENTOR(S): Bhatt, Rama; Gong, Baoqing; Hong, Feng; Jenkins, Scott A.; Klein, J. Peter; Kohm, Cory T.; Tulinsky, John
PATENT ASSIGNEE(S): Cell Therapeutics, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 80 pp., which
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 20040204386	A1	20041014	US 2003-671070		20030924	<--
US 7419984	B2	20080902				
US 20090099183	A1	20090416	US 2008-194192		20080819	<--
PRIORITY APPLN. INFO.:			US 2002-419694P	P	20021017	<--
			US 2003-460776P	P	20030404	<--
			US 2003-671070	A1	20030924	<--

OTHER SOURCE(S): CASREACT 141:350185; MARPAT 141:350185
GI



I



II

AB The title compds. I [X, Y, Z = N, CH, or CR with the proviso that two of X, Y and Z are N; R = alkyl, alkoxy, Cl, Br, (substituted)amino; Q = NR', R'N-(CH2)n, (CH2)n-NR', O, O-(CH2)n, (CH2)n-O, S, S-(CH2)n, or (CH2)n-S; n = 1-10; R' = H or alkyl; R1 = H, OH, alkyl, alkoxy, Cl, F, Br, etc.; R2, R7 = H, OH, alkyl, alkoxy, Cl, F, Br, I, etc.; R3 = H, alkyl, alkoxy, Cl, CCl3, (substituted)amino; R4, R5, R6 = H, OH, alkyl, alkenyl, alkynyl, alkoxy, etc. or R4, R5 or R5, R6 are taken together with benzene ring to form a heterocycle] are prepared as lysophosphatidic acid acyltransferase β (LPAAT-β) inhibitors for the treatment of diseases related to cell proliferation, such as cancer. For example, reaction of 6-chloro-N4-(4-methylphenyl)-pyrimidine-2,4-diamine (preparation given) with 5-chloro-2-methoxy-Ph boronic acid yielded compound II. The latter exhibits an IC50 = 0.12 μM in the LPAAT-β assay.

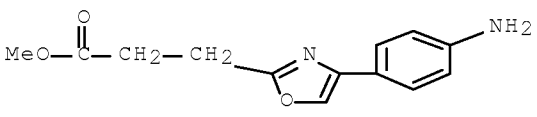
IT 774608-15-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. with lysophosphatidic acid acyltransferase β (LPAAT-β) inhibitory activity)

RN 774608-15-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-aminophenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

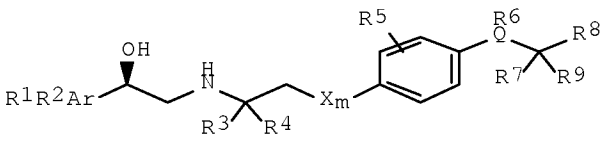
REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:696891 CAPLUS Full-text
DOCUMENT NUMBER: 139:230765
TITLE: Preparation of pyridylethylaminoethoxyphenylazoleacetamides as β3-adrenergic receptor agonists.
INVENTOR(S): Lafontaine, Jennifer Anne; Morgan, Bradley Paul
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003072572	A1	20030904	WO 2003-IB590		20030217 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2476316	A1	20030904	CA 2003-2476316		20030217 <--
AU 2003248356	A1	20030909	AU 2003-248356		20030217 <--
EP 1485379	A1	20041215	EP 2003-742884		20030217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003008070	A	20041221	BR 2003-8070		20030217 <--
JP 2005518448	T	20050623	JP 2003-571278		20030217 <--
US 20030212063	A1	20031113	US 2003-373119		20030224 <--
US 6864268	B2	20050308			
MX 2004007127	A	20050705	MX 2004-7127		20040723 <--
PRIORITY APPLN. INFO.:			US 2002-360251P	P	20020227 <--
			US 2002-432074P	P	20021209 <--
			WO 2003-IB590	W	20030217 <--

OTHER SOURCE(S): MARPAT 139:230765
GI

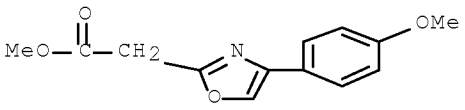


I

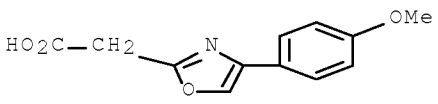
AB Title compds. [I; Ar = Ph, (aromatic) 5-6 membered heterocyclyl containing 1-4 O, S, N, benzo(hetero)cyclyl containing 1-3 O, S, N, heterocyclyl containing 1-3 O, S, N fused to an (aromatic) 5-6 membered heterocyclyl containing 1-3 O, S, N; R1, R2 = H, OH, halo, cyano, NO2, NR1aR2a, NR1aSO2R2a, OR1a, SO2R2a, CF3, cycloalkyl, Ph, NR1aCOR2a, COR2a, alkyl optionally substituted with ≥1 OH, NO2, halo, cyano; R1a, R2a = H, cycloalkyl, Ph optionally substituted with 1-3 halo, alkyl, alkoxy, alkyl optionally substituted with 1-3 OH, F, CO2H, Ph, NR1bR2b; R1b, R2b = H, amino, aminoalkyl, aminoaryl, alkyl optionally substituted with ≥1 OH, alkoxy, F, amino, alkylamino, acyl, cycloalkyl optionally substituted with ≥1 F, alkyl, alkoxy, OH, amino, aminoalkyl, acyl, amido, 3-8 membered (aromatic) heterocyclyl optionally substituted with ≥1 halo, alkyl, alkoxy, OH, amino, aminoalkyl, acyl, amido; R1bR2bN = 3-8 membered (aromatic) heterocyclyl optionally containing 1-2 addnl. O, S, N; R3, R4 = H, alkyl optionally substituted with 1-3 OH, alkoxy, F; R5 = H, alkyl optionally substituted with 1-3 OH, alkoxy, F; R6, R7 = H, halo, alkyl optionally substituted with ≥1 OH, alkoxy, F; R8 = CONR1bR2b, SOR1b, SO2R1b, SO2NR1bR2b, NR1bSO2R2b, CO2R1b; R9 = H, halo, alkoxy, alkyl optionally substituted with ≥1 F, OH, alkoxy; X = O, NH, NR1a, CH2, CH2CH2, CH2O; m = 0, 1; Q = imidazole, oxazole, pyrazole, thiazole], were prepared Thus, (R)-2-chloro-5-oxiranylpyridine and 2-[4-[4-(2-aminoethoxy)phenyl]oxazol-2-yl]-1-pyrrolidin-1-ylethanone (preparation given) were heated at 80° in EtOH for about 16 h to give 54% 2-[4-[4-[2-[2-(6-chloropyridin-3-yl)-2(R)-hydroxyethylamino]ethoxy]phenyl]oxazol-2-yl]-1-pyrrolidin-1-ylethanone. I showed EC50 = 13-155 μM for β3 agonist activity.

IT 595544-45-9P 595544-46-0P 595544-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylethylaminoethoxyphenylazoleacetamides as β3-adrenergic receptor agonists)

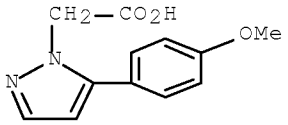
RN 595544-45-9 CAPLUS
CN 2-Oxazoleacetic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 595544-46-0 CAPLUS
CN 2-Oxazoleacetic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



RN 595544-61-9 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:696781 CAPLUS Full-text
DOCUMENT NUMBER: 139:235382
TITLE: Method for administering GLP-1 molecules orally
INVENTOR(S): Khan, Mohammed Amin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Jones, Bryan Edward; McGill, John McNeill
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003072195	A2	20030904	WO 2003-US3111		20030207	<--
WO 2003072195	A3	20040325				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2473340	A1	20030904	CA 2003-2473340		20030207	<--
AU 2003208945	A1	20030909	AU 2003-208945		20030207	<--
AU 2003208945	B2	20080501				
EP 1478233	A2	20041124	EP 2003-707669		20030207	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR 2003007727	A	20050125	BR 2003-7727		20030207	<--
CN 1635832	A	20050706	CN 2003-804365		20030207	<--
CN 1332711	C	20070822				
JP 2005524658	T	20050818	JP 2003-570937		20030207	<--
NZ 534125	A	20061130	NZ 2003-534125		20030207	<--
RU 2332229	C2	20080827	RU 2004-127916		20030207	<--
IN 2004KN01148	A	20060714	IN 2004-KN1148		20040810	<--
US 20050148497	A1	20050707	US 2004-504717		20040817	<--
MX 2004008068	A	20041126	MX 2004-8068		20040819	<--
ZA 2004006626	A	20050922	ZA 2004-6626		20040819	<--
IN 2008DN04056	A	20080801	IN 2008-DN4056		20080512	<--
PRIORITY APPLN. INFO.:			US 2002-358184P	P	20020220	<--
			WO 2003-US3111	W	20030207	<--

IN 2004-KN1148 A3 20040810

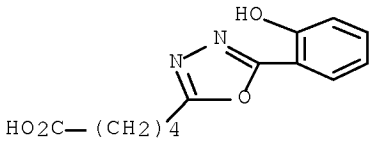
AB The invention encompasses formulations that demonstrate the feasibility of oral absorption comprising GLP-1 compds. and specified delivery agents.

IT 288249-12-7

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(method for administering GLP-1 mols. orally)

RN 288249-12-7 CAPLUS

CN 1,3,4-Oxadiazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:633398 CAPLUS Full-text

DOCUMENT NUMBER: 139:161818

TITLE: Methods of diagnosis, monitoring and treatment of fertility

INVENTOR(S): Ai-Abed, Yousef

PATENT ASSIGNEE(S): North Shore-Long Island Jewish Research Institute, USA

SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003065979	A2	20030814	WO 2002-US38629	20021205 <--
WO 2003065979	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002365941	A1	20030902	AU 2002-365941	20021205 <--
PRIORITY APPLN. INFO.:			US 2001-355755P P	20011205 <--
			WO 2002-US38629 W	20021205 <--

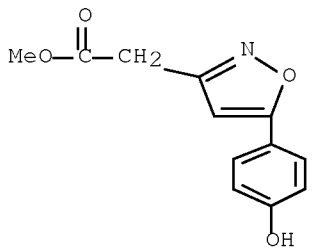
AB The present invention generally relates to methods of using dopachrome tautomerase activity of macrophage migration inhibitory factor (MIF) and related or other proteins present in the seminal fluid (ejaculate) of a male

subject to evaluate fertility and other related diseases. Further utilities relate to the diagnosis and treatment of fertility, to new methods of contraception, and to methods of enhancing artificial insemination and in vitro fertilization.

IT 573984-66-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of diagnosis, monitoring and treatment of fertility)

RN 573984-66-4 CAPLUS

CN 3-Isoxazoleacetic acid, 5-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

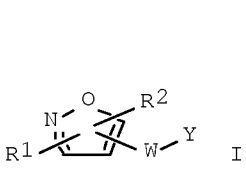
L24 ANSWER 8 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:551377 CAPLUS Full-text
DOCUMENT NUMBER: 139:117427
TITLE: Preparation of 3-(isoxazolyl)propionic acid derivatives as neurotrophic factor production/secretion accelerator
INVENTOR(S): Hazama, Masatoshi; Iwakami, Norihisa; Miyazaki, Takeshi; Sakai, Nozomu; Maekawa, Tsuyoshi; Momose, Yu; Kawamura, Toru
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057215	A1	20030717	WO 2002-JP13654	20021226 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002367426	A1	20030724	AU 2002-367426	20021226 <--
JP 2003261545	A	20030919	JP 2002-375898	20021226 <--

PRIORITY APPLN. INFO.: JP 2001-401380 A 20011228 <--
WO 2002-JP13654 W 20021226 <--

OTHER SOURCE(S): MARPAT 139:117427

GI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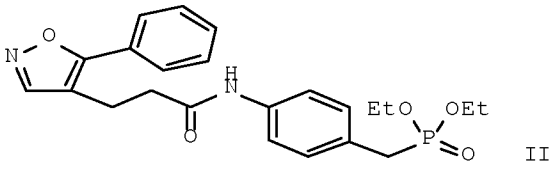
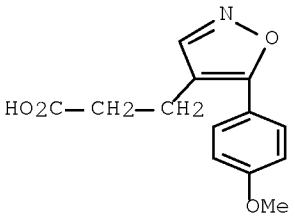

II

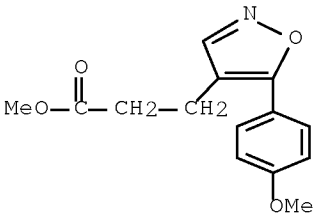
AB The title compds. I [wherein R1 and R2 = independently H or (un)substituted cyclyl; W = a bond or alkylene; Y = OR3; R3 = H, (un)substituted hydrocarbyl, heterocyclyl, or acyl, etc.] and salts and prodrugs thereof are prepared as neurotrophic factor production/secretion accelerator. For example, di-Et 4-aminobenzylphosphonate was reacted with 3-(5-phenyl-4-isoxazolyl)propionic acid (preparation given) in DMF in the presence of dehydrating reagents to afford the amide II (93%). II showed 49% pain feeling increase in rat. Formulations containing I as an active ingredient were also described.

IT 430529-66-1P 430530-74-8P 562084-08-6P
562084-09-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of isoxazolylpropionic acid derivs. as neurotrophic factor production/secretion accelerator)

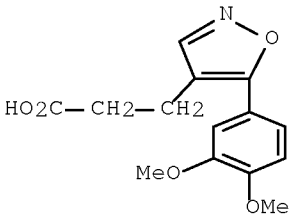
RN 430529-66-1 CAPLUS
CN 4-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



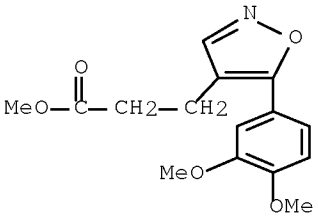
RN 430530-74-8 CAPLUS
CN 4-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 562084-08-6 CAPLUS
CN 4-Isoxazolepropanoic acid, 5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



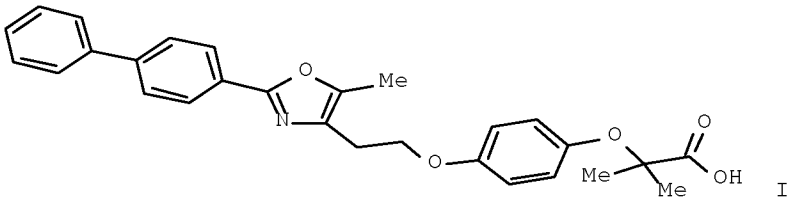
RN 562084-09-7 CAPLUS
CN 4-Isoxazolepropanoic acid, 5-(3,4-dimethoxyphenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:167955 CAPLUS Full-text
DOCUMENT NUMBER: 138:353870
TITLE: Application of the Dakin-West Reaction for the Synthesis of Oxazole-Containing Dual PPARα/γ Agonists
AUTHOR(S): Godfrey, Alexander G.; Brooks, Dawn A.; Hay, Lynne A.; Peters, Mary; McCarthy, James R.; Mitchell, David
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Organic Chemistry (2003), 68(7), 2623-2632
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:353870
GI

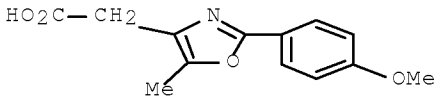


I

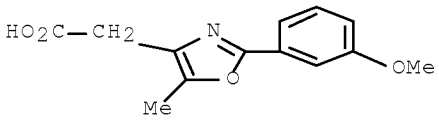
AB An improved method for the preparation of a series of oxazole-containing dual PPARα/γ agonists, e.g., I, is described. A synthetic sequence utilizing a Dakin-West reaction was devised that allows for the introduction of the oxazole ring either late in the synthetic sequence via aminomalonate-derived chemical or in pivotal SAR intermediates derived from aspartic acid.

IT 136058-68-9P 518343-86-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazoles via Dakin West reaction of amino acid derivs. to form keto amides with subsequent cyclodehydration)

RN 136058-68-9 CAPLUS
CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)



RN 518343-86-7 CAPLUS
CN 4-Oxazoleacetic acid, 2-(3-methoxyphenyl)-5-methyl- (CA INDEX NAME)



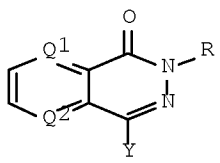
OS.CITING REF COUNT: 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (39 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:154251 CAPLUS Full-text

DOCUMENT NUMBER: 138:205069
TITLE: Preparation of 2H-phthalazin-1-ones as poly(ADP-ribose)polymerase inhibitors for treatment of cancer
INVENTOR(S): Beaton, Graham; Moree, Wilna J.; Rueter, Jaimie K.; Dahl, Russell S.; McElligott, David L.; Goldman, Phyllis; Demaggio, Anthony J.; Christenson, Erik; Herendeen, Dan; Fowler, Kerry W.; Huang, Danwen; Bertino, Jaimie A.; Bourdon, Lisa H.; Fairfax, David J.; Jiang, Qin; Reisch, Helge A.; Song, Ren Hua; Zhichkin, Pavel E.
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003015785	A1	20030227	WO 2002-US26271		20020815 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2456985	A1	20030227	CA 2002-2456985		20020815 <--
AU 2002331621	A1	20030303	AU 2002-331621		20020815 <--
AU 2002331621	B2	20080605			
US 20040087588	A1	20040506	US 2002-222749		20020815 <--
US 6924284	B2	20050802			
EP 1423120	A1	20040602	EP 2002-768596		20020815 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
CN 1568187	A	20050119	CN 2002-820219		20020815 <--
JP 2005501848	T	20050120	JP 2003-520744		20020815 <--
NZ 531245	A	20050930	NZ 2002-531245		20020815 <--
MX 2004001353	A	20041027	MX 2004-1353		20040212 <--
PRIORITY APPLN. INFO.:			US 2001-312540P	P	20010815 <--
			WO 2002-US26271	W	20020815 <--

OTHER SOURCE(S): MARPAT 138:205069
GI



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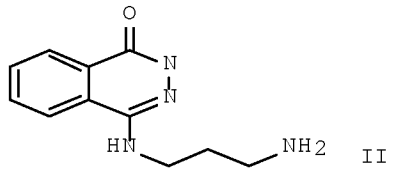


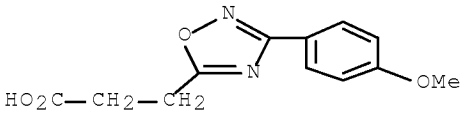
II

AB Title compds. and derivs. thereof I [wherein Q1 and Q2 = independently N or CRa; Ra = H, halo, NO2, or alkyl; R = H, alkyl, or N-protecting group; Y = NR1R2, R3C(=X1)Y1, (alkylene)x- NR11R12NR13[C(=X3)]c(NR14)d(R15)e[C(=X4)]fR16, or NR11R12N=CR20R21; R1, R14, and R20 = independently H or alkyl; R2 = arylcarbonyl, heteroalkyl, cyclo(alkyl), alkenyl, alkynyl, etc.; R3 = alkylene; X1, X3, and X4 = independently O or S; Y1 = NR4R5; R4 = H, (hetero)alkyl, or aralkyl; R5 = (un)substituted aralkyl, heteroalkyl, heterocyclyl, heteroaryl(alkyl), arylsulfonylamino, etc.; x = 0-1; R11 = H, alkyl, or (un)substituted heteroaralkyl; R12 = (cyclo)alkylene, heteroalkylene, aralkylene, or arylene; or NR11R12 = (un)substituted heterocyclyl; c = 0-2; d-f = independently 0-1; R13 = H, alkyl, arylcarbamoylalkylene, etc.; R15 = (hetero)alkylene or alkenylene; R16 = H, (un)substituted (hetero)aryl, (hetero)alkyl, cycloalkyl, aralkoxy, amino, arylsulfonylamino, etc.; R21 = alkyl, or substituted heteroaryl; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors (no data). For example, condensation of 1,3-propanediamine with phthalic anhydride in EtOH gave 3,4-dihydropyrimido[1,2-a]indol-10(2H)-one, which was dissolved in ethylene glycol and reacted with NH2NH2•H2O to afford II (51%). I are useful for radiosensitizing and chemosensitizing tumor cells for the treatment of cancer (no data).

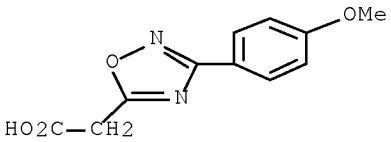
IT 94192-18-4P, 3-[3-(4-Methoxyphenyl)-[1,2,4]oxadiazol-5-yl]propionic acid 500024-33-9P, 2-[3-(4-Methoxyphenyl)-1,2,4-oxadiazol-5-yl]acetic acid 500024-35-1P, 3-[4-(4-Methoxyphenyl)-[1,2,3]triazol-1-yl]propionic acid 500024-37-3P, 3-[5-(4-Methoxyphenyl)isoxazol-3-yl]propionic acid 500025-10-5P, 3-[3-(4-Hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-11-6P, 3-[3-(3-Hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-24-1P, 3-[3-(2,3-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-25-2P, 3-[3-(2,4-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-26-3P, 3-[3-(2,5-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-27-4P, 3-[3-(2,6-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-28-5P, 3-[3-(3,5-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-83-2P, Methyl 3-[3-(4-hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionate 500025-84-3P, Methyl 3-[3-(3-hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionate 500025-86-5P, Methyl 3-[3-(3-Methoxyphenyl)-1,2,4-oxadiazol-5-yl]propionate 500026-33-5P, 3-[3-(3-Methoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-55-1P, 3-[3-(2,4-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-56-2P, 3-[3-(2,3-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-57-3P, 3-[3-(3,5-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-58-4P, 3-[3-(2,5-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-59-5P, 3-[3-(2,6-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-61-9P, 3-[3-(3,4-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phthalazinone PARP inhibitors for treatment of cancer)

RN 94192-18-4 CAPLUS

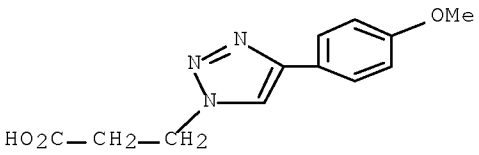
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



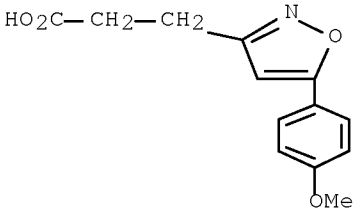
RN 500024-33-9 CAPLUS
CN 1,2,4-Oxadiazole-5-acetic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



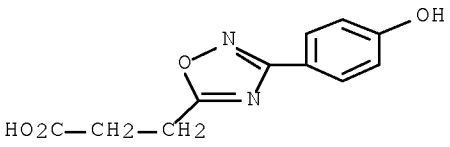
RN 500024-35-1 CAPLUS
CN 1H-1,2,3-Triazole-1-propanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



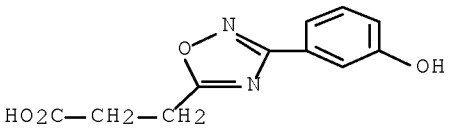
RN 500024-37-3 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



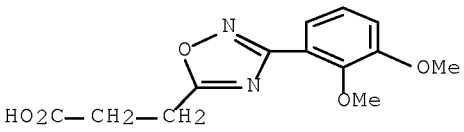
RN 500025-10-5 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-hydroxyphenyl)- (CA INDEX NAME)



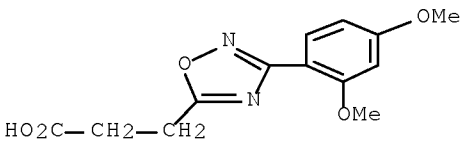
RN 500025-11-6 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-hydroxyphenyl)- (CA INDEX NAME)



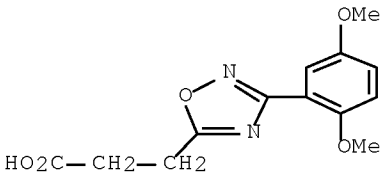
RN 500025-24-1 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,3-dimethoxyphenyl)- (CA INDEX NAME)



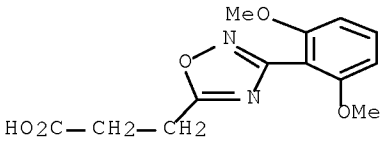
RN 500025-25-2 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,4-dimethoxyphenyl)- (CA INDEX NAME)



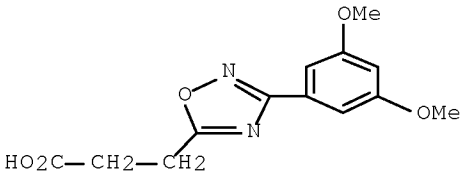
RN 500025-26-3 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,5-dimethoxyphenyl)- (CA INDEX NAME)



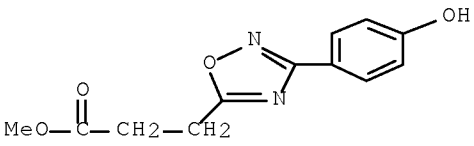
RN 500025-27-4 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,6-dimethoxyphenyl)- (CA INDEX NAME)



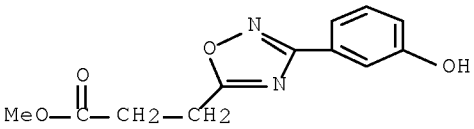
RN 500025-28-5 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3,5-dimethoxyphenyl)- (CA INDEX NAME)



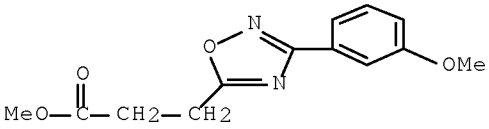
RN 500025-83-2 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



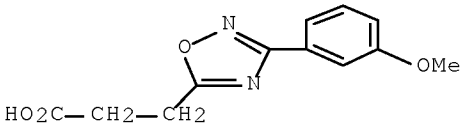
RN 500025-84-3 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



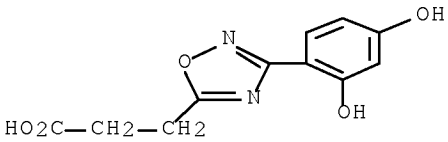
RN 500025-86-5 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-methoxyphenyl)-, methyl ester (CA INDEX NAME)



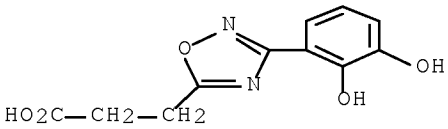
RN 500026-33-5 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-methoxyphenyl)- (CA INDEX NAME)



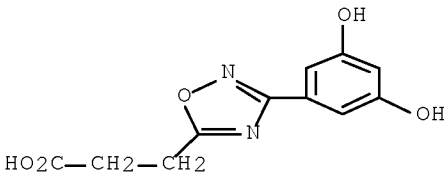
RN 500026-55-1 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,4-dihydroxyphenyl)- (CA INDEX NAME)



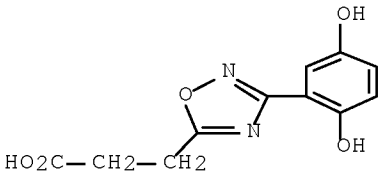
RN 500026-56-2 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,3-dihydroxyphenyl)- (CA INDEX NAME)



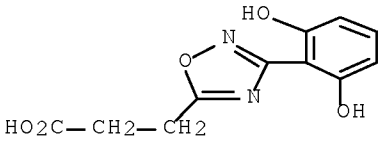
RN 500026-57-3 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3,5-dihydroxyphenyl)- (CA INDEX NAME)



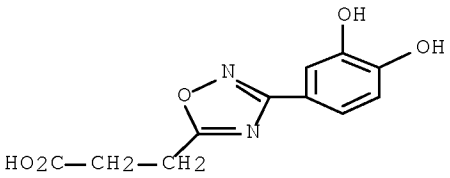
RN 500026-58-4 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,5-dihydroxyphenyl)- (CA INDEX NAME)



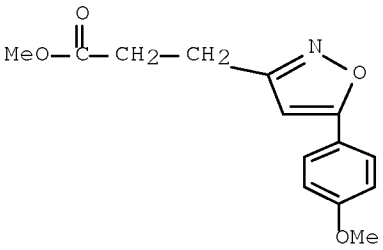
RN 500026-59-5 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,6-dihydroxyphenyl)- (CA INDEX NAME)



RN 500026-61-9 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3,4-dihydroxyphenyl)- (CA INDEX NAME)



IT 500024-36-2, 3-[5-(4-Methoxyphenyl)isoxazol-3-yl]propionic acid methyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phthalazinone PARP inhibitors for treatment of cancer)
RN 500024-36-2 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:964126 CAPLUS Full-text
DOCUMENT NUMBER: 138:33331
TITLE: Isoxazoline compounds having macrophage migration inhibitory factor (MIF) antagonist activity
INVENTOR(S): Al-Abed, Yousef
PATENT ASSIGNEE(S): The Picower Institute for Medical Research, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100332	A2	20021219	WO 2002-US17898	20020610 <--
WO 2002100332	A3	20030403		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

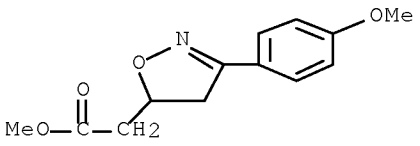
CA 2450589	A1	20021219	CA 2002-2450589	20020610 <--
AU 2002314944	A1	20021223	AU 2002-314944	20020610 <--
AU 2002314944	B2	20080306		
EP 1411930	A2	20040428	EP 2002-741873	20020610 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534054	T	20041111	JP 2003-503159	20020610 <--
US 20040204464	A1	20041014	US 2004-828240	20040421 <--
PRIORITY APPLN. INFO.:			US 2001-296478P	P 20010608 <--
			US 2002-164630	A1 20020610 <--
			WO 2002-US17898	W 20020610 <--

OTHER SOURCE(S): MARPAT 138:33331

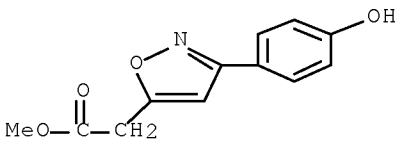
AB Methods of use and pharmaceutical compns. for a genus of low mol. weight compds. comprising an optionally substituted isoxazoline ring system and that act as inhibitors of MIF (macrophage migration inhibitory factor) are disclosed. Specifically, the compds. are useful for treating a variety of diseases involving inflammatory activity or pro-inflammatory cytokine responses, e.g. autoimmune diseases (including rheumatoid arthritis, insulin-dependent diabetes, multiple sclerosis, graft vs. host disease, lupus syndromes), asthma, arthritis, ARDS, psoriasis, interleukin-2 toxicity, proliferative vascular disease, and various forms of sepsis and septic shock, as well as other conditions characterized by underlying MIF responses including e.g. tumor growth and neovascularization (angiogenesis). Compound preparation is described.

IT 211388-28-2 478336-93-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(isoxazoline compound MIF antagonists, and therapeutic use)

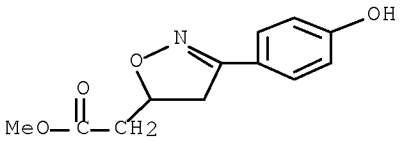
RN 211388-28-2 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 478336-93-5 CAPLUS
CN 5-Isoxazoleacetic acid, 3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)

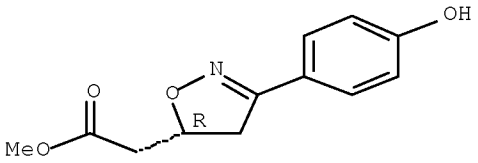


IT 478336-92-4P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isoxazoline compound MIF antagonists, and therapeutic use)
RN 478336-92-4 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



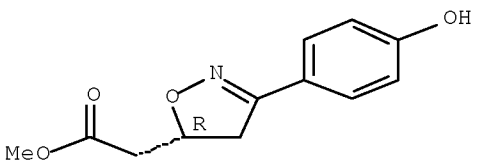
IT 478336-88-8 478336-88-8D, esters
478336-90-2D, esters
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(isoxazoline compound MIF antagonists, and therapeutic use)
RN 478336-88-8 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 478336-88-8 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester, (5R)- (CA INDEX NAME)

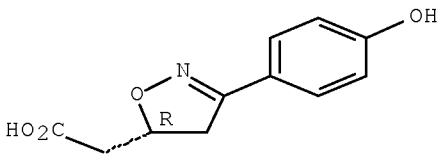
Absolute stereochemistry.



RN 478336-90-2 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, (5R)- (CA INDEX

NAME)

Absolute stereochemistry.



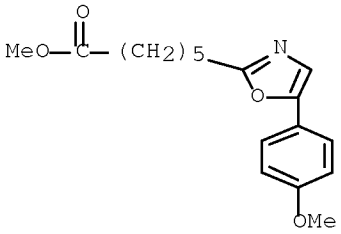
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:907188 CAPLUS Full-text
DOCUMENT NUMBER: 138:1673
TITLE: Inhibitors of histone deacetylase and their therapeutic use
INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 49 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20020177594	A1	20021128	US 2001-45747		20011026 <--
PRIORITY APPLN. INFO.:			US 2001-275770P	P	20010314 <--
			US 2001-308435P	P	20010726 <--

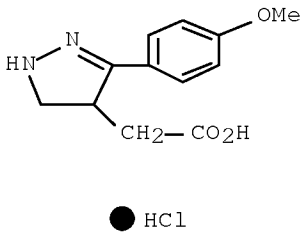
OTHER SOURCE(S): MARPAT 138:1673
AB Compds. having the formula (R4L2)nL1CR1R2R3 (n = 1,2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, alkylene-CONR5-alkylene, alkylene-O-alkylene; L2 = bond, C2-alkenylene, O, S, SO2, OC(:O)NR5, NR6C:O, C(:O)NR6, SO2NR6, NR6SO2, C(:N)O, NR6C(:O)NR6, C(:O)NR6NR6C:O; R1 = alkanoyl, alkoxycarbonyl, aminocarbonyl, carboxy, haloalkyl, heterocycle; R2,R3 = OH or R2,R3 together = oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl; R5,R6 = hydrogen, alkyl, aryl, arylalkyl; R4,R6 and N to which they are attached = heterocycle) or therapeutically acceptable salts thereof, are histone deacetylase (HDAC) inhibitors. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed. Thus, more than 200 histone deacetylase inhibitors (no data) were synthesized.
IT 436152-26-0P, Methyl 6-(5-(4-methoxyphenyl)-1,3-oxazol-2-yl)hexanoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibitors of histone deacetylase and their therapeutic use)
RN 436152-26-0 CAPLUS
CN 2-Oxazolehexanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX

NAME)

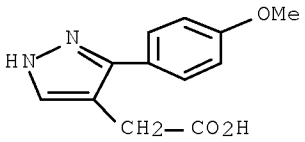


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L24 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:855864 CAPLUS Full-text
DOCUMENT NUMBER: 139:214344
TITLE: Product class 1: pyrazoles
AUTHOR(S): Stanovnik, B.; Svete, J.
CORPORATE SOURCE: Faculty of Chemistry and Chemical Technology, Division of Organic Chemistry, Ljubljana, 61000, Slovenia
SOURCE: Science of Synthesis (2002), 12, 15-225
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Methods for preparing pyrazoles are reviewed including cyclization, ring transformation, aromatization and substituent modifications.
IT 127847-41-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazoles via cyclization, ring transformation, aromatization and substituent modifications)
RN 127847-41-0 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



IT 591234-50-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazoles via cyclization, ring transformation, aromatization and substituent modifications)
RN 591234-50-3 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)

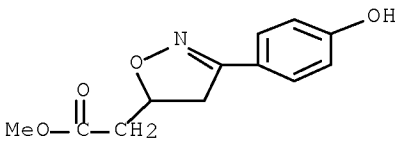


OS.CITING REF COUNT: 49 THERE ARE 49 CAPLUS RECORDS THAT CITE THIS RECORD (49 CITINGS)
REFERENCE COUNT: 909 THERE ARE 909 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:541158 CAPLUS Full-text
DOCUMENT NUMBER: 138:163143
TITLE: The tautomerase active site of macrophage migration inhibitory factor is a potential target for discovery of novel anti-inflammatory agents
AUTHOR(S): Lubetsky, Jodi B.; Dios, Angeles; Han, Jialian; Aljabari, Bayan; Ruzsicska, Bela; Mitchell, Robert; Lolis, Elias; Al-Abed, Yousef
CORPORATE SOURCE: Departments of Pharmacology and Molecular Biophysics and Biochemistry, Yale University School of Medicine, New Haven, CT, 06510, USA
SOURCE: Journal of Biological Chemistry (2002), 277(28), 24976-24982
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Macrophage migration inhibitory factor (MIF) is an immunoregulatory protein that is a potential therapeutic target for a number of inflammatory diseases. Evidence exists that an unexpected catalytic active site of MIF may have a biol. function. To gain further insight into the role of the catalytic active site, a series of mutational, structural, and biol. activity studies were performed. The insertion of an alanine between Pro-1 and Met-2 (PAM) abolishes a non-physiol. catalytic activity, and this mutant is defective in the in vitro glucocorticoid counter-regulatory activity of MIF. The crystal structure of MIF complexed to (S,R)-3-(4-hydroxyphenyl)-4,5-dihydro-5-isoxazole acetic acid Me ester (ISO-1), an inhibitor of MIF D-dopachrome tautomerase activity, reveals that ISO-1 binds to the same position of the active site as p-hydroxyphenylpyruvic acid, a substrate of MIF. ISO-1 inhibits several MIF biol. activities, further establishing a role for the catalytic active site of MIF.

IT 478336-92-4
RL: PAC (Pharmacological activity); BIOL (Biological study)
(the tautomerase active site of macrophage migration inhibitory factor is a potential target for discovery of novel anti-inflammatory agents)
RN 478336-92-4 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 61 THERE ARE 61 CAPLUS RECORDS THAT CITE THIS RECORD (61 CITINGS)
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

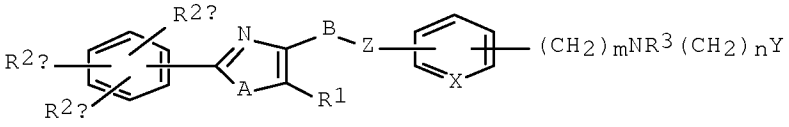
L24 ANSWER 15 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:502825 CAPLUS Full-text
DOCUMENT NUMBER: 137:63237
TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents
INVENTOR(S): Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen, Sean; Zhang, Hao
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6414002	B1	20020702	US 2001-812960	20010320 <--
EP 1589006	A1	20051026	EP 2005-10760	20000919 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
RU 2327692	C2	20080627	RU 2005-117879	20000919 <--
EP 1939188	A1	20080702	EP 2007-18602	20000919 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 20030069275	A1	20030410	US 2002-80965	20020222 <--
US 6919358	B2	20050719		
US 20030087935	A1	20030508	US 2002-81075	20020222 <--
US 6727271	B2	20040427		
US 20030096846	A1	20030522	US 2002-80981	20020222 <--
US 6653314	B2	20031125		
US 20040171644	A1	20040902	US 2003-655876	20030905 <--
US 7084162	B2	20060801		
US 20040147560	A1	20040729	US 2003-737210	20031216 <--
US 7053106	B2	20060530		
US 20050119311	A1	20050602	US 2004-964395	20041013 <--
US 7241780	B2	20070710		
US 20070015797	A1	20070118	US 2005-155965	20050822 <--
US 7579479	B2	20090825		
JP 2009102360	A	20090514	JP 2008-325065	20081222 <--
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922 <--
			US 2000-664598	A2 20000918 <--
			EP 2000-965172	A3 20000919 <--
			JP 2001-524981	A3 20000919 <--
			RU 2002-108928	A3 20000919 <--

US 2001-812960	A3	20010320 <--
US 2002-80965	A3	20020222 <--
US 2002-80981	A3	20020222 <--
US 2002-81075	A3	20020222 <--
US 2003-655876	A3	20030905 <--

OTHER SOURCE(S): MARPAT 137:63237

GI



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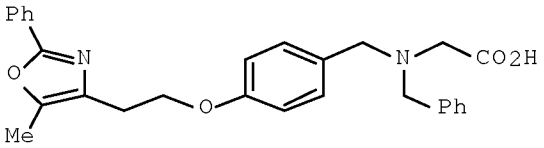


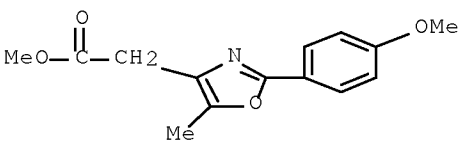
II

AB Title compds. I [wherein Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for 14 h to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

IT 196810-26-1P, 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



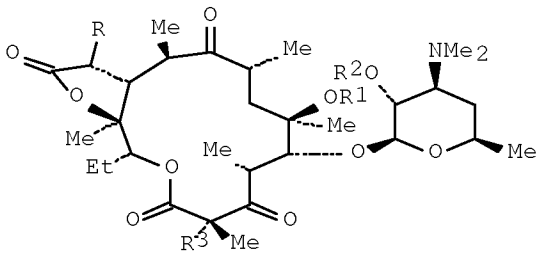
OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 16 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:487577 CAPLUS Full-text
DOCUMENT NUMBER: 137:63420
TITLE: Preparation of lactone ketolide macrolide erythromycin antibiotics
INVENTOR(S): Andreotti, Daniele; Arista, Luca; Biondi, Stefano; Cardullo, Francesca; Damiani, Frederica; Lociuro, Sergio; Marchioro, Carla; Merlo, Giancarlo; Mingardi, Anna; Niccolai, Daniela; Paio, Alfredo; Piga, Elisabetta; Pozzan, Alfonso; Seri, Catia; Tarsi, Luca; Terreni, Silvia; Tibasco, Jessica
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2002050091	A1	20020627	WO 2001-GB5665		20011220	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2432429	A1	20020627	CA 2001-2432429		20011220	<--
AU 2002017277	A	20020701	AU 2002-17277		20011220	<--
EP 1363925	A1	20031126	EP 2001-271380		20011220	<--
EP 1363925	B1	20061115				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
HU 2003002526	A2	20031128	HU 2003-2526		20011220	<--
CN 1492874	A	20040428	CN 2001-822651		20011220	<--
BR 2001016431	A	20040622	BR 2001-16431		20011220	<--
JP 2004531471	T	20041014	JP 2002-551984		20011220	<--
NZ 526450	A	20050429	NZ 2001-526450		20011220	<--
AU 2002217277	B2	20050616	AU 2002-217277		20011220	<--
AT 345350	T	20061215	AT 2001-271380		20011220	<--
ES 2275621	T3	20070616	ES 2001-271380		20011220	<--
IN 2003DN00933	A	20070420	IN 2003-DN933		20030616	<--
ZA 2003004748	A	20040423	ZA 2003-4748		20030619	<--
NO 2003002846	A	20030820	NO 2003-2846		20030620	<--
MX 2003005668	A	20041203	MX 2003-5668		20030620	<--
US 20040077557	A1	20040422	US 2003-450893		20031119	<--
US 20050215495	A1	20050929	US 2005-127701		20050512	<--
US 20060211636	A1	20060921	US 2006-422122		20060605	<--
PRIORITY APPLN. INFO.:			GB 2000-31309	A	20001221	<--
			GB 2001-26276	A	20011101	<--

GB 2001-26277	A	20011101 <--
WO 2001-GB5665	W	20011220 <--
US 2003-450893	B1	20031119 <--
US 2005-127701	A1	20050512

OTHER SOURCE(S): MARPAT 137:63420
GI

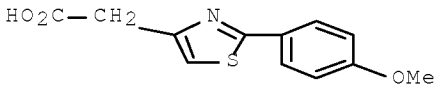


I

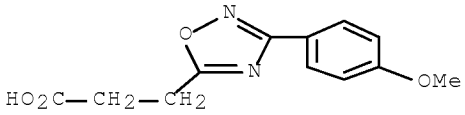
AB The present invention relates to lactone ketolides I wherein R is H, CN, substituted alkyl; R1 is alkyl, alkenyl; R2 is H, hydroxy protecting group; R3 is H, halogen, and pharmaceutically acceptable salts and solvates thereof, to process for their preparation and their use in therapy or prophylaxis of systemic or topical bacterial infections in a human or animal body. Thus, (11S,21R)-3-decladinosyl-11,12-dideoxy-6-O-methyl-3- oxo-12,11-[oxycarbonyl-(cyano)-methylene]erythromycin A was prepared and tested as antibacterial agent against Streptococcus pneumoniae and Streptococcus pyogenes (MIC ≤ 1 μg/mL).

IT 23353-14-2 94192-18-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lactone ketolide macrolide erythromycin antibiotics and their use in therapy or prophylaxis of systemic or topical bacterial infections)

RN 23353-14-2 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



RN 94192-18-4 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 17 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:449627 CAPLUS Full-text
DOCUMENT NUMBER: 137:33319
TITLE: Preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivatives and related compounds as inhibitors of histone deacetylase
INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002046129	A2	20020613	WO 2001-US50931		20011026 <--
WO 2002046129	A3	20030116			
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20020103192	A1	20020801	US 2001-808389		20010314 <--
AU 2002043402	A	20020618	AU 2002-43402		20011026 <--
PRIORITY APPLN. INFO.:			US 2000-697387	A	20001026 <--
			US 2001-808389	A	20010314 <--
			WO 2001-US50931	W	20011026 <--

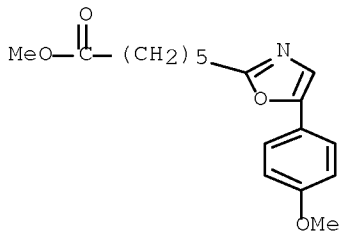
OTHER SOURCE(S): MARPAT 137:33319
AB Compds. having the formula (R4-L2)nL1-CR1R2R3 or therapeutically acceptable salts thereof [wherein n = 1, 2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, (alkylene)-C(O)N(R5)-(alkylene), (alkylene)-O-(alkylene) (wherein each group is drawn with its left-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to the carbon substituted with R1, R2, and R3); L2 =, C2 alkenylene, O, S, SO2, OC(O)NR5, N(R6)C(O), C(O)N(R6), SO2N(R6), N(R6)SO2, C:N-O, N(R6)C(O)N(R6), and C(O)N(R6)N(R6)C(O) (wherein each group is drawn with its left-hand end being the end which attaches to R4, and its right-hand end being the end which attaches to L1); R1 is selected from the group consisting of alkanoyl, alkoxycarbonyl, CONH2, CO2H, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of oxazolyl, dihydrooxazolyl, oxadiazolyl, and tetrazolyl); R2 = R3 = HO; or R2 and R3 together are oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclylalkyl; R5, R6 = H, alkyl, aryl, arylalkyl; or R5 and R6, together with the nitrogen atom to which they are attached, form a

heterocycle selected from the group consisting of (un)substituted morpholinyl, piperazinyl, piperidinyl, and thiomorpholinyl], which are histone deacetylase (HDAC) inhibitors (no data), are prepared These compds. are used for the treatment of diseases, possibly e.g. several human cancers associated with malfunction in histone deacetylases. Thus, a mixture of 9,9,9-trifluoro-8-oxononanoic acid (50 mg, 0.22 mmol), HOBt (30 mg, 0.22 mmol), carbodiimide PS resin (720 mg), and 4-phenyl-1,3-thiazol-2-amine (0.27 mmol) in DMF (5 mL) at room temperature was agitated in a Quest 210 parallel synthesizer for 18 h, treated with trisamine PS resin (220 mg), and agitated for 2 h. The solution was decanted, the resin was rinsed with dichloromethane, and the combined solns. were concentrated, followed by purification using preparative HPLC with a gradient system of 0 to 95 % over 10 min of MeCN (containing 0.1% CF_3CO_2H) in water to give 9,9,9-trifluoro-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide.

IT 436152-26-0P, Methyl 6-[5-(4-methoxyphenyl)-1,3-oxazol-2-yl]hexanoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivs. and related compds. as inhibitors of histone deacetylase)

RN 436152-26-0 CAPLUS

CN 2-Oxazolehexanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L24 ANSWER 18 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:391693 CAPLUS Full-text

DOCUMENT NUMBER: 136:401786

TITLE: Preparation of isoxazole derivatives for prevention and treatment of diabetes

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Asakawa, Tomoko; Sakai, Nozomu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040458	A1	20020523	WO 2001-JP10001	20011116 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2429426	A1	20020523	CA 2001-2429426	20011116 <--
AU 2002015218	A	20020527	AU 2002-15218	20011116 <--
JP 2002212171	A	20020731	JP 2001-352466	20011116 <--
JP 4148672	B2	20080910		
EP 1340749	A1	20030903	EP 2001-983808	20011116 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040048908	A1	20040311	US 2003-416658	20030514 <--
US 7022725	B2	20060404		
US 20060084690	A1	20060420	US 2005-295058	20051206 <--

PRIORITY APPLN. INFO.: JP 2000-350869 A 20001117 <--
WO 2001-JP10001 W 20011116 <--
US 2003-416658 A3 20030514 <--

OTHER SOURCE(S): MARPAT 136:401786

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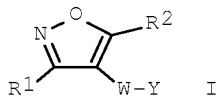


I

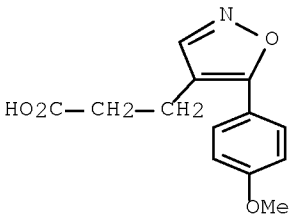
AB Described are preventives or remedies for diabetes containing compds. of the general formula (I) or their salts or prodrugs thereof [wherein one of R1 and R2 is hydrogen or a substituent and the other is an optionally substituted cyclic group; W is a free valency or a divalent aliphatic hydrocarbon group; and Y is a group represented by the general formula OR3 (wherein R3 is hydrogen, optionally substituted hydrocarbyl, an optionally substituted heterocyclic group, or optionally substituted acyl) or carboxyl which may be converted into an ester or an amide]. These compds. have excellent insulin secretion-promoting and blood sugar-decreasing effects and low toxicity and are useful as drugs, particularly preventive and therapeutic agents for diabetes and diabetic complication. Thus, reduction of 3-[5-(3,4-dichlorophenyl)-4-isoxazolyl]propionic acid Me ester (preparation given) by diisobutylaluminum hydride in hexane/THF at room temperature for 1 h gave 97% 3-[5-(3,4-chlorophenyl)-4-isoxazolyl]propanol (II). II at 30 mg/kg p.o. was administered to rats and after 60 min, the rats were fed with glucose at 2 g/kg p.o. After 30 min, the blood sample was taken and the blood sugar level measured was 75% of the control. A capsule and tablet formulation containing II were formulated.

IT 430529-66-1P 430530-53-3P 430530-54-4P
430530-74-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of isoxazole derivs. having insulin secretion promoting and blood sugar decreasing effects for prevention and treatment of diabetes

and diabetes complication)

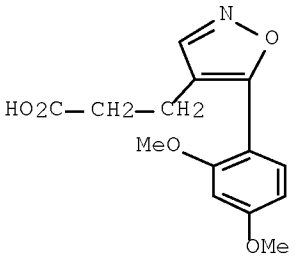
RN 430529-66-1 CAPLUS

CN 4-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



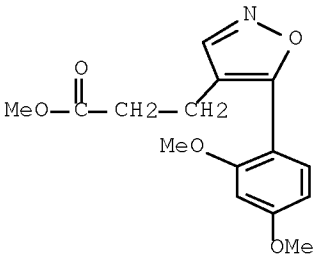
RN 430530-53-3 CAPLUS

CN 4-Isoxazolepropanoic acid, 5-(2,4-dimethoxyphenyl)- (CA INDEX NAME)



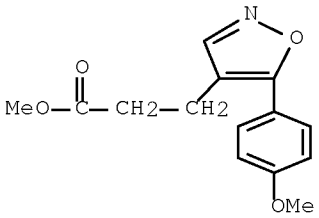
RN 430530-54-4 CAPLUS

CN 4-Isoxazolepropanoic acid, 5-(2,4-dimethoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 430530-74-8 CAPLUS

CN 4-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)

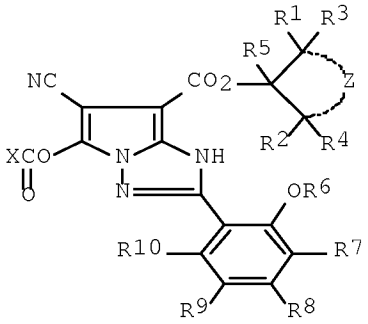


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 19 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:268891 CAPLUS Full-text
DOCUMENT NUMBER: 136:301722
TITLE: Silver halide color photographic material showing excellent color density, color reproduction, and light-fastness
INVENTOR(S): Seto, Nobuo; Nakamine, Takeshi; Deguchi, Yasuaki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 58 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002107881	A	20020410	JP 2000-295010	20000927 <--
PRIORITY APPLN. INFO.:			JP 2000-295010	20000927 <--
OTHER SOURCE(S):	MARPAT 136:301722			

GI



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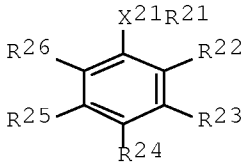


II

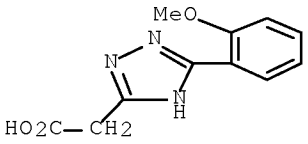
AB The invention relates to a color photog. paper which contains a pyrazolotriazole coupler represented by I (R1-5 = H, substituent; Z = nonmetal atoms for forming ring; X = H, substituent; R6 = aliphatic, aromatic, heterocycle, acyl, aliphatic oxycarbonyl, aromatic oxycarbonyl, carbamoyl,

aliphatic sulfonyl, aromatic sulfonyl, sulfamoyl; R7-10 = H, substituent; R6-R7, R7-R8, R8-R9, R9-R10 may form 5- to 8-membered ring) and an image stabilizer represented by II (R21 = H, aliphatic, aromatic, heterocycle, acyl, aliphatic oxycarbonyl, aromatic oxycarbonyl, aliphatic sulfonyl, aromatic sulfonyl; X21 = S, NR27; R22-26 = H, substituent; R27 = H, aliphatic, aromatic, heterocycle, acyl, aliphatic oxycarbonyl, aromatic oxycarbonyl, aliphatic sulfonyl, aromatic sulfonyl; R21 joining together with R27 may form 5- to 7-membered ring). The combination of the above two compds. provides excellent color d., color reproduction, and light-fastness to the color photog. paper.

IT 173982-13-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolotriazole cyan coupler for color photog. paper showing excellent color d., color reproduction, and light-fastness)

RN 173982-13-3 CAPLUS

CN 1H-1,2,4-Triazole-3-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 20 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:29404 CAPLUS Full-text

DOCUMENT NUMBER: 136:340636

TITLE: Synthesis of 5-(hetero)aryl-1,3,4-oxadiazolyl-2-acetic acids

AUTHOR(S): Janda, Lubomir

CORPORATE SOURCE: Aldrich Chemical Co., Inc., Milwaukee, WI, 53233, USA

SOURCE: Heterocyclic Communications (2001), 7(5), 411-416
CODEN: HCOMEX; ISSN: 0793-0283

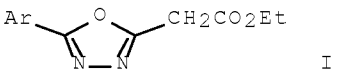
PUBLISHER: Freund Publishing House Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:340636

GI



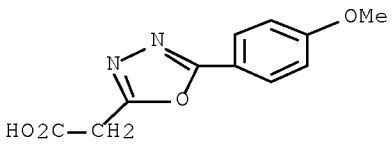
I

AB Et (1H-tetrazol-5-yl)acetate is acylated with aroyl chlorides and heteroaroyl chlorides in pyridine. The intermediate acyltetrazoles undergo thermal degradation to Et [5-(hetero)aryl-1,3,4-oxadiazol-2- yl]acetates [I; Ar = 2-furanyl, 2-thienyl, (un)substituted phenyl]. The corresponding acetic acids

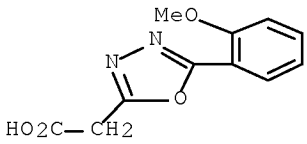
are obtained by potassium hydroxide mediated hydrolysis of the esters in anhydrous ethanol.

IT 415679-24-2P 415679-26-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 415679-24-2 CAPLUS
CN 1,3,4-Oxadiazole-2-acetic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



RN 415679-26-4 CAPLUS
CN 1,3,4-Oxadiazole-2-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 21 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:228872 CAPLUS Full-text
DOCUMENT NUMBER: 134:266299
TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents.
INVENTOR(S): Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.; Chen, Sean; Zhang, Hao
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 362 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021602	A1	20010329	WO 2000-US25710	20000919 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

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            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      TW 260321             B     20060821    TW 2000-89119155        20000918 <--
      CA 2388452            A1    20010329    CA 2000-2388452         20000919 <--
      CA 2388452            C     20070403
      EP 1218361            A1    20020703    EP 2000-965172          20000919 <--
      EP 1218361            B1    20090107
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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      BR 2000014189         A     20020820    BR 2000-14189           20000919 <--
      TR 200200732          T2    20021021    TR 2002-732             20000919 <--
      JP 2003509503         T     20030311    JP 2001-524981          20000919 <--
      JP 4332315            B2    20090916
      HU 2002004416         A2    20030428    HU 2002-4416            20000919 <--
      HU 2002004416         A3    20060130
      NZ 516820             A     20041126    NZ 2000-516820          20000919 <--
      AU 782031             B2    20050630    AU 2000-75935           20000919 <--
      EP 1589006            A1    20051026    EP 2005-10760           20000919 <--
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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      RU 2279427            C2    20060710    RU 2002-108928          20000919 <--
      CN 1289490            C     20061213    CN 2000-813140          20000919 <--
      IL 147939             A     20080320    IL 2000-147939          20000919 <--
      RU 2327692            C2    20080627    RU 2005-117879          20000919 <--
      EP 1939188            A1    20080702    EP 2007-18602           20000919 <--
          R:  AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,
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      EG 24169              A     20080910    EG 2000-1198            20000919 <--
      AT 420080             T     20090115    AT 2000-965172          20000919 <--
      ES 2319097            T3    20090504    ES 2000-965172          20000919 <--
      IN 2002DN00107        A     20070406    IN 2002-DN107           20020128 <--
      ZA 2002000937         A     20030502    ZA 2002-937             20020201 <--
      MX 2002001847         A     20021023    MX 2002-1847            20020221 <--
      NO 2002001408         A     20020514    NO 2002-1408            20020321 <--
      NO 322500             B1    20061016
      HK 1049337            A1    20070729    HK 2003-101528          20030228 <--
      JP 2009102360         A     20090514    JP 2008-325065          20081222 <--
PRIORITY APPLN. INFO.:                          US 1999-155400P     P   19990922 <--
                                                EP 2000-965172      A3  20000919 <--
                                                JP 2001-524981      A3  20000919 <--
                                                RU 2002-108928      A3  20000919 <--
                                                WO 2000-US25710     W   20000919 <--
OTHER SOURCE(S):          MARPAT 134:266299
GI
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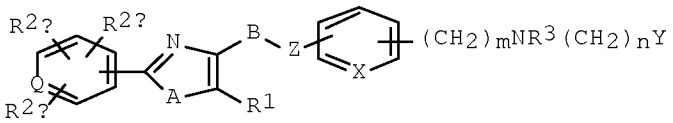

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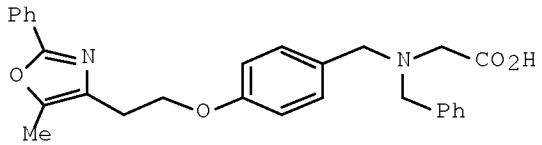


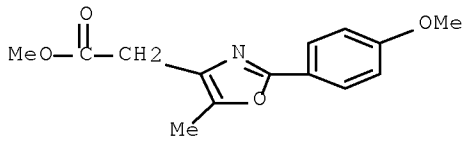
II

AB Title compds. [I; Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4- ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

IT 196810-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 22 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:180354 CAPLUS Full-text

DOCUMENT NUMBER: 134:360991

TITLE: Discovery of an Orally Active Non-Peptide Fibrinogen Receptor Antagonist Based on the Hydantoin Scaffold

AUTHOR(S): Stilz, Hans Ulrich; Guba, Wolfgang; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; Koenig, Wolfgang; Wehner, Volkmar; Zoller, Gerhard

CORPORATE SOURCE: Chemistry and DG Cardiovascular Agents, Aventis Pharma AG, Frankfurt am Main, D-65926, Germany

SOURCE: Journal of Medicinal Chemistry (2001), 44(8), 1158-1176
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

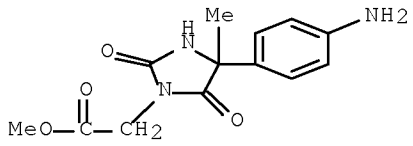
OTHER SOURCE(S): CASREACT 134:360991

AB Antagonists of the platelet fibrinogen receptor (GP IIb/IIIa receptor) are expected to be a promising new class of antithrombotic agents. The binding of fibrinogen to the fibrinogen receptor depends on an Arg-Gly-Asp-Ser (RGDS) tetrapeptide recognition motif. Structural modifications of the RGDS lead have led to the discovery of a non-peptide RGD mimetic GP IIb/IIIa antagonist (S 1197). S 1197 inhibited, in a dose dependent and reversible manner, human and dog platelet aggregation as well as 125I-fibrinogen binding to ADP-activated human gel filtered platelets and isolated GP IIb/IIIa with Ki values of 9 nM and 0.17 nM, resp. A pharmacophore mapping procedure with QXP and a 3D-QSAR anal. applying the GRID/GOLPE methodol. yielded a stable, rather predictive model and revealed structural features which are important for binding. Hydrophobic substitutions both at the hydantoin nucleus and at the C-terminus increase the affinity toward the fibrinogen receptor. The crystalline Et ester prodrug (HMR 1794) is an orally active antithrombotic agent which is a promising drug candidate for the treatment of thrombotic diseases in humans.

IT 169808-26-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis, antiplatelet effect and structure activity relationship of orally active non-peptide glycoprotein IIb/IIIa receptor antagonists)

RN 169808-26-8 CAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-aminophenyl)-4-methyl-2,5-dioxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 37 THERE ARE 37 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)

REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 23 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:78361 CAPLUS Full-text

DOCUMENT NUMBER: 134:147496

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Donald, Samuel Craig; Foote, Kevin; Schofield, Paul; Marsham, Peter Robert

PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK

SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007409	A1	20010201	WO 2000-GB2745	20000715 <--

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ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-17173 A 19990723 <--
GB 1999-18380 A 19990805 <--
GB 1999-30314 A 19991222 <--

OTHER SOURCE(S): MARPAT 134:147496

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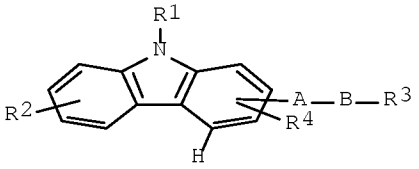


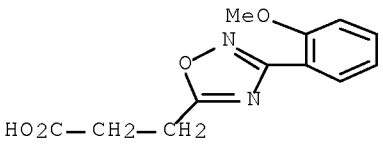
I

AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, CN, etc.; A = NH, CH2NH, NHCO, etc.; B = alkylene, alkenylene, a direct bond, etc.; R3 = H, OH, alkoxy, etc.; R4 = H, alkyl, halo, NO2] and their pharmaceutically acceptable salts, useful for the treatment of disorders mediated by the neuropeptide Y5 receptor, were prepared and formulated. E.g., reacting 3-amino-9-ethylcarbazole with PrNCO in the presence of Et3N in DMF afforded 50% I [R1 = Et; R2, R4 = H; ABR3 = 3-(NHCONHPr)]. In general, the compds. I possess an IC50 of 0.0002-200 μM against neuropeptide Y5 receptor binding.

IT 322725-48-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbazoles as neuropeptide Y5 receptor ligands)

RN 322725-48-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 24 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:573647 CAPLUS Full-text

DOCUMENT NUMBER: 133:182977

TITLE: Oxadiazole compositions for drug delivery

INVENTOR(S): Gschneidner, David

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047188	A1	20000817	WO 2000-US3899	20000211 <--
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2360220	A1	20000817	CA 2000-2360220	20000211 <--
CA 2360220	C	20090908		
EP 1156787	A1	20011128	EP 2000-913480	20000211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536400	T	20021029	JP 2000-598141	20000211 <--
AT 311373	T	20051215	AT 2000-913480	20000211 <--
ES 2251976	T3	20060516	ES 2000-913480	20000211 <--
US 7084279	B1	20060801	US 2001-913049	20010912 <--
US 20060210533	A1	20060921	US 2006-440332	20060523 <--
US 7417061	B2	20080826		

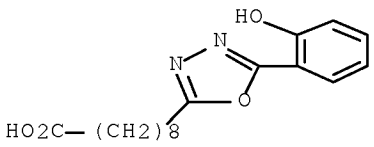
PRIORITY APPLN. INFO.: US 1999-119638P P 19990211 <--
WO 2000-US3899 W 20000211 <--
US 2001-913049 A1 20010912 <--

OTHER SOURCE(S): MARPAT 133:182977

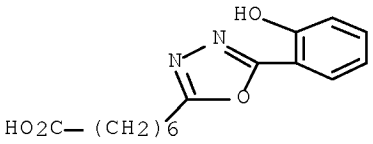
AB Oxadiazoles and compns. for the delivery of active agents are provided. Methods of administration and preparation are provided as well. The effectiveness of the oxadiazoles in increasing the serum concns. of recombinant human growth hormone and in colon delivery of this hormones and other active agents was demonstrated.

IT 288249-10-5P 288249-11-6P 288249-12-7P
288249-13-8P 288249-17-2P 288249-18-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazole compns. for drug delivery)

RN 288249-10-5 CAPLUS
CN 1,3,4-Oxadiazole-2-nonanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 288249-11-6 CAPLUS
CN 1,3,4-Oxadiazole-2-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 288249-12-7 CAPLUS
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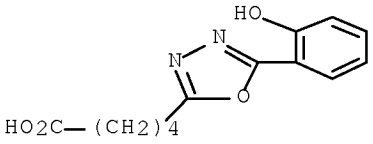
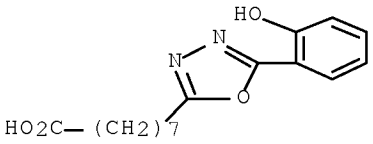
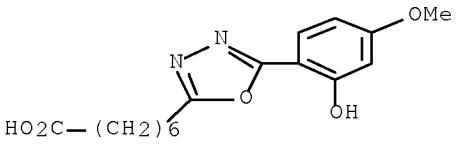


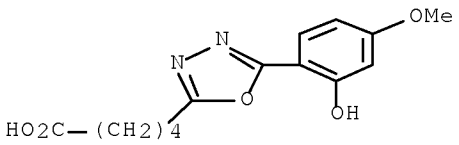
RN 288249-13-8 CAPLUS
CN 1,3,4-Oxadiazole-2-octanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 288249-17-2 CAPLUS
CN 1,3,4-Oxadiazole-2-heptanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



RN 288249-18-3 CAPLUS
CN 1,3,4-Oxadiazole-2-pentanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 25 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:209892 CAPLUS Full-text
DOCUMENT NUMBER: 132:237087
TITLE: Preparation of desmethyldesferrithiocin derivatives and related compounds as iron-chelating antimalarials.
INVENTOR(S): Bergeron, Raymond J.; Brittenham, Gary M.
PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA; Trustees of Columbia University In the City of New York
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016763	A2	20000330	WO 1999-US21726	19990921 <--
WO 2000016763	A3	20011011		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344913	A1	20000330	CA 1999-2344913	19990921 <--
AU 9963946	A	20000410	AU 1999-63946	19990921 <--
AU 774956	B2	20040715		
EP 1143951	A2	20011017	EP 1999-951524	19990921 <--
EP 1143951	A3	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 509172	A	20040227	NZ 1999-509172	19990921 <--
EP 1488791	A2	20041222	EP 2004-77214	19990921 <--
EP 1488791	A3	20050406		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1585639	A	20050223	CN 1999-810403	19990921 <--
NZ 526880	A	20050527	NZ 1999-526880	19990921 <--
US 20030083349	A1	20030501	US 2002-216492	20020808 <--
US 6864270	B2	20050308		
US 20050245579	A1	20051103	US 2004-993417	20041119 <--
US 7144904	B2	20061205		

US 20070232664 A1 20071004 US 2006-582846 20061017 <--
PRIORITY APPLN. INFO.: US 1998-101321P P 19980921 <--
EP 1999-951524 A3 19990921 <--
WO 1999-US21726 W 19990921 <--
US 2000-723809 B1 20001128 <--
US 2002-216492 A1 20020808 <--
US 2004-993417 A1 20041119
OTHER SOURCE(S): MARPAT 132:237087
GI

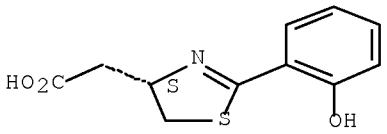
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R = OH, OR7, N(OH)R8; R1-R3 = H, Me, available electron; R1R3 or R2R3 = double bond; R4 = H, acyl; R5 = H, OH, acyloxy, alkoxy, (CH2)a(R10)b(CH2)aR10(CH2)a(R10)bX; R6 = H, OH, alkyl, halo, (CH2)aR10(CH2)rR10Y; R6R11 = CH:CHCH:CH; R7 = alkyl, (substituted) PhCH2; R8, R9 = H, R7; R10 = O, CH2; R11 = H, OH, acyloxy, alkoxy; A = N, CH, C(OH); B = S, O, N, CH2, CH2S; q = 2, 3; b = 0, 1; m = 1-8; n = 0, 1; p = 0-2; r = 2, 3; X = Q1; Y = Q2; Z = Q3], and cyclic dimers thereof, were prepared Thus, (S)-desmethyldesferrithiocin and N-methylhydroxylamine hydrochloride in DMF at 0° were treated with benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate and then with diisopropylethylamine in DMF followed by stirring at 0° for 15 min. and at room temperature overnight to give 47% (S)-desmethyldesferrithiocin N-methylhydroxamate. Tested I were active against malarial parasites in vitro.

IT 220541-99-1P 220542-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of desmethyldesferrithiocin derivs. and related compds. as iron-chelating antimalarials)

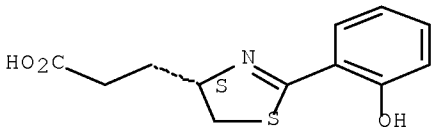
RN 220541-99-1 CAPLUS
CN 4-Thiazoleacetic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 220542-00-7 CAPLUS
CN 4-Thiazolepropanoic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

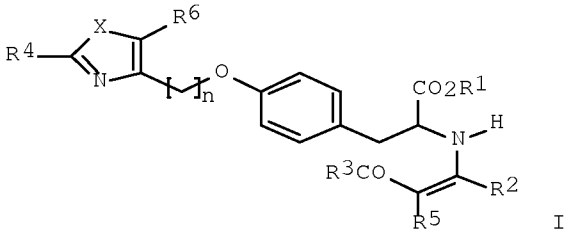
L24 ANSWER 26 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:117035 CAPLUS Full-text
DOCUMENT NUMBER: 132:151814
TITLE: Preparation of substituted oxazoles and thiazoles as hPPAR gamma and hPPAR alpha activators
INVENTOR(S): Collins, Jon Loren; Dezube, Milana; Oplinger, Jeffrey Alan; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008002	A1	20000217	WO 1999-EP5666	19990805 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339773	A1	20000217	CA 1999-2339773	19990805 <--
AU 9957310	A	20000228	AU 1999-57310	19990805 <--
EP 1102757	A1	20010530	EP 1999-944335	19990805 <--
EP 1102757	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100372	T2	20010921	TR 2001-372	19990805 <--
BR 9912866	A	20011030	BR 1999-12866	19990805 <--
HU 2001003469	A2	20020128	HU 2001-3469	19990805 <--
HU 2001003469	A3	20020828		
EE 200100074	A	20020617	EE 2001-74	19990805 <--
AT 264313	T	20040415	AT 1999-944335	19990805 <--
ES 2220110	T3	20041201	ES 1999-944335	19990805 <--
ZA 2001000983	A	20020305	ZA 2001-983	20010205 <--
NO 2001000628	A	20010406	NO 2001-628	20010206 <--
MX 2001001419	A	20010930	MX 2001-1419	20010207 <--
HR 2001000095	A1	20020228	HR 2001-95	20010207 <--
US 6498174	B1	20021224	US 2001-762445	20010222 <--
IN 2001KN00166	A	20050311	IN 2001-KN166	20010313 <--
PRIORITY APPLN. INFO.:			GB 1998-17118 A	19980807 <--

WO 1999-EP5666 W 19990805 <--

OTHER SOURCE(S): MARPAT 132:151814

GI



I

AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, haloalkyl; R3 = alkyl, cycloalkyl, cycloalkenyl, etc.; R4 = (un)substituted 5-6 membered heterocyclyl containing at least one O, N or S atom, Ph; R5 = H, halo, alkyl, haloalkyl; R6 = H, alkyl; X = O, S; n = 1-3], which are dual activators of hPPARγ and hPPARα, were prepared Thus, refluxing a suspension of (2S)-2-amino-3-{4-[2-(5-methyl-2-phenyl-1,3-oxazol-4- yl)ethoxy]phenyl}propanoic acid (preparation given) and benzoylacetone in MeOH and trimethylorthoformate afforded 43% (2S)-(Z)-I [R1 = H; R2 = Me; R3 = Ph; R4 = Ph; R5 = H; R6 = Me; X = O; n = 2] which showed 39% glucose reduction in rats.

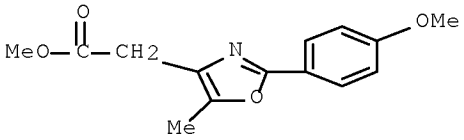
IT 196810-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted oxazoles and thiazoles as hPPAR gamma and hPPAR alpha activators)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 27 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:421668 CAPLUS Full-text

DOCUMENT NUMBER: 131:58832

TITLE: Preparation of thiazole, isothiazole, and thiadiazole derivatives having microbicidal and plant immunizing activities.

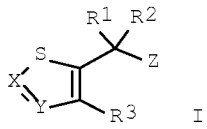
INVENTOR(S): Chemla, Philippe; Maetzke, Thomas; Ertl, Peter

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft mbH
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9932464	A1	19990701	WO 1998-EP8335		19981218	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW						
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
CA 2309973	A1	19990701	CA 1998-2309973		19981218	<--
AU 9920546	A	19990712	AU 1999-20546		19981218	<--
BR 9814394	A	20001010	BR 1998-14394		19981218	<--
EP 1042306	A1	20001011	EP 1998-965285		19981218	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI						
TR 200001740	T2	20001121	TR 2000-1740		19981218	<--
HU 2001000619	A2	20010628	HU 2001-619		19981218	<--
HU 2001000619	A3	20020328				
JP 2001526277	T	20011218	JP 2000-525401		19981218	<--
ZA 9811706	A	19990622	ZA 1998-11706		19981221	<--
IN 2000CN00079	A	20050304	IN 2000-CN79		20000516	<--
MX 2000005363	A	20010405	MX 2000-5363		20000531	<--
PRIORITY APPLN. INFO.:			GB 1997-26989	A	19971222	<--
			WO 1998-EP8335	W	19981218	<--

OTHER SOURCE(S): MARPAT 131:58832
GI



I

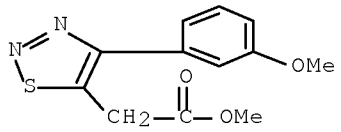
AB A process for protecting and immunizing plants against attack by phytopathogenic microorganisms by application of title compds. [I; X = CR4, Y = N; or X = N and Y = CR5; or X and Y = N; Z = C atom to which 1-3 halo or 1-3 (substituted) O, S, or N atoms are bonded; R1, R2 = H, OH, SH, cyano, CO2H, NO2, NH2, halo, alkyl, haloalkyl, alkoxyalkyl, aminoalkyl, (substituted) aryloxycarbonyl, Ph, PhO, etc.; R1R2 = O, S, atoms to form isocyclic or heterocyclic ring; R2ZC = atoms to form a (substituted) 3-7 membered (thio)lactone or (thio)lactam optionally containing addnl. O, N, or S atoms; R3-R5 = H, OH, SH, cyano, NO2, halo, alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, alkanoyl, haloalkoxy, alkanoylalkyl, alkanoylamino, (substituted) benzylamino, benzoylamino, Ph, PhO, PhCH2, PhCH2CH2, etc.], is claimed. Thus, 2-chloro-4-trifluoromethylthiazole-5-carboxylic acid was treated successively with SOCl2, NaAlH2(OCH2CH2OMe)2, SOCl2, and CO2/Co2(CO)8 to give 2-chloro-4-

trifluoromethylthiazole-5-acetic acid. I at 20 ppm reduced infection of cucumber plants by Colletotrichum lagenarium to 0-20%, vs. 90% for untreated controls.

IT 1099480-52-0
RL: PRPH (Prophetic)
(Preparation of thiazole, isothiazole, and thiadiazole derivatives having microbicidal and plant immunizing activities.)

RN 1099480-52-0 CAPLUS

CN 1,2,3-Thiadiazole-5-acetic acid, 4-(3-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 28 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:786634 CAPLUS Full-text
DOCUMENT NUMBER: 130:177117
TITLE: Desazadesmethyldesferrithiocin Analogs as Orally Effective Iron Chelators
AUTHOR(S): Bergeron, Raymond J.; Wiegand, Jan; Weimar, William R.; Vinson, J. R. Timothy; Bussenius, Joerg; Yao, Guo Wei; McManis, James S.
CORPORATE SOURCE: Department of Medicinal Chemistry, University of Florida, Gainesville, FL, 32610-0485, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(1), 95-108
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:177117

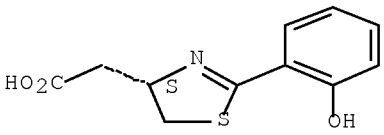
AB Further structure-activity studies of desferrithiocin analogs are carried out. (S)-desazadesmethyldesferrithiocin (2-(2-hydroxyphenyl)-Δ2-thiazoline-4(S)-carboxylic acid) serves as the principal framework in the current paper. Desazadesmethyldesferrithiocin can be structurally altered with facility, and data are already available on its iron-clearing properties and toxicity parameters. Four different kinds of structural modifications of this framework are undertaken: introduction of hydroxy, carboxy, or methoxy groups on the aromatic ring; alteration of the thiazoline ring; increasing the distance between the ligand donor atoms; and benz-fusion of the aromatic rings. The structural modifications described are shown to have a tremendous impact on both the iron clearance and toxicity profiles of the desazadesmethyldesferrithiocin mol. All of the compds. are assessed in a bile-duct-cannulated rodent model to determine iron clearance efficiency. Ligands which demonstrate an efficiency of greater than 2% are carried forward to the iron-overloaded primate for iron-clearing measurements. Ligands with efficiencies greater than 3% in the primate are then evaluated in a formal toxicity study in rodents. On the basis of the results of the present work,

2-(2,4-dihydroxyphenyl)-Δ2-thiazoline- 4(S)-carboxylic acid is a promising candidate for clin. evaluation.

IT 220541-99-1P 220542-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(desazadesmethyldesferrithiocin analogs as orally effective iron chelators)

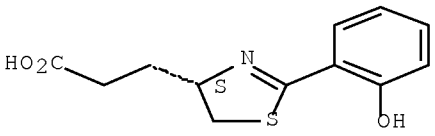
RN 220541-99-1 CAPLUS
CN 4-Thiazoleacetic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 220542-00-7 CAPLUS
CN 4-Thiazolepropanoic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (47 CITINGS)
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

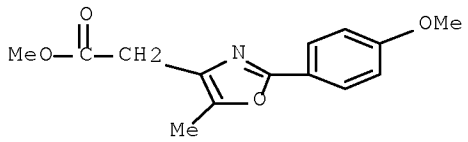
L24 ANSWER 29 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:713389 CAPLUS Full-text
DOCUMENT NUMBER: 130:104774
TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPARγ Agonists. 2. Structure-Activity Relationship and Optimization of the Phenyl Alkyl Ether Moiety
AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G. Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.; Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen; Lenhard, James M.; Orband-Miller, Lisa A.; Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(25),

5037-5054
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-phenyloxazol-4- yl)ethoxy]phenyl}propanoic acid (I) (PPARγ pKi = 8.94, PPARγ pEC50 = 9.47) as a potent and selective PPARγ agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPARγ agonists with improved aqueous solubility Specifically, replacement of the Ph ring of the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-pyridin-4-yloxazol-4-yl)ethoxy]phenyl}propionic acid (PPARγ pKi = 8.85, PPARγ pEC50 = 8.74) or a 4-methylpiperazine to give (2S)-((2-benzoylphenyl)amino)-3-(4-{2-[5-methyl-2-(4-methylpiperazin-1- yl)thiazol-4-yl]ethoxy}phenyl)propionic acid (PPARγ pKi = 8.66, PPARγ pEC50 = 8.89) provided two potent and selective PPARγ agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPARγ ligands (PPARγ pKi's 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPARγ binding, functional activity, selectivity, and aqueous solubility

IT 196810-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine analogs
as PPARγ agonists)

RN 196810-26-1 CAPLUS
CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



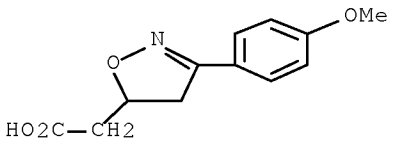
OS.CITING REF COUNT: 96 THERE ARE 96 CAPLUS RECORDS THAT CITE THIS RECORD (97 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 30 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:414148 CAPLUS Full-text
DOCUMENT NUMBER: 129:175576
ORIGINAL REFERENCE NO.: 129:35689a,35692a

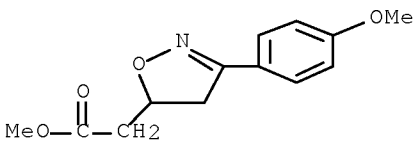
TITLE: A novel base promoted reaction of methyl 2-isoxazoline-5-acetates to 5-(2-oxoethyl)-3-isoxazolidinones
AUTHOR(S): Eichinger, Karl; Rostami, Mohammad Reza; Sieder, Florian
CORPORATE SOURCE: Institute of Organic Chemistry, Vienna University of Technology, Vienna, A-1060, Austria
SOURCE: Synthetic Communications (1998), 28(13), 2457-2466
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:175576

AB Some 5-(2-aryl-2-oxoethyl)-3-isoxazolidinones were prepared from the Me 3-aryl-2-isoxazoline-5-acetates and sodium hexanolate in boiling hexanol in yields from 46-86%. The reaction conditions were optimized and a mechanism for this reaction is proposed and discussed.

IT 193267-59-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (oxoethyl)isoxazolidinones from isoxazolineacetate derivs.)
RN 193267-59-3 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)- (CA INDEX NAME)



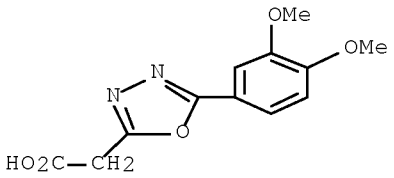
IT 211388-28-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (oxoethyl)isoxazolidinones from isoxazolineacetate derivs.)
RN 211388-28-2 CAPLUS
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 31 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:762771 CAPLUS Full-text
DOCUMENT NUMBER: 127:358603
ORIGINAL REFERENCE NO.: 127:70195a,70198a
TITLE: Relationship between the structure and the UV, IR,

1H-NMR, 13C-NMR and mass spectra of some 5-aryl-1,3,4-oxadiazole-2-acetic acids
AUTHOR(S): Ngo, Van Vu; Nguyen, Van Tong; Nguyen, Dinh Trieu
CORPORATE SOURCE: Vietnam National Univ., Ha Noi, Vietnam
SOURCE: Tap Chi Hoa Hoc (1997), 35(2), 13-16
CODEN: TCHHDC; ISSN: 0378-2336
PUBLISHER: Toa Soan Tap Chi Hoa Hoc
DOCUMENT TYPE: Journal
LANGUAGE: Vietnamese
AB The UV, IR, 1H-NMR, 13C-NMR and mass spectra of some 5-aryl-1,3,4-oxadiazole-2-acetic acids and their esters were studied. The relationship between the structure and spectra of these compds. was explained.
IT 191800-80-3
RL: PRP (Properties)
(relationship between the structure and the UV,IR, NMR, and mass spectra of aryloxadiazolylacetic acids)
RN 191800-80-3 CAPLUS
CN 1,3,4-Oxadiazole-2-acetic acid, 5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)

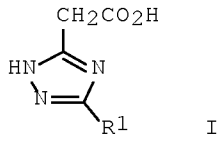


L24 ANSWER 32 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:681911 CAPLUS Full-text
DOCUMENT NUMBER: 127:307390
ORIGINAL REFERENCE NO.: 127:60121a,60124a
TITLE: Process for preparation of 1H-1,2,4-triazol-5-ylacetic acid derivatives by cyclization
INVENTOR(S): Shimura, Yoshio; Shimada, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09268182	A	19971014	JP 1996-82688	19960404 <--
JP 3808538	B2	20060816		
EP 805150	A2	19971105	EP 1997-105609	19970404 <--
EP 805150	A3	19971210		
EP 805150	B1	20011219		
R: BE, CH, DE, FR, GB, IT, LI, NL				
EP 919549	A1	19990602	EP 1998-123055	19970404 <--
EP 919549	B1	20021211		
R: BE, CH, DE, FR, GB, IT, LI, NL				

PRIORITY APPLN. INFO.: JP 1996-82688 A 19960404 <--
EP 1997-105609 A3 19970404 <--

OTHER SOURCE(S): CASREACT 127:307390; MARPAT 127:307390
GI

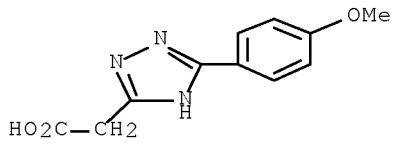


I

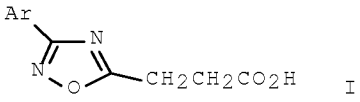
AB Characterized is a process for preparation of the title compds. [I; R1 = (un)substituted alkyl, or aryl] by cyclization of R1CONHNHC(:NH)CH2CO2R3 (II; R1 = same as above; R3 = alkyl, aryl), which are prepared by reacting R1CONHNH2 (R1 = same as above) with (A)nHN:C(OR2)CH2CO2R3 (R2 = alkyl; R3 = same as above; A = HCl, HBr, HI, H2SO4, etc.; n = 0.5, 0, 1), in the presence of M2CO3 (M = alkali metal). I, useful intermediates in the production of photog. couplers, drugs and pesticides, are prepared in high yield easily. Thus, II (R1 = MeOC6H4, R3 = Et) was cyclized in the presence of Na2CO3 to give 95% I (R1 = MeOC6H4).

IT 197293-84-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of 1H-1,2,4-triazol-5-ylacetic acid derivs. by cyclization)

RN 197293-84-8 CAPLUS
CN 1H-1,2,4-Triazole-3-acetic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:620846 CAPLUS Full-text
DOCUMENT NUMBER: 127:293172
ORIGINAL REFERENCE NO.: 127:57303a,57306a
TITLE: Preparation and reactions of 3-[3-(aryl)-1,2,4-oxadiazol-5-yl]propionic acids
AUTHOR(S): Srivastava, R. M.; Seabra, G. M.
CORPORATE SOURCE: Departamento de Quimica Fundamental, Universidade Federal de Pernambuco, Recife - PE, 50.670-901, Brazil
SOURCE: Journal of the Brazilian Chemical Society (1997), 8(4), 397-405
CODEN: JOCSET; ISSN: 0103-5053
PUBLISHER: Sociedade Brasileira de Quimica
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:293172
GI



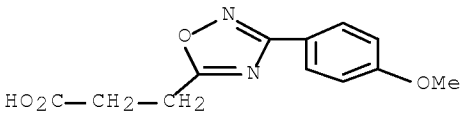
I

AB The synthesis of title compds. I (Ar = Ph, 2-MeC6H4, 4-ClC6H4, etc.), from arylamidoximes ArC(NH2):NOH and succinic anhydride in high yields is described. 1,2,4-Oxadiazoles I were also obtained by carrying out the reaction in a domestic microwave oven. Preliminary pharmacol. evaluations demonstrated that I (Ar = 2-, 3-, 4-MeC6H4, 4-ClC6H4) possess analgesic properties. Ab initio MO calcns. of the type STO-3G have been performed.

IT 94192-18-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and esterification of (aryloxadiazolyl)propionic acids)

RN 94192-18-4 CAPLUS

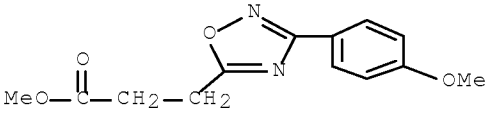
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



IT 155500-83-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and esterification of (aryloxadiazolyl)propionic acids)

RN 155500-83-7 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 34 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:594721 CAPLUS Full-text

DOCUMENT NUMBER: 127:278064

ORIGINAL REFERENCE NO.: 127:54305a,54308a

TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma

INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9731907	A1	19970904	WO 1997-EP916		19970226	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU						
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG						
CA 2247443	A1	19970904	CA 1997-2247443		19970226	<--
CA 2247443	C	20090127				
AU 9720935	A	19970916	AU 1997-20935		19970226	<--
AU 717699	B2	20000330				
ZA 9701645	A	19971210	ZA 1997-1645		19970226	<--
EP 888317	A1	19990107	EP 1997-906130		19970226	<--
EP 888317	B1	20010912				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI						
CN 1218460	A	19990602	CN 1997-193988		19970226	<--
CN 1093124	C	20021023				
BR 9707786	A	19990727	BR 1997-7786		19970226	<--
JP 2000507216	T	20000613	JP 1997-530586		19970226	<--
JP 3255930	B2	20020212				
NZ 331381	A	20000623	NZ 1997-331381		19970226	<--
HU 2000004845	A2	20010528	HU 2000-4845		19970226	<--
HU 2000004845	A3	20010730				
IL 125796	A	20010614	IL 1997-125796		19970226	<--
AT 205485	T	20010915	AT 1997-906130		19970226	<--
ES 2163125	T3	20020116	ES 1997-906130		19970226	<--
SK 282753	B6	20021203	SK 1998-1163		19970226	<--
HR 9700110	B1	20030630	HR 1997-110		19970226	<--
IN 1997DE00491	A	20050311	IN 1997-DE491		19970226	<--
CZ 295383	B6	20050713	CZ 1998-2750		19970226	<--
PL 191118	B1	20060331	PL 1997-328871		19970226	<--
TW 391958	B	20000601	TW 1997-86102826		19970307	<--
US 6294580	B1	20010925	US 1998-125750		19980825	<--
NO 9803940	A	19981027	NO 1998-3940		19980827	<--
NO 311516	B1	20011203				
HK 1015369	A1	20020215	HK 1999-100498		19990205	<--
PRIORITY APPLN. INFO.:			GB 1996-4242	A	19960228	<--
			WO 1997-EP916	W	19970226	<--

OTHER SOURCE(S): MARPAT 127:278064

AB Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 =

alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

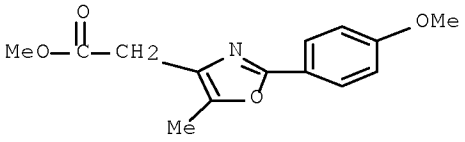
IT 196810-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 69 THERE ARE 69 CAPLUS RECORDS THAT CITE THIS RECORD (101 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 35 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:431584 CAPLUS Full-text

DOCUMENT NUMBER: 127:149098

ORIGINAL REFERENCE NO.: 127:28805a,28808a

TITLE: A convenient synthesis of 3- and 3,4-substituted 4,5-dihydroisoxazole-5-acetic acids

AUTHOR(S): Eichinger, Karl; Wokurek, Michael; Zauner, Bernd; Rostami, Mohammad Reza

CORPORATE SOURCE: Institute of Organic Chemistry, Vienna University of Technology, Vienna, A-1060, Austria

SOURCE: Synthetic Communications (1997), 27(16), 2733-2742
CODEN: SYNCAV; ISSN: 0039-7911

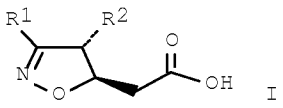
PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:149098

GI



I

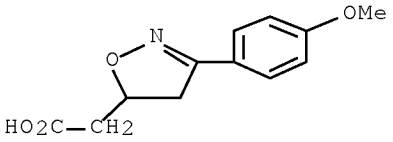
AB The 4,5-dihydroisoxazole-5-acetic acids I [R1 = Ph, Me, 4-ClC6H4, 4-MeOC6H4, 4-PhC6H4, R2 = H, SPh, OPh, 4-ClC6H4; R1R2 = (CH2)4, (CH2)10, 1,2,3,4-

tetrahydronaphth-1,2-diyl] were prepared from the ketoximes R1C(CH2R2):NOH, 2,2-dimethyl-5-methoxymethylene-1,3-dioxan-4,6-dione and butyllithium in yields from 35 to 79 %.

IT 193267-59-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of isoxazoleacetic acids)

RN 193267-59-3 CAPLUS

CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 36 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:391742 CAPLUS Full-text

DOCUMENT NUMBER: 127:81403

ORIGINAL REFERENCE NO.: 127:15609a,15612a

TITLE: Synthesis of some 5-aryl-1,3,4-oxadiazolyl-2-acetic acids

AUTHOR(S): Ngo, Van Vu; Nguyen, Van Tong; Nguyen, Dinh Trieu

CORPORATE SOURCE: Ha Noi Natural Univ., Vietnam

SOURCE: Tap Chi Hoa Hoc (1996), 34(4), 69-72
CODEN: TCHHDC; ISSN: 0378-2336

PUBLISHER: Toa Soan Tap Chi Hoa Hoc

DOCUMENT TYPE: Journal

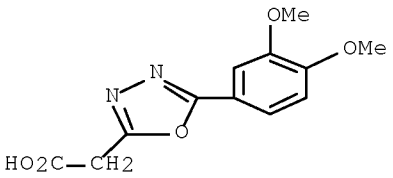
LANGUAGE: Vietnamese

AB The 5-aryl-1,3,4-oxadiazolyl-2-acetic acids and their esters have been synthesized by cyclization of 1,2-diacylhydrazines. Their structures were confirmed by UV, IR, H1-NMR, C13-NMR spectra.

IT 191800-80-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of aryloxadiazolylacetic acids)

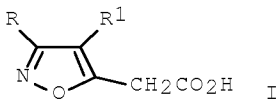
RN 191800-80-3 CAPLUS

CN 1,3,4-Oxadiazole-2-acetic acid, 5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L24 ANSWER 37 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:84657 CAPLUS Full-text
DOCUMENT NUMBER: 126:186013
ORIGINAL REFERENCE NO.: 126:35917a,35920a
TITLE: A novel method for the synthesis of 3- and 3,4-substituted isoxazole-5-acetic acids
AUTHOR(S): Eichinger, Karl; Wokurek, Michael; Zauner, Bernd; Wischinka, Petra
CORPORATE SOURCE: Institut Organische Chemie, Technische Universitaet Wien, Vienna, A-1060, Austria
SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1997), 339(1), 92-95
CODEN: JPCCEM; ISSN: 0941-1216
PUBLISHER: Barth
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 126:186013
GI

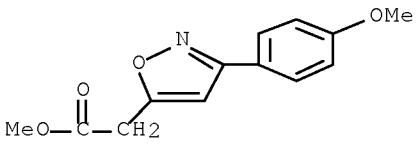


I

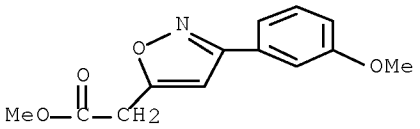
AB EtO2CCH:C(OEt)2 reacts with ethanone oximes such as R(R1CH2)C:NOH (R = 3- or 4-BrC6H4, 3- or 4-MeOC6H4, Me3C, Ph, PhSCH2, 2-furyl, 2-thienyl, 4-Me2NC6H4; R1 = H, PhS) and LDA to give the corresponding isoxazoleacetates I in 23-66% yield.

IT 187672-53-3P 187672-57-7P 187672-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoxazoleacetates)

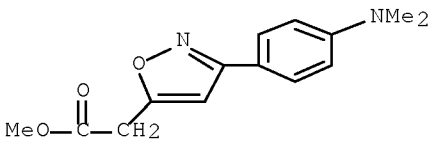
RN 187672-53-3 CAPLUS
CN 5-Isoxazoleacetic acid, 3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



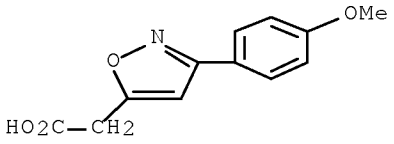
RN 187672-57-7 CAPLUS
CN 5-Isoxazoleacetic acid, 3-(3-methoxyphenyl)-, methyl ester (CA INDEX NAME)



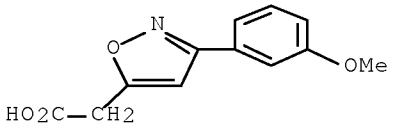
RN 187672-63-5 CAPLUS
CN 5-Isoxazoleacetic acid, 3-[4-(dimethylamino)phenyl]-, methyl ester (CA INDEX NAME)



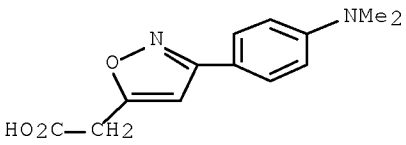
IT 187672-68-0P 187672-77-1P 187672-93-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of isoxazoleacetates)
RN 187672-68-0 CAPLUS
CN 5-Isoxazoleacetic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



RN 187672-77-1 CAPLUS
CN 5-Isoxazoleacetic acid, 3-(3-methoxyphenyl)- (CA INDEX NAME)



RN 187672-93-1 CAPLUS
CN 5-Isoxazoleacetic acid, 3-[4-(dimethylamino)phenyl]- (CA INDEX NAME)

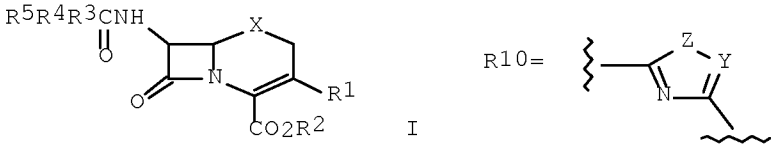


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

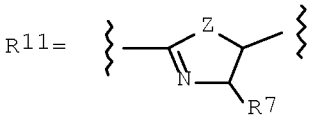
L24 ANSWER 38 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:411056 CAPLUS Full-text
DOCUMENT NUMBER: 125:86395
ORIGINAL REFERENCE NO.: 125:16285a,16288a
TITLE: 7-substituted-amino-3-substituted-3-cephem-4-carboxylic acids for treatment of bacterial infections.
INVENTOR(S): Lin, Ho Shen
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

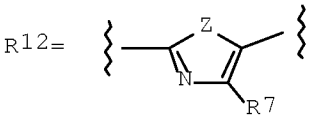
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5525599	A	19960611	US 1993-95383	19930721 <--
US 5527792	A	19960618	US 1995-448823	19950524 <--
US 5620968	A	19970415	US 1995-449129	19950524 <--
PRIORITY APPLN. INFO.:			US 1993-95383 A3	19930721 <--

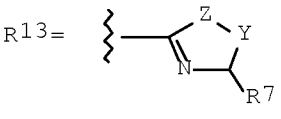
OTHER SOURCE(S): CASREACT 125:86395; MARPAT 125:86395
GI

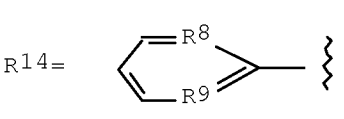


I





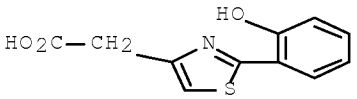




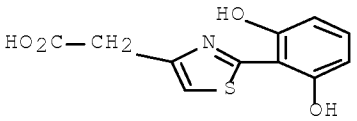
AB The invention provides compds. of the formula I wherein X is CH2, S or O; R1 is a 3 position substituent such as hydrogen, hydroxy, halo, trifluoromethyl, C2 F5, C1 -C6 alkyl, C1 -C6 substituted alkyl, C1 -C6 alkenyl, C1 -C6 alkynyl, CH2 O(CO)R', CH2 O(CO)NH2, CO2 R', thio(C1 -C6)alkyl, thio(C1 C6)alkenyl, oxo(C1 -C6)alkyl, phosphine oxide, quaternary ammonium group, substituted or unsubstituted thiazolothio, or oxo(C1 -C6)alkenyl; wherein R' is hydrogen, C1 -C6 alkyl, or C1 -C6 alkenyl; R2 is hydrogen or a carboxy protecting group; R3 is C(:NOR6), C:(CHR6), (CH2)n ; wherein R6 is hydrogen Me, CH2 F, CF3, C2 H5, CH2 CH2 F, CH2 CF3, C2 F5, CH2 CO2 R', CH2 CONH2, C(Me)2 CO2 R', or C(Me)2 CONH2 ; and n is 0-5; R4 is R10, R11, R12, R13, wherein Z is O, S, NH, or CH2 ; Y is CH or N; and R7 is hydrogen, C1 -C6 alkyl, CONH2, or CO2 R'; and R5 is R14, 3-hydroxy-4-oxo-1,4-dihydropyridino, wherein R8 is CH, N, COH, CO(C1 -C6 alkyl) CSH, or CNH2 ; and R9 is R8 as defined; said R5 optionally substituted 1-4 times with halo, OH, SH, NH2, NO2, CH3, C2 H5, CO2 R', CONH2, SO3 H, or SO2 NHR'; and salts thereof. Also, pharmaceutical formulations and methods for treating bacterial infections in man or other animals using the above compds. are disclosed.

IT 171017-49-5P 171017-50-8P 171017-52-0P
178765-31-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7-amino-3-cephem-4-carboxylic acids derivs. for treatment of
bacterial infections)

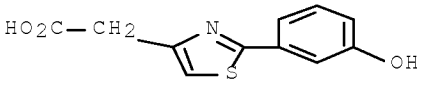
RN 171017-49-5 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



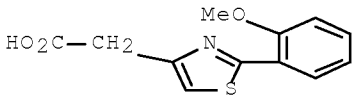
RN 171017-50-8 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2,6-dihydroxyphenyl)- (CA INDEX NAME)



RN 171017-52-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-(3-hydroxyphenyl)- (CA INDEX NAME)



RN 178765-31-6 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2-methoxyphenyl)- (CA INDEX NAME)

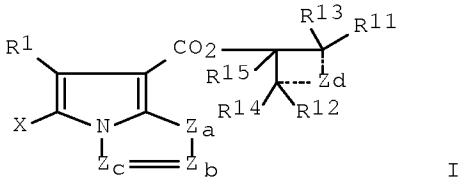


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 39 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:262408 CAPLUS Full-text
DOCUMENT NUMBER: 125:22192
ORIGINAL REFERENCE NO.: 125:4259a,4262a
TITLE: Silver halide color photographic material containing cyan coupler and unsaturated carbon compound as solvent in hydrophobic micrograins
INVENTOR(S): Takizawa, Hiroo; Yoshioka, Yasuhiro; Seto, Nobuo; Morigaki, Masakazu
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08044015	A	19960216	JP 1994-200314	19940803 <--
PRIORITY APPLN. INFO.:			JP 1994-200314	19940803 <--

GI



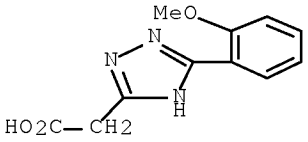
I

AB The photog. material has a Ag halide emulsion layer containing a cyan coupler I [Za = NH, CHR2; Zb, Zc = CR3, N; R1, R2 = electron-withdrawing group with Hammett's constant σp 0.20-1.0; R3 = H, substituent; X = H, leaving group; R11, R12 = substituent other than Me; R13-15 = H, substituent; Zd = (substituted) nonmetallic group forming a saturated ring] and a compound having ≥1 C-C unsatd. bond in a mol. (other than Ph) in oleophilic micrograins. The C compound may be O:P(OR)3 (R = C2-40 alkenyl, alkynyl), for example. The compound is a high-m.p. solvent. The photog. material shows

high maximum color d. and gives a color image with resistance to light, heat, and moisture.

IT 173982-13-3P
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(in azo heterocyclic compound photog. cyan coupler preparation)

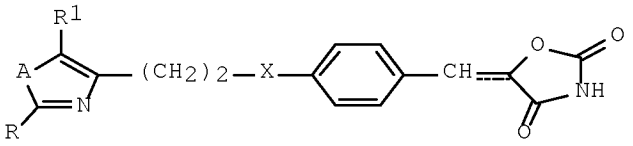
RN 173982-13-3 CAPLUS
CN 1H-1,2,4-Triazole-3-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 40 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:248875 CAPLUS Full-text
DOCUMENT NUMBER: 125:10797
ORIGINAL REFERENCE NO.: 125:2369a,2372a
TITLE: Oxazolidinedione hypoglycemic agents
INVENTOR(S): Dow, Robert L.; Hulin, Bernard; Clark, David A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 13 pp., Cont.of U. S. Ser. No. 855,038, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5498621	A	19960312	US 1994-289612	19940812 <--
PRIORITY APPLN. INFO.:			US 1992-855038 B1	19920501 <--

OTHER SOURCE(S): CASREACT 125:10797; MARPAT 125:10797
GI



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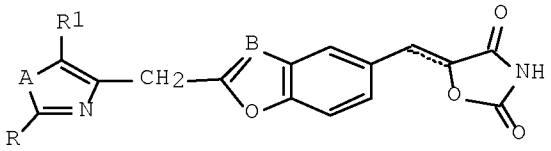


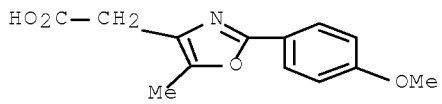
II

AB Oxazolidinediones I or II or a pharmaceutically acceptable salt thereof wherein the dotted line represents a bond or no bond, R is cycloalkyl having three to seven carbon atoms, naphthyl, thienyl, furyl, Ph or substituted Ph wherein said substituent is alkyl having one to three carbon atoms, alkoxy having one to three carbon atoms, trifluoromethyl, chloro, fluoro or bis(trifluoromethyl); R1 is alkyl having one to three carbon atoms; X is O or CO; A is O or S; and B is N or CH are useful as hypoglycemic agents (no data). Thus, e.g., amidation of cyclohexylcarbonyl chloride with Et α-aminoacetoacetate hydrochloride afforded Et α-cyclohexylcarbonylaminoacetoacetate which was cyclized with phosphorus oxychloride to provide Et 2-cyclohexyl-5-methyloxazole-4-carboxylate; homologation to 2-cyclohexyl-4-hydroxyethyl-5-methyloxazole followed by coupling with 3-triphenylmethyl-5-(p-hydroxybenzyl)-2,4-oxazolidinedione and deprotection afforded 5-(4-[2-cyclohexyl-5-methyloxazol-4-ylethoxy]benzyl)-2,4-oxazolidinedione (I; R = cyclohexyl; R1 = Me; A = O; X = O; dotted line is no bond, and links the oxazolidinedione ring to a CH2 group).

IT 136058-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxazolidinedione hypoglycemic agents)

RN 136058-68-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 41 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:120343 CAPLUS Full-text

DOCUMENT NUMBER: 124:289462

ORIGINAL REFERENCE NO.: 124:53679a,53682a

TITLE: Synthesis of azoles, azolomethylazoles and azolomethyl triazines as biodynamic agents

AUTHOR(S): Ram, Vishnu Ji; Nath, Mahendra; Singh, Sunil K.

CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(3), 273-5
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:289462

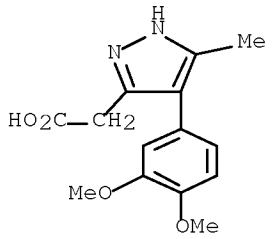
AB Various (substituted pyrazol-5-yl)acetic acids have been prepared from the acid hydrolysis of resp. (pyrazol-5-yl)acetonitriles (1). The acid-catalyzed condensation-cyclization of 1 with thiosemicarbazide leads to the formation of 2-amino-5-(pyrazol-5-ylmethyl)-1,3,4-thiadiazoles which on reaction with

phenacyl bromide yield imidazo[2,1-b]-1,3,4-thiadiazoles. The analogous reaction of 1 with 4-(thiazol-2-yl)thiosemicarbazide in TFA affords pyrazolylmethyl(thiadiazolylamino)thiadiazoles. Interaction of 1 with dicyandiamide under basic condition yields pyrazolylmethyltriazines.

IT 175604-02-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of azoles, azolomethylazoles and azolomethyl triazines as biodynamic agents)

RN 175604-02-1 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-(3,4-dimethoxyphenyl)-5-methyl- (CA INDEX NAME)



L24 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:50755 CAPLUS Full-text

DOCUMENT NUMBER: 124:189399

ORIGINAL REFERENCE NO.: 124:34799a

TITLE: Silver halide color photographic material providing highly stable cyan image by coupler with azole-condensed pyrrole structure

INVENTOR(S): Takizawa, Hiroo; Yoneyama, Hiroyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 50 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

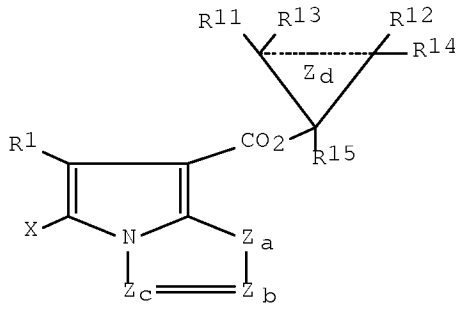
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07270990	A	19951020	JP 1994-81113	19940329 <--
JP 3442136	B2	20030902		

PRIORITY APPLN. INFO.: JP 1994-81113 19940329 <--

GI



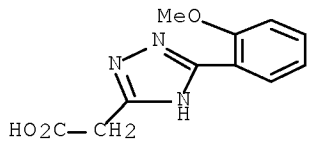
I

AB The claimed color photog. material contains a cyan coupler I (Za = NH, CHR2; Zb, Zc = CR3, N; R1, R2 = electron-attracting group having the Hammet's σp of ≥0.20; R3 = H, substituent; X = H, leaving group to be released by the coupling reaction with the oxidized color developing agent; R11, R12 = substituent other than methyl; R13, R14, R15 = H, substituent; Zd = saturated ring) and a H-bond-forming proton donor. The proton donor is preferably selected from derivs. of phenol, amine, urea and phosphonoamine. The coupler with high coupling activity, provides a cyan dye with good stability to light and sharp spectral absorption.

IT 173982-13-3P
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(in azole-condensed pyrrole compound preparation)

RN 173982-13-3 CAPLUS

CN 1H-1,2,4-Triazole-3-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 43 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:931237 CAPLUS Full-text

DOCUMENT NUMBER: 123:340969

ORIGINAL REFERENCE NO.: 123:61223a,61226a

TITLE: Preparation of substituted 5-member-ring heterocyclic-compound blood platelet aggregation inhibitors and anticancer agents

INVENTOR(S): Zoller, Gerhard; Klingler, Otmar; Jablonka, Bernd; Just, Melitta; Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang; Stilz, Hans-Ulrich

PATENT ASSIGNEE(S): Cassella Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

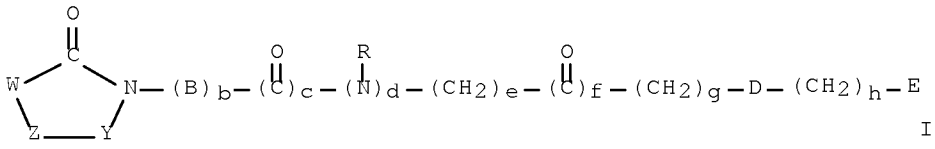
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514008	A1	19950526	WO 1994-EP3491	19941024 <--
W: AU, CA, CN, CZ, FI, HU, JP, KR, PL, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4338944	A1	19950518	DE 1993-4338944	19931115 <--
DE 4427979	A1	19960215	DE 1994-4427979	19940808 <--
AU 9479397	A	19950606	AU 1994-79397	19941024 <--
AU 693811	B2	19980709		
EP 729460	A1	19960904	EP 1994-930215	19941024 <--
EP 729460	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74736	A2	19970228	HU 1996-1300	19941024 <--
HU 223806	B1	20050128		
JP 09505062	T	19970520	JP 1995-514176	19941024 <--
JP 3813983	B2	20060823		
RU 2151143	C1	20000620	RU 1996-113118	19941024 <--
PL 180906	B1	20010430	PL 1994-314306	19941024 <--
AT 217615	T	20020615	AT 1994-930215	19941024 <--
SK 284471	B6	20050401	SK 1996-585	19941024 <--
FI 9602043	A	19960514	FI 1996-2043	19960514 <--
FI 113265	B1	20040331		
US 5981492	A	19991109	US 1996-640895	19960719 <--
PRIORITY APPLN. INFO.:			DE 1993-4338944 A	19931115 <--
			DE 1994-4427979 A	19940808 <--
			WO 1994-EP3491 W	19941024 <--

OTHER SOURCE(S): MARPAT 123:340969

GI



I

AB The title compds. (I; W,Y,Z,B,D,E, and R, as well as b,c,d,e,f,g, and h are defined in the patent application claims) [e.g., [(R,S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1- yl]acetyl-L-aspartyl-L-phenylglycine; II], useful as inhibitors of blood platelet aggregation (e.g., II demonstrated a IC50 of 0.05 μM for thrombin-stimulated platelet aggregation), inhibitors of metastasis of cancerous cells, and inhibitors of the attachment of osteoclasts to bone surfaces, are prepared

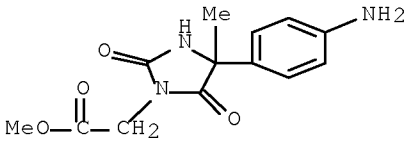
IT 169808-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted 5-member-ring heterocyclic-compound blood platelet aggregation inhibitors and anticancer agents from)

RN 169808-26-8 CAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-aminophenyl)-4-methyl-2,5-dioxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

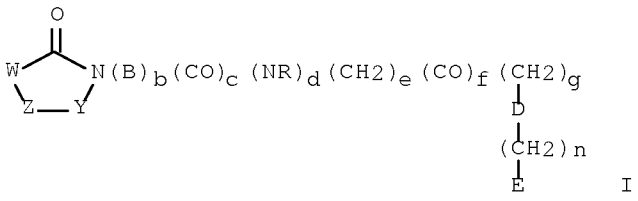
L24 ANSWER 44 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:896112 CAPLUS Full-text
DOCUMENT NUMBER: 123:314540
ORIGINAL REFERENCE NO.: 123:56407a,56410a
TITLE: Preparation of heterocyclylpeptides and related compounds as inhibitors of thrombocyte aggregation, cancer cell metastasis, and binding of osteoclasts to bone surfaces.
INVENTOR(S): Zoller, Gerhard; Klingler, Otmar; Jablonka, Bernd; Just, Melitta; Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang; Stilz, Hans Ulrich
PATENT ASSIGNEE(S): Cassella AG, Germany
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4338944	A1	19950518	DE 1993-4338944	19931115 <--
DE 4427979	A1	19960215	DE 1994-4427979	19940808 <--
CA 2169643	A1	19950526	CA 1994-2169643	19941024 <--
WO 9514008	A1	19950526	WO 1994-EP3491	19941024 <--
W: AU, CA, CN, CZ, FI, HU, JP, KR, PL, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9479397	A	19950606	AU 1994-79397	19941024 <--
AU 693811	B2	19980709		
EP 729460	A1	19960904	EP 1994-930215	19941024 <--
EP 729460	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1134696	A	19961030	CN 1994-194043	19941024 <--
CN 1069316	C	20010808		
HU 74736	A2	19970228	HU 1996-1300	19941024 <--
HU 223806	B1	20050128		
JP 09505062	T	19970520	JP 1995-514176	19941024 <--
JP 3813983	B2	20060823		
RU 2151143	C1	20000620	RU 1996-113118	19941024 <--
PL 180906	B1	20010430	PL 1994-314306	19941024 <--
AT 217615	T	20020615	AT 1994-930215	19941024 <--
ES 2176261	T3	20021201	ES 1994-930215	19941024 <--
CZ 292573	B6	20031015	CZ 1996-1162	19941024 <--
SK 284471	B6	20050401	SK 1996-585	19941024 <--

ZA 9409017	A	19950515	ZA 1994-9017		19941114 <--
IL 111622	A	20001031	IL 1994-111622		19941114 <--
FI 9602043	A	19960514	FI 1996-2043		19960514 <--
FI 113265	B1	20040331			
US 5981492	A	19991109	US 1996-640895		19960719 <--
US 6191282	B1	20010220	US 1999-362363		19990728 <--
PRIORITY APPLN. INFO.:			DE 1993-4338944	A	19931115 <--
			DE 1994-4427979	A	19940808 <--
			WO 1994-EP3491	W	19941024 <--
			US 1996-640895	A3	19960719 <--

OTHER SOURCE(S): MARPAT 123:314540

GI



I

AB Title compds. [I; W = R1ACR13, R1ACH:C; Y = CO, CS, CH2; Z = NR, O, S, CH2; A = (cyclo)alkylene, phenylene, phenylenealkyl, phenylenealkenyl, (substituted) divalent N-containing heterocycle; B = alkylene, alkenylene, phenylene, phenylenealkyl; D = CR2R3, CHCR3; E = tetrazolyl, (R8O)2PO, HO3S, R9NHSO2, R10CO; R = H, alkyl, cycloalkyl, (substituted) aryl, aralkyl; R1 = XNHC(:NH)(CH2)p, X1NH(CH2)p; p = 0-3; X = H, alkyl, alkylcarbonyl, alkoxycarbonyl, cyano, OH, amino, (substituted) arylcarbonyl, etc.; X1 = X, R'NHC(:NR''); R', R'' = X; R2 = H, alkyl, (substituted) aryl, aralkyl, cycloalkyl; R3 = R2, alkenyl, alkynyl, alkenylcarbonyl, alkynylcarbonyl, pyridyl, etc.; R8 = H, alkyl, (substituted) aryl, aralkyl; R9 = H, aminocarbonyl, alkylaminocarbonyl, alkyl, (substituted) aryl, cycloalkyl; R10 = OH, alkoxy, (substituted) arylalkoxy, aryloxy, amino; R13 = H, alkyl, (substituted) aralkyl, cycloalkyl; b, c, d, f = 0, 1; e, g, h = 0-6], were prepared as inhibitors of cell-cell adhesion dependent on the interaction of Arg-Gly-Asp containing proteins and other adhesive proteins (no data). Thus, [[(S,R)-4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetylaspartylphenylglycine was prepared by solution phase methods.

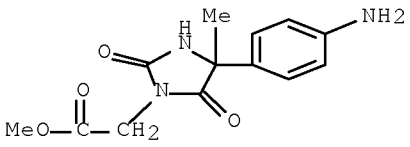
IT 169808-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylpeptides and related compds. as inhibitors of thrombocyte aggregation, cancer cell metastasis, and binding of osteoclasts to bone surfaces)

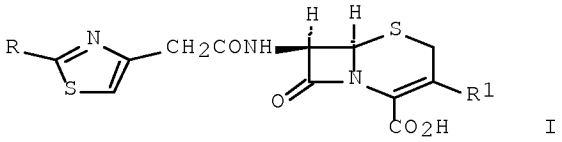
RN 169808-26-8 CAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-aminophenyl)-4-methyl-2,5-dioxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

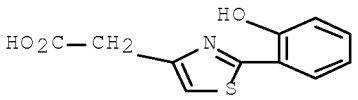
L24 ANSWER 45 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:871660 CAPLUS Full-text
DOCUMENT NUMBER: 123:337515
ORIGINAL REFERENCE NO.: 123:60592h,60593a
TITLE: Synthesis and in vitro evaluation of new cephalosporins exhibiting antimicrobial activity against gram-positive bacteria, in particular methicillin-resistant Staphylococci
AUTHOR(S): Lin, Ho-Shen; Rampersaud, Ashraff A.; Flokowitsch, Jane E.; Alborn, William E., Jr.; Wu, Ernie C.; Preston, David A.
CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly Co., Indianapolis, IN, 46285, USA
SOURCE: Journal of the Chinese Chemical Society (Taipei) (1995), 42(5), 833-45
CODEN: JCCTAC; ISSN: 0009-4536
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:337515
GI



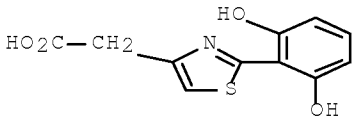
I

AB The preparation and biol. evaluation of cephalosporins I [R = 2-HOC6H4, 2,6-(HO)2C6H3, 3-hydroxy-2-pyridyl, 3-HOC6H4, 2-MeOC6H4; R1 = CH2OAc, Cl, H, Me] are described. Hantzsch's thiazole synthesis is employed to provide thiazoleacetic acids, followed by Morpho CDI-assisted amidation to complete the synthesis of I. I display activity selectively against Gram-pos. bacteria, but are inactive against most Gram-neg. bacteria tested. I [R1 = CH2OAc] exhibit activity with minimal inhibitory concns. of 16 μg/mL or lower against four strains of methicillin-resistant staphylococci. Notably, I [R = 2-HOC6H4, R1 = CH2OAc] displays an activity profile similar to that of vancomycin regarding its spectrum and potency.
IT 171017-49-5P 171017-50-8P 171017-52-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of

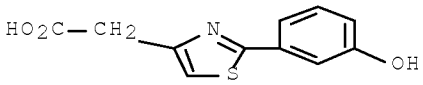
arylthiazolylacetamidocephalosporins)
RN 171017-49-5 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 171017-50-8 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2,6-dihydroxyphenyl)- (CA INDEX NAME)



RN 171017-52-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-(3-hydroxyphenyl)- (CA INDEX NAME)



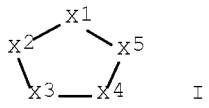
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L24 ANSWER 46 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:465368 CAPLUS Full-text
DOCUMENT NUMBER: 122:214087
ORIGINAL REFERENCE NO.: 122:39139a,39142a
TITLE: 5-Member heterocyclic antithrombotics and blood platelet aggregation inhibitors
INVENTOR(S): Linz, Guenter; Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Ger. Offen., 35 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4302051	A1	19940728	DE 1993-4302051	19930126 <--

CA 2114178	A1	19940727	CA 1994-2114178	19940125 <--
NO 9400261	A	19940727	NO 1994-261	19940125 <--
JP 07002851	A	19950106	JP 1994-6295	19940125 <--
CN 1097753	A	19950125	CN 1994-100575	19940125 <--
ZA 9400495	A	19950725	ZA 1994-495	19940125 <--
FI 9400378	A	19940727	FI 1994-378	19940126 <--
EP 608858	A1	19940803	EP 1994-101125	19940126 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9453984	A	19940804	AU 1994-53984	19940127 <--

PRIORITY APPLN. INFO.: DE 1993-4302051 A 19930126 <--
OTHER SOURCE(S): MARPAT 122:214087
GI

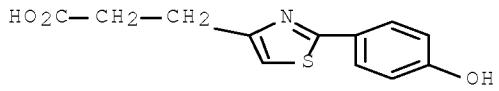


I

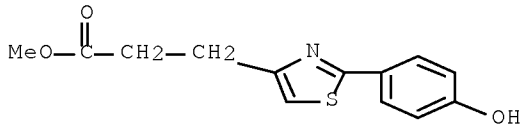
AB The title compds. [I; X1-X5 = C- or heteroatom-containing (heteroatom) substituents], useful as antithrombotics and blood platelet aggregation inhibitors (no data), are prepared and I-containing formulations presented. Thus, 1-[6-(4-amidinophenyl)-3-pyridazinyl]-4-[2-(n-butanesulfonylamino)-2-carboxyethyl]imidazole hydrochloride was prepared and demonstrated an ED50 of 40 nM in a collagen-induced blood platelet aggregation assay.

IT 161975-24-2P 161975-25-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of heterocyclic antithrombotics and
blood platelet aggregation inhibitors)

RN 161975-24-2 CAPLUS
CN 4-Thiazolepropanoic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)

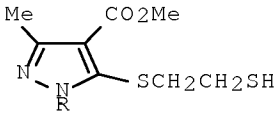


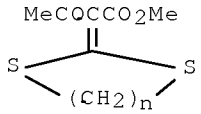
RN 161975-25-3 CAPLUS
CN 4-Thiazolepropanoic acid, 2-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)

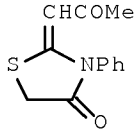


OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L24 ANSWER 47 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:51350 CAPLUS Full-text
DOCUMENT NUMBER: 122:55964
ORIGINAL REFERENCE NO.: 122:10847a,10850a
TITLE: Polarized ketene dithioacetals. Part II: Synthesis of S,S- and S,N-cyclic ketene dithioacetals and their transformation to azoles and 1,3-dithiole-2-thiones
AUTHOR(S): Ram, Vishnu J.; Haque, Navedul; Singh, S. K.; Nath, M.; Shoeb, A.
CORPORATE SOURCE: Medicinal Chem. Div., CDRI, Lucknow, 226 001, India
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1994), 88(1-4), 155-61
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:55964
GI

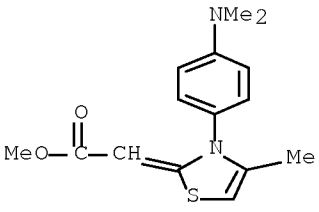


I


II


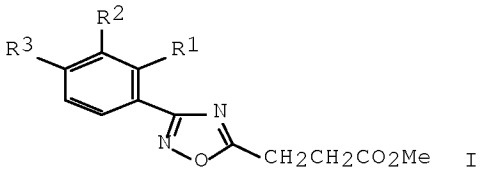
III

AB New procedures are given for the synthesis of azoles, e.g., I (R = H, Me), dithiolane or dithiane II (n = 1, 2), thiazolidinones, e.g., III, thiazolines, and 1,3-dithiole-2-thiones from active methylene compds.
IT 159879-05-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of cyclic ketene dithioacetals and their transformation to azoles and dithiolethiones)
RN 159879-05-7 CAPLUS
CN Acetic acid, 2-[3-[4-(dimethylamino)phenyl]-4-methyl-2(3H)-thiazolylidene]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

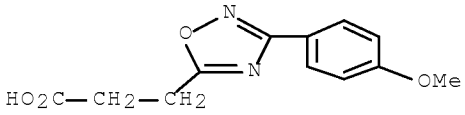
L24 ANSWER 48 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:409265 CAPLUS Full-text
DOCUMENT NUMBER: 121:9265
ORIGINAL REFERENCE NO.: 121:1961a,1964a
TITLE: Methyl 3-[3-(aryl)-1,2,4-oxadiazol-5-yl]propionates
AUTHOR(S): Srivastava, Rajendra M.; de Oliveira, Marilu L.; de Albuquerque, Julianna F. C.
CORPORATE SOURCE: Dep. Eng. Quim., Univ. Fed. Pernambuco, Recife, 50739, Brazil
SOURCE: Journal of the Brazilian Chemical Society (1992), 3(3), 117-9
CODEN: JOCSET; ISSN: 0103-5053
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



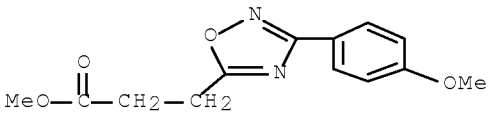
I

AB Preparation of seven Me 3-[3-(aryl)-1,2,4-oxadiazol-5-yl]propionates (I; R1, R2 = H, Me; R3 = H, Me, OMe, Cl, Br) and their spectroscopic properties (IR, UV, 1H and 13C NMR) are described.

IT 94192-18-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)
RN 94192-18-4 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)

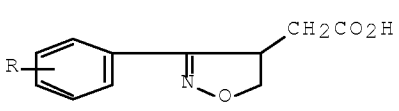


IT 155500-83-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 155500-83-7 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)

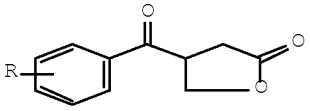


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L24 ANSWER 49 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:128733 CAPLUS Full-text
DOCUMENT NUMBER: 116:128733
ORIGINAL REFERENCE NO.: 116:21795a,21798a
TITLE: Reaction of 4-aroyl-2(3H)-dihydrofuranones with hydroxylamine hydrochloride. Synthesis and pharmacological study of a series of 3-aryl-4,5-dihydro-4-isoxazoleacetic acids
AUTHOR(S): Curzu, Maria M.; Pinna, Gerard A.; Cignarella, Giorgio; Barlocco, Daniela; Demontis, Maria Piera
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Sassari, Sassari, 07100, Italy
SOURCE: Collection of Czechoslovak Chemical Communications (1991), 56(11A), 2494-9
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:128733
GI



I

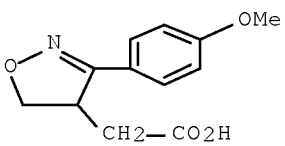


II

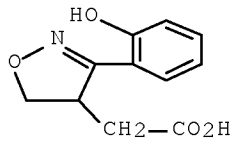
AB A new series of 3-aryl-4,5-dihydro-4-isoxazoleacetic acids I (R = H, 4-Cl, 4-Me, 4-OMe, 2-OH, 4-NHAc, 4-OH) were prepared by the reaction of title aroylfuranones II with H2NOH. I were tested for antiinflammatory activity. Preliminary pharmacol. results seem to indicate the 3-Ph derivative I (R = H) as the most interesting compound In fact, when tested against carrageenin edema in Wistar rats, it shows antiinflammatory activity comparable to that of naproxene, taken as reference drug, though of shorter duration. All the substituted Ph derivs. were less active or inactive.

IT 139359-70-9P 139359-71-0P 139359-73-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)

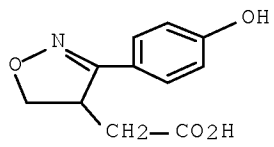
RN 139359-70-9 CAPLUS
CN 4-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)- (CA INDEX NAME)



RN 139359-71-0 CAPLUS
CN 4-Isoxazoleacetic acid, 4,5-dihydro-3-(2-hydroxyphenyl)- (CA INDEX NAME)



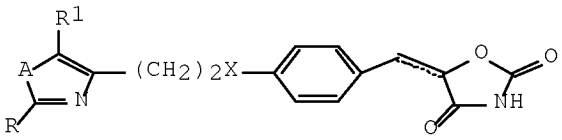
RN 139359-73-2 CAPLUS
CN 4-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)- (CA INDEX NAME)

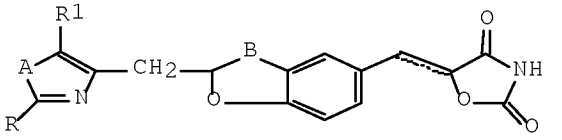


L24 ANSWER 50 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:536086 CAPLUS Full-text
DOCUMENT NUMBER: 115:136086
ORIGINAL REFERENCE NO.: 115:23339a,23342a
TITLE: Preparation of oxazolidinediones as hypoglycemic agents
INVENTOR(S): Clark, David Alan; Hulin, Bernard; Dow, Robert Lee
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 428312	A2	19910522	EP 1990-312116	19901106 <--
EP 428312	A3	19911023		
EP 428312	B1	19941228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9107107	A1	19910530	WO 1989-US5222	19891113 <--
W: FI, HU, NO, RO, SU, US				
ES 2066991	T3	19950316	ES 1990-312116	19901106 <--
CA 2029703	A1	19910514	CA 1990-2029703	19901109 <--
CA 2029703	C	19961015		
JP 03170478	A	19910724	JP 1990-306941	19901113 <--
JP 07008862	B	19950201		
FI 9202141	A	19920512	FI 1992-2141	19920512 <--
FI 95469	B	19951031		

FI 95469 C 19960212
PRIORITY APPLN. INFO.: WO 1989-US5222 A 19891113 <--
OTHER SOURCE(S): MARPAT 115:136086
GI

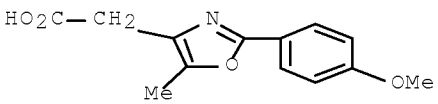

I


II

AB Title compds. I and II [R = C3-7 cycloalkyl, naphthyl, thienyl, furyl, (substituted) Ph; R1 = C1-3 alkyl; X = O, CO; A = O, S; B = N, CH] or a salt thereof, useful as hypoglycemics and anticholesteremics (no data), are prepared I (R = cyclohexyl, R1 = Me, A = X = O) was prepared in 7 steps from Et α-aminoacetoacetate-HCl and cyclohexylcarbonyl chloride. II (R = Ph, R1 = Me, A = O, B = CH) was prepared in 7 steps from 5,2-Br(HO)C6H3CHO and 4-(bromoacetyl)-5-methyl-2-phenyloxazole.

IT 136058-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of oxazolidinedione hypoglycemics)

RN 136058-68-9 CAPLUS
CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)



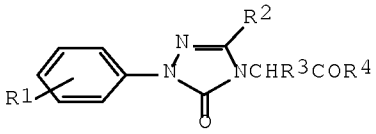
OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

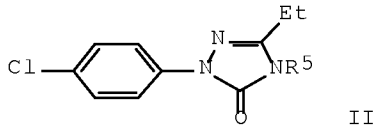
L24 ANSWER 51 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:478402 CAPLUS Full-text
DOCUMENT NUMBER: 113:78402
ORIGINAL REFERENCE NO.: 113:13271a,13274a
TITLE: Preparation of Δ2-1,2,4-triazolin-5-one derivatives as antiulcer agents
INVENTOR(S): Murai, Toshi; Fujii, Masahiro; Nakajima, Tsutomu
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02053780	A	19900222	JP 1988-202422	19880812 <--

PRIORITY APPLN. INFO.: JP 1988-202422 19880812 <--
OTHER SOURCE(S): MARPAT 113:78402
GI

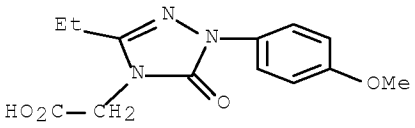

I


II

AB The title compds. (I; R1 = H, halo CF3, C1-8 alkyl, C1-4 alkoxy; R2 = C1-8 alkyl; R3 = H, Me; R4 = piperazinyl, diazoninyl, etc.) are prepared Triazolinone derivative II (R5 = H) was added to a NaOEt/EtOH solution, followed by BrCH2CO2ET, and the mixture refluxed to give 85.4% ester II (R5 = CH2CO2Et), which was saponified to give 90.2 % acid II (R5 = CH2CO2H) (III). Refluxing III with SOCl2 gave quant. acid chloride III (R5 = CH2COCl) which was treated with N-methylpiperazine in MeCOEt to give 77.5% I·HCl (R1 = 4-Cl, R2 = Et, R3 = H, R4 = 4-methyl-1-piperazinyl), which showed 94% ulcer inhibition at 100 mg/kg p.o. in mice, vs. 87% with cimetidine. Also prepared were .apprx.50 addnl. I and intermediates. Capsules, tablet and injection formulations were given.

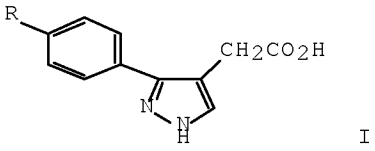
IT 128695-28-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, with methylpiperidine)

RN 128695-28-3 CAPLUS
CN 4H-1,2,4-Triazole-4-acetic acid, 3-ethyl-1,5-dihydro-1-(4-methoxyphenyl)-5-oxo- (CA INDEX NAME)



L24 ANSWER 52 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:440552 CAPLUS Full-text
DOCUMENT NUMBER: 113:40552
ORIGINAL REFERENCE NO.: 113:6891a,6894a
TITLE: A facile synthesis of 3-aryl-4-pyrazoleacetic acids and of their 4,5-dihydro derivatives

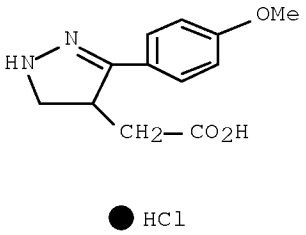
AUTHOR(S): Curzu, Maria M.; Pinna, Gerard A.; Barlocco, Daniela; Cignarella, Giorgio
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Sassari, Sassari, 07100, Italy
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(2), 205-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:40552
GI



I

AB 3-Aryl-4-pyrazoleacetic acids I (R = H, F, Cl) and their 4,5-dihydro derivs. can conveniently be prepared by cyclization of 4-RC6H4COC(:CH2)CH2CO2H with hydrazine hydrate in acetic acid and subsequent treatment with bromine in acetic acid with dilute mineral acids resp.

IT 127847-41-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127847-41-0 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L24 ANSWER 53 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:178985 CAPLUS Full-text
DOCUMENT NUMBER: 112:178985
ORIGINAL REFERENCE NO.: 112:30281a,30284a
TITLE: Preparation of α-unsubstituted imidazole 3-oxides as intermediates and phytovirucides
INVENTOR(S): Hossbach, Rosemarie; Kluge, Siegfried; Lettau, Herbert; Nuhn, Peter; Schneider, Rudolf; Stenger, Peter; Stiebitz, Beate

PATENT ASSIGNEE(S): Martin-Luther-Universitaet Halle-Wittenberg, Ger. Dem. Rep.
SOURCE: Ger. (East), 7 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

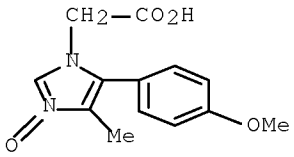
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 271903	A1	19890920	DD 1988-315826	19880517 <--

PRIORITY APPLN. INFO.: DD 1988-315826 19880517 <--
OTHER SOURCE(S): CASREACT 112:178985

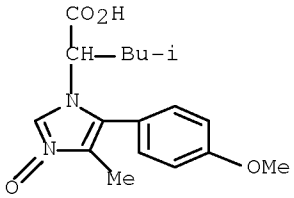
AB The title compds. were prepared by a cyclocondensation of an α-hydroxyiminoketone with H2CO and an amino acid in an H2O-miscible solvent such as MeOH, EtOH, DMF, dioxane, or HOAc or their mixts. with H2O at 50-120°. Thus, a mixture of MeCOC(:NOH)(CH2)3Me, H2NCH2CO2H, and 30% aqueous H2CO was refluxed 3 h to give 75% 4-butyl-1-carboxymethyl-5-methylimidazole 3-oxide. The title imidazole oxides are useful as intermediates for bioactive compds. and their D-enantiomers are antiphytovirals.

IT 126262-81-5P 126263-12-5P 126263-72-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from hydroxyaminoketone, formaldehyde, and amino acid)

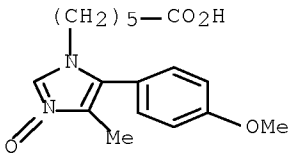
RN 126262-81-5 CAPLUS
CN 1H-Imidazole-1-acetic acid, 5-(4-methoxyphenyl)-4-methyl-, 3-oxide (CA INDEX NAME)



RN 126263-12-5 CAPLUS
CN 1H-Imidazole-1-acetic acid, 5-(4-methoxyphenyl)-4-methyl-α-(2-methylpropyl)-, 3-oxide (CA INDEX NAME)



RN 126263-72-7 CAPLUS
CN 1H-Imidazole-1-hexanoic acid, 5-(4-methoxyphenyl)-4-methyl-, 3-oxide (CA INDEX NAME)

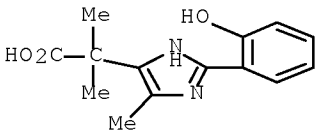


L24 ANSWER 54 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:506964 CAPLUS Full-text
DOCUMENT NUMBER: 109:106964
ORIGINAL REFERENCE NO.: 109:17753a,17756a
TITLE: Stereoelectronic effects at carboxylate: a syn-oriented model for the histidine-aspartate couple in enzymes
AUTHOR(S): Zimmerman, Steven C.; Cramer, Katherine D.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Journal of the American Chemical Society (1988), 110(17), 5906-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2,5,12,15-Tetraoxa-8,23-diazatricyclo[17.3.1.17,10]-tricosa- 7,9,17(22),18,20-pentaene-22-carboxylic acid, the 1st crown ether to contain a C-2- and C-4(5)-linked imidazole, was synthesized from 1-benzylimidazole. It is the 1st imidazole-carboxylate in which the syn lone pair of the carboxylate is oriented toward the imidazole and is, therefore, an appropriate model for the histidine (His)-aspartate (Asp) couple found in the active sites of serine proteases. It has been argued that a stereoelectronic effect makes the syn lone pair more basic and therefore preferred in the enzymic His-Asp couple. By use of 1H NMR titrns. in D2O, a ΔpKa of 1.50 was found for the imidazole in this system; this value is identical to that found in trypsin (ΔpKa = 1.50). All previously studied models use the anti lone pair of the carboxylate, which raises the pKa of the imidazole by <1 unit.

IT 51818-22-5
RL: PRP (Properties)
(ionization constant of)

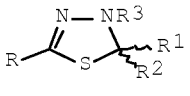
RN 51818-22-5 CAPLUS
CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)-α,α,4-trimethyl- (CA INDEX NAME)

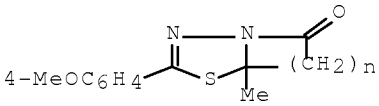


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L24 ANSWER 55 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:138348 CAPLUS Full-text
DOCUMENT NUMBER: 106:138348

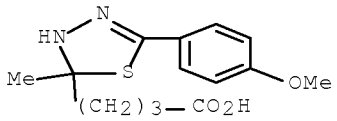
ORIGINAL REFERENCE NO.: 106:22573a,22576a
TITLE: Thiadiazoles and dihydrothiadiazoles. Part 5. Synthesis of 2,3-dihydro-1,3,4-thiadiazoles by reaction of aldehydes or ketones with thioaroylhydrazines
AUTHOR(S): Evans, D. Michael; Hill, Lawrence; Taylor, David R.; Myers, Malcolm
CORPORATE SOURCE: Chem. Dep., Univ. Manchester Inst. Sci. Technol., Manchester, M60 1QD, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1986), (8), 1499-505
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:138348
GI


I

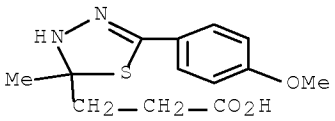

II

AB 1,3,4-Thiadiazole I [R = Ph, 4-MeOC6H4; R1 = H, Me, Ph; R2 = H, Me, Ph, 4-MeOC6H4, 4-MeC6H4, 4-ClC6H4, CH2COMe, (CH2)2CO2H, (CH2)3CO2H, 2-HOC6H4, R1R2 = (CH2)5, (CH2CH2)2NMe, R3 = H, Ph, CH2Ph, CHMe2] were prepared by condensation of R1R2CO with RCSNHNHR3. The reaction of 4-MeOC6H4CSNHNH2 with MeCO(CH2)n CO2H (n = 2,3) gave I [R = 4-MeOC6H4, R1 = Me; R2 = (CH2)n CO2H; R3 = H], which were cyclized to give lactams II.

IT 107402-79-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystallization of)
RN 107402-79-9 CAPLUS
CN 1,3,4-Thiadiazole-2-butanoic acid, 2,3-dihydro-5-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)

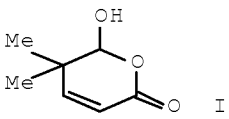


IT 107402-78-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 107402-78-8 CAPLUS
CN 1,3,4-Thiadiazole-2-propanoic acid, 2,3-dihydro-5-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)

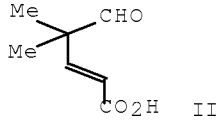


OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L24 ANSWER 56 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:102026 CAPLUS Full-text
DOCUMENT NUMBER: 106:102026
ORIGINAL REFERENCE NO.: 106:16707a,16710a
TITLE: (Z)- and (E)-4,4-Dimethyl-5-oxo-2-pentenoic acids and their derivatives
AUTHOR(S): Ito, Kunio; Miyajima, Shingo
CORPORATE SOURCE: Fac. Eng., Toyo Univ., Saitama, 350, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1986), 59(3), 815-18
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:102026
GI



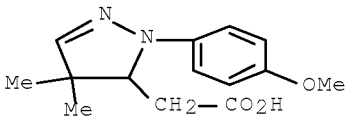
I



II

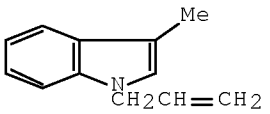
AB The tautomeric equilibrium constant (K = [ring]/[chain]) of pentenoic acid I, which exists almost exclusively in the hydroxy lactone form, was calculated to be 2.8 × 103 in water by the pKa method. Several examples are described of preference for ring forms of derivs. of I, which are capable of exhibiting ring-chain tautomerism. (E)-4,4-Dimethyl-5-oxo-2-pentenoic acid II reacted with SOCl2 to afford a trimeric chloro lactone, which on treatment with water or aniline gave the hydroxy or anilino lactone. I and II reacted with a variety of phenylhydrazines to yield dihydropyrazole derivs., except for 2,4-dinitrophenylhydrazine which on reaction with I gave 2,4-dinitrophenylhydrazino lactone.

IT 106896-18-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106896-18-8 CAPLUS
CN 1H-Pyrazole-5-acetic acid, 4,5-dihydro-1-(4-methoxyphenyl)-4,4-dimethyl- (CA INDEX NAME)

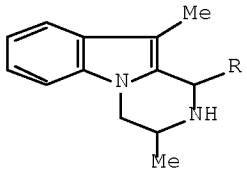


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:572406 CAPLUS Full-text
DOCUMENT NUMBER: 105:172406
ORIGINAL REFERENCE NO.: 105:27789a,27792a
TITLE: Pd(CH3CN)4(BF4)2-assisted attack of nitriles on olefins. A palladium analog of the Ritter reaction
AUTHOR(S): Hegedus, Louis S.; Mulhern, Thomas A.; Asada, Hideki
CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO, 80523, USA
SOURCE: Journal of the American Chemical Society (1986), 108(20), 6224-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172406
GI



II

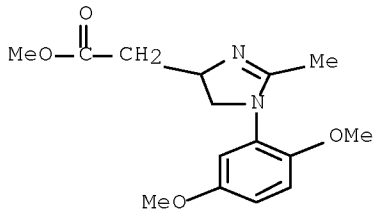


III

AB The strongly electrophilic complex Pd(CH3CN)4(BF4)2 (I) activates a variety of olefins to undergo nucleophilic attack by nitriles to give nitrilium salts. These nitrilium salts undergo reaction with a variety of nucleophiles including electron-rich aroms., alcs., and amines, ultimately producing a variety of heterocyclic ring systems. E.g., N-allylskatole (II) was treated with RCN (R = Me, Et, Ph) in the presence of I followed by reduction with NaBH4 in EtOH to give 37-58% pyrazino[1,2-a]indoles III.

IT 103693-78-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

RN 103693-78-3 CAPLUS
CN 1H-Imidazole-4-acetic acid, 1-(2,5-dimethoxyphenyl)-4,5-dihydro-2-methyl-, methyl ester (CA INDEX NAME)

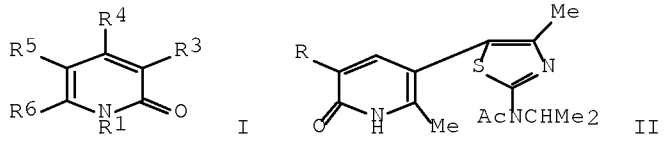


OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L24 ANSWER 58 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:224890 CAPLUS Full-text
DOCUMENT NUMBER: 104:224890
ORIGINAL REFERENCE NO.: 104:35675a,35678a
TITLE: Pyridinones
INVENTOR(S): Juraszyk, Horst; Enenkel, Hans Joachim; Minck, Klaus Otto; Schliep, Hans Jochen; Piulats, Jaime
PATENT ASSIGNEE(S): Merck Patent G.m.b.H. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 167 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3406329	A1	19850822	DE 1984-3406329	19840222 <--
HU 39161	A2	19860828	HU 1985-391	19850201 <--
EP 154190	A1	19850911	EP 1985-101326	19850208 <--
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8538926	A	19850829	AU 1985-38926	19850218 <--
ZA 8501335	A	19851030	ZA 1985-1335	19850221 <--
JP 61010557	A	19860118	JP 1985-33023	19850222 <--

PRIORITY APPLN. INFO.: DE 1984-3406329 A 19840222 <--
OTHER SOURCE(S): MARPAT 104:224890
GI



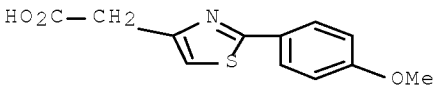
AB Pyridinones I [R1 = H, A, PhCH2; R3 = H, cyano, CONH2, CO2H, CO2A, CO2CH2Ph, NH2; R4 = H, A, A2NCH:CH, Aralkyl, OH, OA, SA, SOA, SO2A, NR7R8; R5 = H, R9R10CHCO, A2NCH:CR10CO, Aryl, (un)substituted pyridyl, (un)substituted thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, imidazopyridyl; R6 = H, A, CO2A; R6R10 = CH2CH2, CH:CH; A = alkyl, Ar = (un)substituted Ph; R7 = H, A, alkenyl, (di)hydroxyalkyl, alkoxyalkyl, Ar, (un)substituted pyridyl, (di)substituted

amino, Aralkyl, Arylhydroxyalkyl, indanyl, (un)substituted pyridylalkyl, (un)substituted piperidyl, 2-(4-methyl-5-imidazolylmethylthio)ethyl; R8 = H, A, hydroxyalkyl, Ar, Aralkyl, HCO, ACO, ArCO, (un)substituted pyridylcarbonyl, Aralkylcarbonyl, CO2A, CONHA; R7R8 = A2NCH:, (un)substituted C3-5 alkylene, optionally with O or (un)substituted imino interrupters; R9 = H, HCO, AO2C, Cl, Br, OH, 2-imidazolylthio, furoyl, etc., R10 = H, A], useful as inotropic agents at 5-500 mg, were prepared by 21 methods. MeCOCHBrCH2CO2Et cyclized with Me2CHNHCSNH2 to give Et 2-(isopropylamino)-4-methyl-5-thiazoleacetate which was successively saponified, reacted with Ac2O-pyridine, and cleaved with acid to give 2-(N-isopropylacetamido)-4-methyl-5-(2- oxopropyl)thiazole. Reaction with (EtO)2CHNMe2 gave the 5-[Me2NCH:C(COMe)] analog which cyclized with Na in PrOH and NCCH2CONH2 at the b.p. 6 h to give thiazolylpyridinone II (R = cyano) and byproduct II (R = CONH2).

IT 23353-14-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of, with acetic anhydride)

RN 23353-14-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L24 ANSWER 59 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:142801 CAPLUS Full-text

DOCUMENT NUMBER: 102:142801

ORIGINAL REFERENCE NO.: 102:22275a,22278a

TITLE: 4-(4-Phenylsubstituted)-1,2,3-triazolacetic acid derivatives as in vitro inhibitors of prostaglandin synthesis

AUTHOR(S): Biagi, G.; Livi, O.; Da Settimo, A.; Lucacchini, A.; Mazzoni, M. R.; Barili, P. L.

CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy

SOURCE: Farmaco, Edizione Scientifica (1985), 40(2), 73-85
CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: English

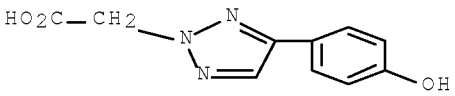
GI For diagram(s), see printed CA Issue.

AB Title 4-(4-phenylsubstituted)-1,2,3-triazolacetic acid derivs. I (R = H, OH, NH2, NO2, MeO, AcNH, or BzNH; R1 = H, Me, Et or Na) were prepared and were evaluated as in vitro inhibitors of prostaglandin synthesis. Of these compds., 2-carbethoxymethyl-4-(4-aminophenyl)-2H- [95628-71-0] and 1-carbethoxymethyl-4-(4-aminophenyl)-1-H-1,2,3-triazole [95603-06-8] inhibited arachidonic acid-induced malondialdehyde formation in human platelets. Structure-activity relations are discussed.

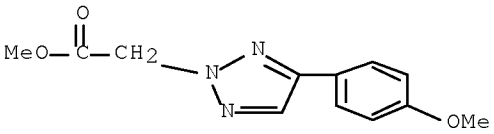
IT 95603-13-7P 95603-15-9P 95603-16-0P
95603-24-0P 95603-27-3P 95603-28-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and prostaglandin synthesis inhibition by)

RN 95603-13-7 CAPLUS

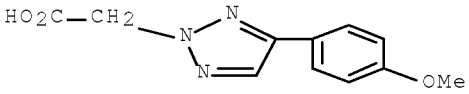
CN 2H-1,2,3-Triazole-2-acetic acid, 4-(4-hydroxyphenyl)- (CA INDEX NAME)



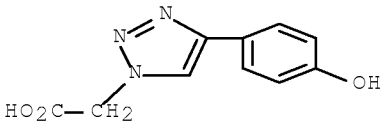
RN 95603-15-9 CAPLUS
CN 2H-1,2,3-Triazole-2-acetic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



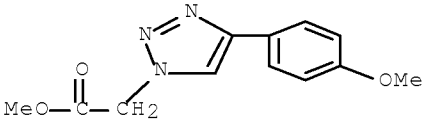
RN 95603-16-0 CAPLUS
CN 2H-1,2,3-Triazole-2-acetic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



RN 95603-24-0 CAPLUS
CN 1H-1,2,3-Triazole-1-acetic acid, 4-(4-hydroxyphenyl)- (CA INDEX NAME)

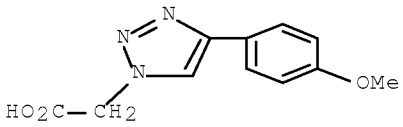


RN 95603-27-3 CAPLUS
CN 1H-1,2,3-Triazole-1-acetic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



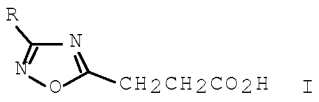
RN 95603-28-4 CAPLUS

CN 1H-1,2,3-Triazole-1-acetic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)

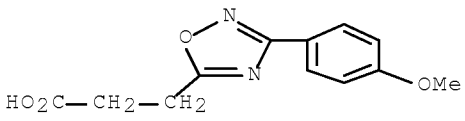


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L24 ANSWER 60 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:45841 CAPLUS Full-text
DOCUMENT NUMBER: 102:45841
ORIGINAL REFERENCE NO.: 102:7209a,7212a
TITLE: Synthesis of 3-[(aryl)-1,2,4-oxadiazol-5-yl]propionic acids
AUTHOR(S): Srivastava, Rajendra Mohan; Viana, Maria Benedita de Assuncao Borges; Bieber, Lothar
CORPORATE SOURCE: Dep. Quim., Univ. Fed. Pernambuco, Recife, 50.000, Brazil
SOURCE: Journal of Heterocyclic Chemistry (1984), 21(4), 1193-5
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

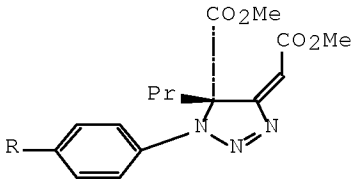


AB Heating RC(NH2):NOH (R = Ph, o-, m-, p-tolyl, p-anisyl, 4-ClC6H4, 4-BrC6H4) with succinimide gave the title compds. I.
IT 94192-18-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with succinimide, oxadiazole from)
RN 94192-18-4 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)

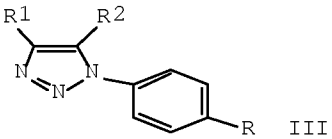


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L24 ANSWER 61 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:471972 CAPLUS Full-text
DOCUMENT NUMBER: 101:71972
ORIGINAL REFERENCE NO.: 101:11097a,11100a
TITLE: Cyclophilic reactions of allene-1,3-dicarboxylic esters. Part 2. Regio- and direction-control in the addition of aryl azides to dimethyl allene-1,3-dicarboxylates and x-ray crystal structures of some triazole and triazoline adducts
AUTHOR(S): Barraclough, David; Moorhouse, Nicholas P.; Onwuyali, E. Ify; Scheinmann, Feodor; Hursthouse, Michael B.; Galas, Anita M. R.
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK
SOURCE: Journal of Chemical Research, Synopses (1984), (4), 102-3
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



II



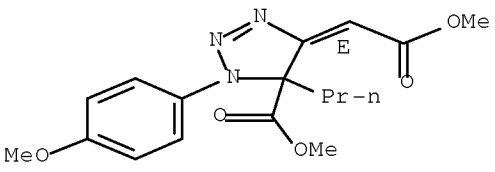
III

AB Cycloaddn. reactions of MeO2CCPr:C:CHCO2Me with p-RC6H4N3 (I; R = H, OMe, NO2) gave triazolines II (R as before) exclusively whereas reaction of MeO2CCH:C:CHCO2Me with I (R = OMe, NO2) gave mixts. of isomers III (R as before; R1 = CO2Me, R2 = CH2CO2Me; R1 = CH2CO2Me, R2 = CO2Me). The structures of these compds. were studied by Eu-shifted 1H NMR and by x-ray crystallog. anal. of II (R = H) and of III (R = OMe, R1, R2 = CO2Me, CH2CO2Me).

IT 91306-58-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 91306-58-0 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxylic acid, 4,5-dihydro-4-(2-methoxy-2-oxoethylidene)-1-(4-methoxyphenyl)-5-propyl-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

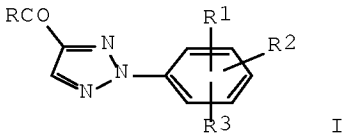


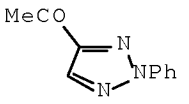
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

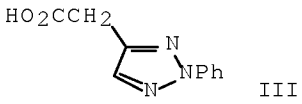
L24 ANSWER 62 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:198247 CAPLUS Full-text
DOCUMENT NUMBER: 98:198247
ORIGINAL REFERENCE NO.: 98:30143a,30146a
TITLE: 2-Phenyl-2H-1,2,3-triazoles and their use
INVENTOR(S): Kabas, Guglielmo; Tobler, Hans
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Ger. Offen., 47 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3230200	A1	19830303	DE 1982-3230200	19820813 <--
GB 2105327	A	19830323	GB 1982-23111	19820811 <--
FR 2511367	A1	19830218	FR 1982-14059	19820812 <--
NL 8203209	A	19830316	NL 1982-3209	19820816 <--
BR 8204786	A	19830802	BR 1982-4786	19820816 <--
JP 58039658	A	19830308	JP 1982-141765	19820817 <--
PRIORITY APPLN. INFO.:			CH 1981-5302 A	19810817 <--

OTHER SOURCE(S): MARPAT 98:198247
GI


I

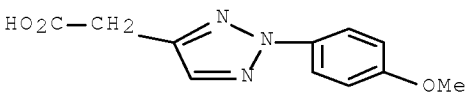

II


III

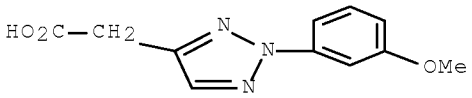
AB I [R = C1-6 alkyl, (un)substituted Ph or benzyl; R1-3 = H, halo, CF3, cyano, NO2, alkyl, etc.] were prepared as intermediates for optical brighteners for textiles. Thus, MeCOCHPhCHO was treated with NH2OH and the oxime cyclized to II with Ac2O; II was heated with S to give III.

IT 85693-78-3P 85693-79-4P 85693-80-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

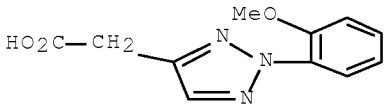
RN 85693-78-3 CAPLUS
CN 2H-1,2,3-Triazole-4-acetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



RN 85693-79-4 CAPLUS
CN 2H-1,2,3-Triazole-4-acetic acid, 2-(3-methoxyphenyl)- (CA INDEX NAME)

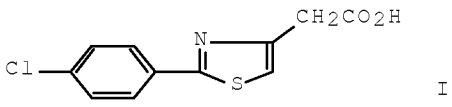


RN 85693-80-7 CAPLUS
CN 2H-1,2,3-Triazole-4-acetic acid, 2-(2-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 63 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:210333 CAPLUS Full-text
DOCUMENT NUMBER: 96:210333
ORIGINAL REFERENCE NO.: 96:34537a,34540a
TITLE: The enhanced biliary secretion of a taurine conjugate in the rat after intraduodenal administration of high doses of fenclozic acid
AUTHOR(S): Bradbury, A.; Powell, G. M.; Curtis, C. G.; Rhodes, C.
CORPORATE SOURCE: Dep. Biochem., Univ. Coll. Cardiff, Cardiff, CF1 1XL, UK
SOURCE: Xenobiotica (1981), 11(10), 665-74
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

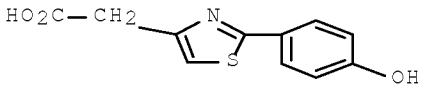
AB In rats, increasing the intraduodenal dose of 14C-labeled fenclozic acid (I) [17969-20-9] from 2 to 100 mg/kg resulted in a 5-fold increase in I-related material secreted in bile. At 2 mg/kg, the taurine conjugate [81719-32-6] was a relatively minor metabolite, whereas at 100 mg/kg, it was the major metabolite in bile and urine. Enhanced biliary secretion of the taurine

conjugate in rats receiving multiple doses of I resulted in exposure of the intestinal cells to a much greater concentration of I-related metabolites.

IT 23551-34-0
RL: BIOL (Biological study)
(as fenclozic acid metabolite)

RN 23551-34-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 64 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1980:471652 CAPLUS Full-text

DOCUMENT NUMBER: 93:71652

ORIGINAL REFERENCE NO.: 93:11653a,11656a

TITLE: Thiohydrazides and acetylene esters - a new route to 1,3,4-thiadiazoles

AUTHOR(S): Heindel, Ned D.; Friedrich, Gail; Tsai, Maria C.

CORPORATE SOURCE: Dep. Chem., Lehigh Univ., Bethlehem, PA, 18015, USA

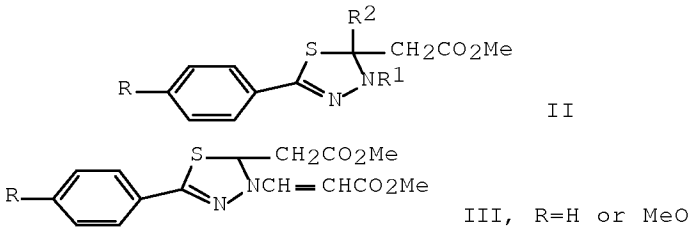
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(1), 191-3
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 93:71652

GI



II

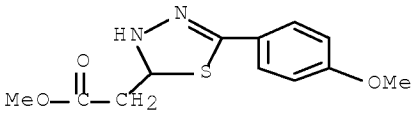
III, R=H or MeO

AB 4-RC6H4CSNHNHR1 (I; R = H, Cl, MeO, R1 = H; R = H, R1 = Ph) underwent cycloaddn. reaction with MeO2CC.tplbond.CCO2Me or HC.tplbond.CCO2Me yield carbomethoxymethyl-substituted 1,3,4-thiadiazoles (II; R and R1 as in I, R2 = H or CO2Me). In a 2:1 ratio of HC.tplbond.CCO2Me to I, 1,3,4-thiadiazoles with acrylate ester side chains (III) were formed.

IT 74397-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 74397-07-2 CAPLUS

CN 1,3,4-Thiadiazole-2-acetic acid, 2,3-dihydro-5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)

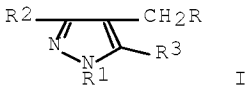


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 65 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:420496 CAPLUS Full-text
DOCUMENT NUMBER: 91:20496
ORIGINAL REFERENCE NO.: 91:3433a,3436a
TITLE: 4-Pyrazoleacetic acid derivatives
INVENTOR(S): Rainer, Georg
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 4146721	A	19790327	US 1970-72233		19700914	<--
US 4325962	A	19820420	US 1978-969872		19781215	<--
PRIORITY APPLN. INFO.:			DE 1969-1946370	A	19690912	<--
			US 1970-72233	A3	19700914	<--

OTHER SOURCE(S): MARPAT 91:20496
GI

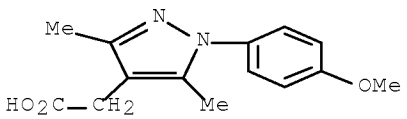


I

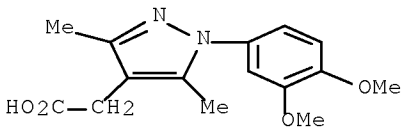
AB Pyrazoleacetic acid derivs. I (R = CO2H, alkoxycarbonyl, CONH2; R1, R2 = optionally substituted Ph, furyl, thienyl, naphthyl; R3 = H, Ph, furyl) were prepared Thus, CH2Ac2 was treated with BrCH2CO2Et to give Ac2CHCH2CO2Et which was cyclized with PhNHNH2 to give I (R = CO2Et, R1 = Ph, R2 = R3 = Me), which was hydrolyzed to the acid. I had antiinflammatory and analgesic activity. Thus, I (R = CO2H, R1 = Ph, R2 = R3 = 2-furyl) had antiinflammatory ED50 in the UV erythema test of 1.5 mg/kg orally and then analgesic ED40 50 mg/kg orally.

IT 32710-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation and antiinflammatory activity of)
RN 32710-99-9 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)

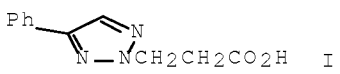


IT 32710-94-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32710-94-4 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 1-(3,4-dimethoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)

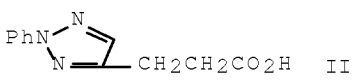


OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L24 ANSWER 66 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:132571 CAPLUS Full-text
DOCUMENT NUMBER: 90:132571
ORIGINAL REFERENCE NO.: 90:20863a,20866a
TITLE: Synthesis and antiinflammatory activity of some 1,2,3- and 1,2,4-triazolepropionic acids
AUTHOR(S): Buckler, Robert T.; Hartzler, Harold E.; Kurchacova, Elva; Nichols, Gust; Phillips, Barrie M.
CORPORATE SOURCE: Miles Lab., Inc., Elkhart, IN, USA
SOURCE: Journal of Medicinal Chemistry (1978), 21(12), 1254-60
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:132571
GI



I



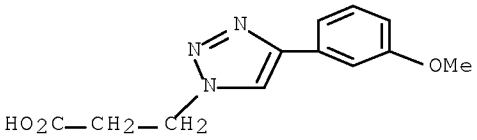
II

AB Of the title compds. synthesized and evaluated for antiinflammatory activity, 4-phenyl-1,2,3-triazole-2-propionic acid (I) [40139-45-5] and 2-phenyl-1,2,3-triazole-4-propionic acid (II) [6386-27-2] were approx. equal to phenylbutazone. Structure-activity relations are discussed.

IT 68979-86-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiinflammatory activity of)

RN 68979-86-2 CAPLUS

CN 1H-1,2,3-Triazole-1-propanoic acid, 4-(3-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

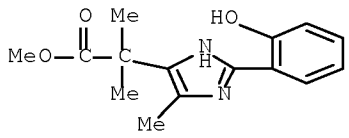
L24 ANSWER 67 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:600395 CAPLUS Full-text
DOCUMENT NUMBER: 87:200395
ORIGINAL REFERENCE NO.: 87:31715a,31718a
TITLE: Intramolecular catalysis of ester hydrolysis by metal complexed hydroxide ion. Acyl oxygen bond scission in cobalt(2+) and nickel(2+) carboxylic acid complexes
AUTHOR(S): Wells, Michael A.; Bruice, Thomas C.
CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA
SOURCE: Journal of the American Chemical Society (1977), 99(16), 5341-56
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A study of metal ion complexation and the catalysis of hydrolysis of the methyl (Ia), β-chloroethyl (Ib), propargyl (Ic), 2',2',2'-trifluoroethyl (Id) and p-chlorophenyl (Ie) esters of 2-(2'-hydroxyphenyl)-4(5)-methyl-5(4)-(2'',2''-dimethylacetate)imidazole (II) was carried out. In addition metal ion complexation to II was studied (30°, H2O, 0.2 M NaClO4). The metal ions employed were Ca2+, Mg2+, Mn2+, Cd2+, Zn2+, Co2+, and Ni2+. Of these, complexation of ester with Ca2+, Mg2+, and Mn2+ could not be obsd; Zn2+ was found to form dimeric complexes [i.e., (ligand)2Zn] which were not particularly labile to hydrolysis, and Cd2+, though forming a 1:1 complex with ester, did not exhibit a significant catalytic effect upon their hydrolysis. On the other hand, very large rate enhancements over hydroxide ion mediated hydrolysis (103-105) were obtained on formation of the 1:1 complexes of esters with the hydroxo species of Ni2+ and Co2+. For the 1:1 M2+ complexes of esters Ia-d, as well as the parent carboxylic acid (II), the metal is bound to the unprotonated imidazolyl moiety and the dissociated phenolic hydroxyl group.

IT 60584-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, kinetics of catalytic)

RN 60584-92-1 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)-α,α,4-trimethyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L24 ANSWER 68 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:542248 CAPLUS Full-text

DOCUMENT NUMBER: 85:142248

ORIGINAL REFERENCE NO.: 85:22813a,22816a

TITLE: Intramolecular hydrolysis of a methyl ester by substrate bound metal hydroxide

AUTHOR(S): Wells, Michael A.; Rogers, Gary A.; Bruice, Thomas C.

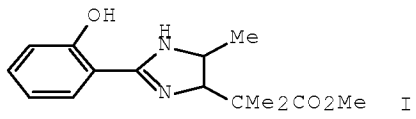
CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA

SOURCE: Journal of the American Chemical Society (1976), 98(14), 4336-8
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



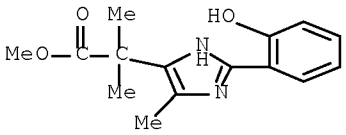
I

AB The kinetics of the hydrolysis of I in the presence of Co2+ and Ni2+ were studied at 30°. A stable tetrahedral intermediate occurs along the reaction path.

IT 60584-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, mechanism of catalytic)

RN 60584-92-1 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)-α,α,4-trimethyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 69 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:549114 CAPLUS Full-text
DOCUMENT NUMBER: 83:149114
ORIGINAL REFERENCE NO.: 83:23435a,23438a
TITLE: Methincyanine dyes
INVENTOR(S): Ficken, Geoffrey E.; Ezekiel, Aaron D.; Couch, Christopher J.
PATENT ASSIGNEE(S): Ilford Ltd., UK
SOURCE: Brit., 9 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

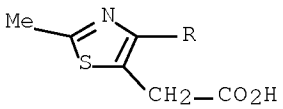
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1391792	A	19750423	GB 1972-7594	19730209 <--
PRIORITY APPLN. INFO.:			GB 1972-7594	19730209 <--

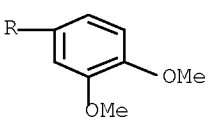
GI For diagram(s), see printed CA Issue.

AB Fourteen title dyes I (R = MeO, EtO; R1, R2, R3 = H, OMe; R4 = H, MeO, Cl), blue light sensitizers for photog. emulsions and ZnO electrophotog. coatings, were prepared by condensation of 1,2-dimethylnaphtho[1,2-d]thiazolium salts with 3-methyl-2-(methylthio)benzthiazolium salts. Thus, addition of 80 mg (5-methoxy-1-methylnaphtho[1,2-d]thiazole-2)(5,6-dimethoxy-3-methyl-2-benzothiazole)methincyanine bromide (I; R = R3 = R4 = OMe, R1 = R2 = H) [56496-67-4] per mole of Ag halide to a Ag chlorobromide emulsion containing 31 mole % AgBr extended the sensitivity of the emulsion to 515 nm with a maximum at 490 nm.

IT 43204-94-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring closure of)

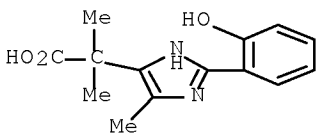
RN 43204-94-0 CAPLUS
CN 5-Thiazoleacetic acid, 4-(3,4-dimethoxyphenyl)-2-methyl- (CA INDEX NAME)





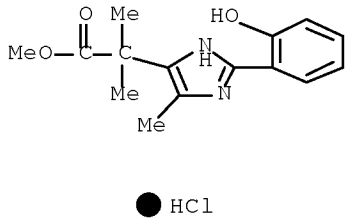
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 70 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:422634 CAPLUS Full-text
DOCUMENT NUMBER: 81:22634
ORIGINAL REFERENCE NO.: 81:3657a,3660a
TITLE: Synthesis and evaluation of a model for the so-called charge-relay system of the serine esterases
AUTHOR(S): Rogers, Gary A.; Bruice, Thomas C.
CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA
SOURCE: Journal of the American Chemical Society (1974), 96(8), 2473-81
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The synthesis, acid-base properties, and hydrolytic reactions of model compds. for the Asp-His-Ser triad of the serine esterases are presented. Three phenyl acetate derivs., 2-(2-acetoxyphenyl)-4(5)-methyl-5(4)-(2,2- dimethylacetic acid)imidazolium chloride (I), 2-(2-acetoxyphenyl)-4(5)-methyl-5(4)-(methyl 2,2-dimethylacetate)imidazolium chloride (II), and 2-(2-acetoxy-5-sulfophenyl)-4(5)-methyl-5(4)-(2,2-dimethylacetic acid)imidazolium (III), were hydrolyzed by the same mechanistic pathways previously determined for the esters without the CO2H function. That is, while both imidazolyl general-acid-and general-base-assisted H2O attack at the ester bond was observed, no O→N acetyl transfer to the imidazole anion was detected. A 3-fold rate enhancement was found, attributable to the CO2H group, and associated with the imidazolyl general-base-assisted H2O attack upon esters I and III. When III was transferred to an aprotic solvent (CH3CN) containing but 6% H2O, no enhancement of the catalytic role of either the imidazolyl or the CO2H group was observed The acid-base properties of the phenols from the hydrolysis of I, II, and III in both H2O and aqueous- organic mixed solvents of lower dielec. properties showed that there was no inversion of the CO2H and imidazolyl base strengths. Even in 96% dioxane, pKImH+ » pKCOOH. These findings are discussed in light of what has been proposed for the pKa values of the catalytic triad of amino acid residues at the active site of the serine esterases.
IT 51818-22-5P 51818-24-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51818-22-5 CAPLUS
CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)-α,α,4-trimethyl- (CA INDEX NAME)



RN 51818-24-7 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)-α,α,4-trimethyl-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)



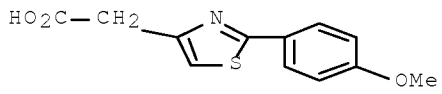
OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L24 ANSWER 71 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:409814 CAPLUS Full-text
DOCUMENT NUMBER: 81:9814
ORIGINAL REFERENCE NO.: 81:1577a,1580a
TITLE: Prostaglandin production by experimental tumors and its inhibition by nonsteroidal antiinflammatory drugs
AUTHOR(S): Sykes, Jennifer A. C.; Maddox, Ian S.
CORPORATE SOURCE: Cancer Chemother. Dep., Imp. Cancer Res. Found., London, UK
SOURCE: Polish Journal of Pharmacology and Pharmacy (1974), 26(1-2), 83-91
CODEN: PJPPAA; ISSN: 0301-0244
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Exptl. tumor cells were found to contain 2-20 μg prostaglandin E2 (I) [363-24-6]/g wet weight tissue, and alterations of cofactor requirements or addition of antiinflammatory drugs, such as indomethacin [53-86-1], both in vitro or in vivo, inhibited prostaglandin formation. Results indicated the prostaglandin synthetase [9055-65-6] system may exist as a variety of isoenzymes.

IT 23353-14-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prostaglandin formation by neoplasm response to)

RN 23353-14-2 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)

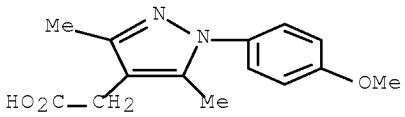


L24 ANSWER 72 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:120931 CAPLUS Full-text
DOCUMENT NUMBER: 80:120931
ORIGINAL REFERENCE NO.: 80:19471a,19474a
TITLE: 3,5-Dimethyl-4-pyrrazolylacetic acids
INVENTOR(S): Noguchi, Shunsaku; Kishimoto, Shoji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48028914	B	19730905	JP 1969-28540	19690411 <--

PRIORITY APPLN. INFO.: JP 1969-28540 19690411 <--
GI For diagram(s), see printed CA Issue.
AB Esters Ac2CHCH2CO2R1 (II) (R1 was not defined) were treated with RNHNH2 (R = naphthyl, substituted aryl, aralkyl) to give esters I, which were hydrolyzed to give acids I (R1 = H) (Ia). Ia are analgesics and antiinflammatory agents. Thus, 3.7 g II (R1 = Et), 3.2 g p-MeC6H4-NHNH2.HCl and 1.7 g NaHCO3 were stirred in HOAc at 70° for 4 hr and refluxed with 20% NaOH for 6 hr to give 1.5 g I (R = p-MeC6H4). Similarly prepared were I (R given): 4-chlorophenyl, 4-bromophenyl, m-tolyl, 2-naphthyl, 2-chlorophenyl, o-tolyl, p-methoxybenzyl, p-anisyl.
IT 32710-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activity of)
RN 32710-99-9 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:493446 CAPLUS Full-text
DOCUMENT NUMBER: 79:93446
ORIGINAL REFERENCE NO.: 79:15185a,15188a
TITLE: Trimethinecyanine dyes
INVENTOR(S): Ezekiel, Aaron D.; Ficken, Geoffrey E.
PATENT ASSIGNEE(S): Ilford Ltd.
SOURCE: Brit., 9 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1319496	A	19730606	GB 1970-51325	19701028 <--

PRIORITY APPLN. INFO.: GB 1970-51325 A 19701028 <--

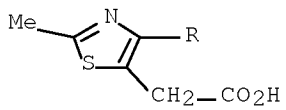
AB Nine trimethinecyanine dyes (I, R, R3 = Me or Et; R1 = H or OMe; R2 = Me, Br, or H; X = Se or S) were prepared as the halides by reaction of the 2-methylnaphtho[1,2-d]thiazolium salts (II) with the benzoselenazoles or benzothiazoles (III), for use as sensitizers for Ag halide photog. emulsions. I [R = R2 = Me, R1 = H, R3 = (CH2)4SO3-, X = Se] was also prepared Thus, 3-ethyl-5-methyl-2-(thiopropionylmethylene)benzoselenazoline [41934-54-7] was treated with Me2SO4 to give a salt which reacted with 5-methoxy-1,2-dimethylnaphtho[1,2-d]thiazolium p-toluenesulfonate [41934-55-8] followed by NaI to give (5-methoxy-1-methylnaphtho[1,2-d]thiazol-2-yl)(3-ethyl-5-methylbenzoselenazol-2-yl)-β-ethyltrimethinecyanine iodide (I, R = R2 = Me, R1 = H, R3 = Et, X = Se) [41388-09-4]; the dye extended the sensitivity of a silver iodobromide emulsion to 695 nm, with a maximum at 680 nm.

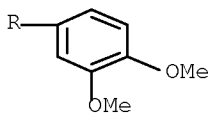
IT 43204-94-0P

RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of)

RN 43204-94-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(3,4-dimethoxyphenyl)-2-methyl- (CA INDEX NAME)





L24 ANSWER 74 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:552050 CAPLUS Full-text

DOCUMENT NUMBER: 77:152050

ORIGINAL REFERENCE NO.: 77:24999a,25002a

TITLE: Syntheses of pyrazolone and pyrazole derivatives. I. Synthesis of pyrazolone derivatives possessing functional group at 3-position

AUTHOR(S): Sugiura, Shoji; Kitamikado, Tadashi; Izumi, Kihachiro; Hori, Mikio; Fujimura, Hajime

CORPORATE SOURCE: Res. Lab., Maruko Seiyaku Co., Ltd., Kasugai, Japan

SOURCE: Yakugaku Zasshi (1972), 92(9), 1082-8
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

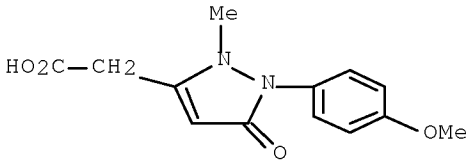
GI For diagram(s), see printed CA Issue.

AB Me 1-substituted 5-oxo-3-pyrazolin-3-yl acetates (I) were synthesized by the reaction of Me 3-oxoglutarate with RNHNH2. An attempt was made to introduce a Me group into 2-position of Me 1-phenyl-5-oxo-3-pyrazolin-3-yl acetate but a pyrazole-type compound was also produced besides the pyrazolone. On the basis of this result, 1,2-disubstituted 5-oxo-3-pyrazolin-3-yl acetic acids were synthesized by introduction of the corresponding substituent into 2-position of I. The substituted 5-oxo-3-pyrazolin-3-yl acetic acids were easily decarboxylated into 3-methylpyrazolone compds.

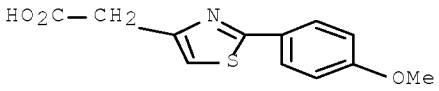
IT 37959-28-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 37959-28-7 CAPLUS
CN 1H-Pyrazole-3-acetic acid, 2,5-dihydro-1-(4-methoxyphenyl)-2-methyl-5-oxo- (CA INDEX NAME)



L24 ANSWER 75 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:456455 CAPLUS Full-text
DOCUMENT NUMBER: 77:56455
ORIGINAL REFERENCE NO.: 77:9293a,9296a
TITLE: Prostaglandin production by experimental tumors and effects of antiinflammatory compounds
AUTHOR(S): Sykes, J. A. C.; Maddox, I. S.
CORPORATE SOURCE: Cancer Chemother. Dep., Imp. Cancer Res. Fund, London, UK
SOURCE: Nature (London), New Biology (1972), 237(71), 59-61
CODEN: NNBYA7; ISSN: 0369-4887
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hydroquinone (I) [123-31-9], adrenaline [51-43-4], and 5-hydroxytryptamine [50-67-9] induced synthesis of prostaglandin E2 [363-24-6] and prostaglandin F2α (II) [551-11-1] in BP8/P1 ascites cells, while histamine [51-45-6] was a poor stimulant of prostaglandin synthesis. The antiinflammatory agents, indomethacin [53-86-1] and fenclozic acid (2-(4-chlorophenyl)-thiazol-4-ylacetic acid)(ICI 54,450) [17969-20-9], and 2-(4-methoxyphenyl)-thiazol-4-ylacetic acid (ICI 54,501) [23353-14-2] inhibited II production by guinea pig lungs.
IT 23353-14-2
RL: BIOL (Biological study)
(prostaglandin formation inhibition by, in lungs and neoplasms)
RN 23353-14-2 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



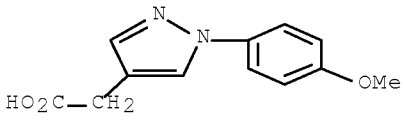
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L24 ANSWER 76 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:99657 CAPLUS Full-text
DOCUMENT NUMBER: 76:99657
ORIGINAL REFERENCE NO.: 76:16035a,16038a

TITLE: 1-Phenyl-4-pyrazoleacetic acids
INVENTOR(S): Moreau, Michele; Karadavidoff, Isaac
PATENT ASSIGNEE(S): Fuveau S. A.
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2123705	A	19711202	DE 1971-2123705	19710513 <--
FR 2088091	A5	19720107	FR 1970-17878	19700515 <--
FR 2088091	B1	19730406		
CH 523253	A	19720531	CH 1971-523253	19710506 <--
BE 766902	A1	19711001	BE 1971-51028	19710510 <--
GB 1301802	A	19730104	GB 1971-15234	19710517 <--

PRIORITY APPLN. INFO.: FR 1970-17878 A 19700515 <--
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), used as analgesic and antiinflammatory agents, were prepared from RNHNH2 via the 1-substituted pyrazoles and 1-substituted 4-(chloromethyl)pyrazoles. Thus, 57 g p-ClC6-H4NHNH2 and 18.5 g epichlorohydrin in EtOH was refluxed and then heated to 180-90° to give 57 g 1-(p-chlorophenyl)pyrazole. This (13.4 g) was refluxed 1.5 hr with trioxymethylene, ZnCl2, H2SO4, heptane, and HCl(g) to give 14.40 g 1-(p-chlorophenyl)-4-(chloromethyl)pyrazole. This was refluxed 4 hr with NaCN, Me2CO, and H2O. The reaction product was refluxed with KOH, EtOH, and H2O to give 75% I (R = p-ClC6H4) (II). Similarly prepared were I (R given): Ph, o-FC6H4, p-MeOC6H4, m-F3CC6H4, 2,3-Me2C6H3. LD50 of II was 1.05 g/kg in mice. The antiinflammatory effect of 90 mg II was 65.2% 2 hr after oral administration in rats. The analgesic effect of 90 mg II was 21% in mice.
IT 35715-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35715-79-8 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 77 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:449072 CAPLUS Full-text
DOCUMENT NUMBER: 75:49072
ORIGINAL REFERENCE NO.: 75:7749a,7752a
TITLE: Antiphlogistic, analgesic, and antipyretic substituted pyrazole-4-acetic acid derivatives
INVENTOR(S): Rainer, Georg; Riedel, Richard; Klemm, Kurt
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1946370	A	19710422	DE 1969-1946370	19690912 <--
DE 1946370	B2	19781109		
DE 1946370	C3	19790726		
CH 583707	A5	19770114	CH 1973-3460	19700828 <--
CH 587251	A5	19770429	CH 1970-12904	19700828 <--
GB 1307005	A	19730214	GB 1970-43147	19700909 <--
NL 7013384	A	19710316	NL 1970-13384	19700910 <--
CA 959838	A1	19741224	CA 1970-92873	19700910 <--
SE 385212	B	19760614	SE 1970-12345	19700910 <--
ZA 7006215	A	19710527	ZA 1970-6215	19700911 <--
FR 2070689	A5	19710917	FR 1970-33102	19700911 <--
FR 2070689	A1	19710917		
AT 304534	B	19730110	AT 1970-8261	19700911 <--
AT 313274	B	19740211	AT 1972-1884	19700911 <--
JP 51033906	B	19760922	JP 1970-79421	19700911 <--
JP 53039435	B	19781021	JP 1974-62988	19740605 <--
US 4325962	A	19820420	US 1978-969872	19781215 <--

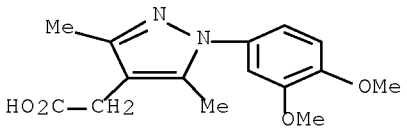
PRIORITY APPLN. INFO.:
DE 1969-1946370 A 19690912 <--
US 1970-72233 A3 19700914 <--

GI For diagram(s), see printed CA Issue.

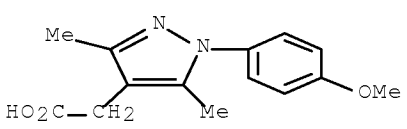
AB The title compds. (I, R, R1, R2 = H, alkyl, allyl, cycloalkyl, and variously substituted phenyl and benzyl, R3 = H, Me) were prepared by the reaction of hydrazines RNHNH2 with dicarbonyl compds. R1CO(R2CO)CHCHR3CO2H (II) or by hydrolysis of esters, amides, nitriles, etc., of I. II were prepared by base-catalyzed condensation of 1,3-diketones with alkylbromoacetates. Forty examples were given and antiphlogistic and analgesic data reported.

IT 32710-94-4P 32710-99-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32710-94-4 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 1-(3,4-dimethoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)

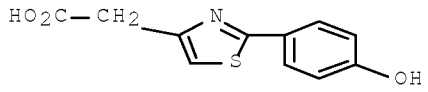


RN 32710-99-9 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L24 ANSWER 78 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:434719 CAPLUS Full-text
DOCUMENT NUMBER: 75:34719
ORIGINAL REFERENCE NO.: 75:5489a,5492a
TITLE: Electron impact-induced fragmentation of 2-arylthiazoles
AUTHOR(S): Rix, M. J.; Webster, B. R.
CORPORATE SOURCE: Pharm Div., Imp. Chem. Ind., Ltd., Alderley Park/Macclesfield/Cheshire, UK
SOURCE: Organic Mass Spectrometry (1971), 5(3), 311-15
CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The mass spectrometric fragmentation of 2-arylthiazoles centers, like that of simple thiazoles, around the cleavage of the 1,2 and 3,4 bonds of the thiazole ring. One characteristic species does arise from the fission of the 1,5 and 2,3 bonds, viz. a thiobenzoyl ion. The fragmentations of some substituents at the 4 and 5 positions of the thiazole ring, prior to ring cleavage, are also described.
IT 23551-34-0
RL: PRP (Properties)
(mass spectrum of)
RN 23551-34-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

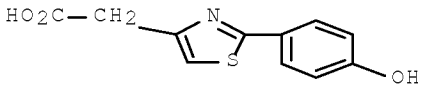
L24 ANSWER 79 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:109596 CAPLUS Full-text
DOCUMENT NUMBER: 72:109596
ORIGINAL REFERENCE NO.: 72:19793a,19796a
TITLE: Metabolism of carbon-14-labeled ICI 54,450 (Myalex) in various species-an in vivo NIH shift [hydroxylation-induced intramolecular migration]
AUTHOR(S): Foulkes, David M.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind., Ltd., Macclesfield, UK
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1970), 172(1), 449-55
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
AB ICI 54,450 [[2-(4-chlorophenyl)-4-thiazolyl] acetic acid], a new nonsteroidal antiinflammatory agent undergoes metabolism in rats and dogs involving NIH shift migration of the chloro substituent. In monkeys no metabolites of ICI 54,450 other than urinary acyl glucuronides were detected. Serum of all

species contained solely unchanged ICI 54,450. The metabolic hydroxylation of ICI 54,450 was reproduced in part by treatment with pertri-fluoracetic acid.

IT 23551-34-0
RL: BIOL (Biological study)
(myalex metabolite)

RN 23551-34-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



L24 ANSWER 80 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1969:430469 CAPLUS Full-text

DOCUMENT NUMBER: 71:30469

ORIGINAL REFERENCE NO.: 71:5625a,5628a

TITLE: Thiazole compositions

INVENTOR(S): Cavalla, John F.; Brown, Kevan

PATENT ASSIGNEE(S): John Wyeth and Brother Ltd.

SOURCE: Brit., 7 pp.
CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

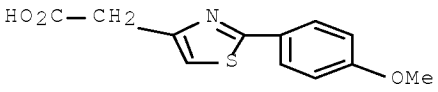
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
GB 1137529		19681227	GB 1966-51824	19661118	<--
DE 1770331			DE		
FR 1587054			FR		
FR 8062			FR		
US 3532705		19701006	US	19671102	<--
US 3536727		19701027	US	19671102	<--
ZA 6802276		19680000	ZA		<--

GI For diagram(s), see printed CA Issue.

AB The title compns. which may possess antiinflammatory or antibacterial activities, were prepared Thus, 1.52 g. CH2ClCOCH2Cl (I) and p-MeOC6H4CSNH2 in 10 ml. Me2CO allowed to react overnight at room temperature, the mixture filtered, 2.83 g. 4-(chloromethyl)-4-hydroxy-2-(p-methoxyphenyl)-Δ2- thiazole-HCl formed, refluxed 4 hrs. in a solution of 2 ml. HCl and 50 ml. Me2CO, the mixture cooled and filtered, the crystals added to a Na2CO3 solution, the solution extracted with Et2O, and the extract washed, dried, and evaporated gave 1.9 g. 4-chloromethyl-2-(p-methoxyphenyl)thiazole (II), an oil. II heated 4 hrs. on a steam bath with 1 g. KCN in 30 ml. EtOH and 10 ml. H2O, the EtOH evaporated, H2O added, and the mixture worked up gave 4-cyanomethyl-2-(p-methoxyphenyl)thiazole (III), m. 73° (aqueous EtOH). III refluxed 1.5 hrs. in 10 ml. 6N HCl, the solution cooled, adjusted to pH 4, and extracted with Et2O, and the extract worked up gave 0.74 g. 2-(p-methoxyphenyl)thiazol-4-ylacetic acid, m. 109-10° (C6H6-hexane). I (11.5 g.) and 17 g. 1-thiocarbamoylnaphthalene dissolved sep. in Me2CO, the solns. mixed and kept overnight at room temperature, the 4-chloromethyl-4-hydroxy-2-(1-naphthyl)-Δ2-thiazoline-HCl filtered, washed with Me2CO, and dried, the product refluxed 2 hrs. in 500 ml. MeOH, solvent removed, aqueous NaHCO3 added, and the

precipitate filtered, washed, and dried gave 20.4 g. 2-(1-naphthyl)-4-chloromethylthiazole. The above treated with 10.0 g. KCN and the nitrile (19.7 g.) obtained treated with 6N HCl gave 12.4 g. 2-(1-naphthyl)thiazol-4-ylacetic acid, m. 153-4° (C6H6-petroleum ether). Similarly prepared were: 2-(o-tolyl)-thiazol-4-ylacetic acid, m. 119-20°; 2-(m-tolyl)thiazol-4-ylacetic acid, m. 110-11°; 2-(p-tolyl)thiazol-4-ylacetic acid, m. 139-40°; 2-(2-naphthyl)thiazol-4-ylacetic acid, m. 140-1°. 2-Phenylthiazol-4-ylacetonitrile in 200 ml. 6N HCl refluxed 1.5 hrs. and cooled, the crystals filtered, washed, dissolved in NaOH, treated with C, and filtered, the filtrate acidified with HCl, the oil extracted with Et2O, and the extract dried and evaporated gave 25.7 g. 2-phenylthiazol-4-ylacetic acid (IV), m. 90-1° (C6H6-petroleum ether). IV (4.4 g.) treated with 2.8 ml. Et3N in 30 ml. HCONMe2, 2.0 ml. AcOCH2Br added, the product poured into H2O and extracted with Et2O, and the extract worked up gave 4.4 g. 2-phenylthiazol-4-ylacetic acid acetoxymethyl ester, b0.1 150-60°.

IT 23353-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23353-14-2 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)

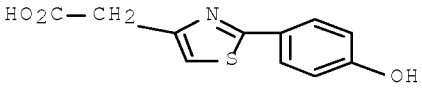


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 81 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:95359 CAPLUS Full-text
DOCUMENT NUMBER: 70:95359
ORIGINAL REFERENCE NO.: 70:17815a,17818a
TITLE: Metabolism of thiazoleacetic acid derivatives and the NIH shift
AUTHOR(S): Foulkes, D. M.
CORPORATE SOURCE: ICI Pharm., Macclesfield, UK
SOURCE: Nature (London, United Kingdom) (1969), 221(5180), 582
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: English

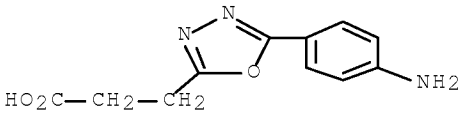
AB When 50 mg. ICI 54450 (2-(p-chlorophenyl)-4-thiazoleacetic acid) (I)/kg. was given orally to rats, 2 fluorescent metabolites were produced. The major and minor metabolites were identified as 2-(p-hydroxyphenyl)-4-thiazoleacetic acid and 2-(3-chloro-4-hydroxyphenyl)-4-thiazoleacetic acid (II), resp., II being formed by the NIH shift mechanism (J. Daly, et al., 1966). If ICI 55100 (2-(m-chlorophenyl)-4-thiazoleacetic acid) was administered to rats, a single metabolite (III) was produced, supporting the finding (J. Daly, et al., 1966) that the NIH shift is restricted to para hydroxylation. I was less efficiently metabolized in dogs than in rats, but yielded identical metabolites. No metabolites were detected in monkey or man.

IT 23551-34-0
RL: BIOL (Biological study)
(as (chlorophenyl)thiazoleacetic acid metabolite)
RN 23551-34-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 82 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:55844 CAPLUS Full-text
DOCUMENT NUMBER: 66:55844
ORIGINAL REFERENCE NO.: 66:10583a,10586a
TITLE: The synthesis of dicarboxylic acids containing oxadiazole rings and polyamides derived from them
AUTHOR(S): Saotome, Kazuo; Sato, Kenichiro
CORPORATE SOURCE: Asahi Chem. Ind. Co., Tokyo, Japan
SOURCE: Makromolekulare Chemie (1967), 100, 91-9
CODEN: MACEAK; ISSN: 0025-116X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Orthoesters, prepared nitriles by the McElvain method, are treated with aromatic acid hydrazides to give 1,3,4-oxadiazole esters, which are hydrolyzed to form dicarboxylic acids. Treatment of the acids with p-xylenediamine (I) produces nylon salts, which are polycondensed to polyamides. Thus, 4.9 g. EtO2C(CH2)3C(OEt)3, prepared from Et γ-cyanobutyrate, and 4.1 g. Me terephthalate monohydrazide were heated (130-50°) with 1-2 drops AcOH to form a homogeneous mixture Cooling and recrystn. yielded 84% 1,3,4-oxadiazole ester, m. 108.5-9.0°, which was hydrolyzed overnight with excess NaOH in EtOH, and neutralized with dilute HCl to give a dicarboxylic acid (II), n = 3, R = CO2H (IIa), m. 218-19°. The nylon salt of I and IIa were polycondensed (30 min., 280°) to give a polyamide, m. >350°, viscosity 0.11 (0.5% in m-cresol, 25°) with amide linkage ir lines at 1650 and 1550 cm.-1 Other dicarboxylic acids prepared by this method are: II (n, R, m.p. given): 4, CO2H, 224-5°; 3, 4, 0, 178-179°; 4, 4, 1, 116-117°; (IV) (n and m.p. given): 3, 194-195°; 4, 224-225°. High-mol.-weight copolyamides were also prepared from these nylon salts and aliphatic nylon salts, such as hexamethylenediammonium sebacate and hexamethylenediammonium decamethylenedicarboxylate.
IT 15828-35-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, and polyamides therefrom)
RN 15828-35-0 CAPLUS
CN 1,3,4-Oxadiazole-2-propanoic acid, 5-(4-aminophenyl)- (CA INDEX NAME)



L24 ANSWER 83 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1949:46496 CAPLUS Full-text
DOCUMENT NUMBER: 43:46496
ORIGINAL REFERENCE NO.: 43:8401d-h,8402a
TITLE: 4-Aryl-5-thiazoleacetic acids and esters
INVENTOR(S): Knott, Edward B.
PATENT ASSIGNEE(S): Kodak Ltd.
SOURCE: Addn. to C.A. 43, 5048d
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

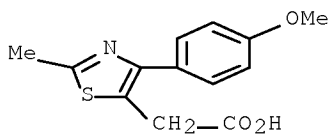
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 593024		19471007	GB 1944-9516	19440518 <--

AB Addnl. compds. prepared were 4-phenyl-5-thiazoleacetic acid, m. 154-6°; the following derivs. of 2-methyl-5-thiazoleacetic acid: 4-phenyl (I), m. 202-3°, 4-p-tolyl, m. 200-2°, 4-(p-ethylphenyl), m. 155°, 4-(p-isopropylphenyl), m. 173-4°, 4-(2,4-xylyl), m. 199-200°, 4-(p-methoxyphenyl) (two forms, one m. 189-90°, the other m. 177-9°), 4-(p-ethoxyphenyl) (two forms, one m. 188-90°, the other m. 169-90°), 4-(p-chlorophenyl), m. 200-4°, 4-(2-thienyl), m. 158.9°, and 4-(2-naphthyl), m. 226-9°; α-(4-phenyl-2-methyl-5-thiazolyl)propionic acid, m. 172-3°; Me ester of I, m. 132-3°; the following derivs. of 2-amino-5-thiazoleacetic acid: 4-phenyl (II), m. 230-1°, 4-p-tolyl, m. 224° (decomposition), 4-(2-thienyl), m. 202-3°, 4-(1-naphthyl), no m.p. given, and 4-(2-naphthyl), m. 255-6°; α-(2-amino-4-phenyl-5-thiazolylpropionic acid, m. 240°; Me ester of II, m. 233°; 2-methylmercapto-4-phenyl-5-thiazoleacetic acid, m. 145°, monohydrate, m. 116°; 2-methylmercapto-4-p-tolyl-5-thiazoleacetic acid, m. 176°; 2-methylmercapto-4-(1-naphthyl)-5-thiazoleacetic acid, m. 125°; 2-methylmercapto-4-(2-naphthyl)-5-thiazoleacetic acid, m. 154°; and 2-amino-4-phenyl-5-selenazoleacetic acid, m. 253° with decomposition beginning at 196°. The following β-bromo-β-aroylpropionic acids used as starting materials for the above compds. were also prepared: β-(p-methylbenzoyl), m. 122-4°, β-(p-ethylbenzoyl) pale yellow oil, β-(p-isopropylbenzoyl), m. 73-5°, β-(2,4-dimethylbenzoyl), m. 98.5°, β-(3,4-dimethylbenzoyl), m. 99°, β-(p-ethoxybenzoyl), m. 130°, β-(p-chlorobenzoyl), m. 115-16°, β-1-naphthoyl, m. 172-3°, β-2-naphthoyl, m. 133-5°, and β-2-thenoyl, m. 127-8°. The preparation is given of β-1 (and 2)-naphthoylpropionic acid, β-2-thenoylpropionic acid, m. 116.5-19.5°, p-benzoylisobutyric acid, and β-bromo-β-benzoylisobutyric acid.

IT 553629-28-0, 5-Thiazoleacetic acid, 4-(p-methoxyphenyl)-2-methyl-
(isomers)

RN 553629-28-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



L24 ANSWER 84 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1947:32760 CAPLUS Full-text
DOCUMENT NUMBER: 41:32760
ORIGINAL REFERENCE NO.: 41:6582i,6583a-d
TITLE: Azoles
INVENTOR(S): Knott, Edward B.
PATENT ASSIGNEE(S): Eastman Kodak Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

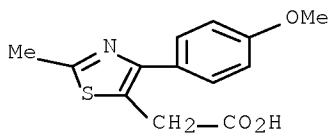
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2423709		19470708	US	<--

GI For diagram(s), see printed CA Issue.

AB Azoles of the formula (where R represents an aryl or 2-thienyl group, R' and R'' represent H, alkyl, or aryl, and R''' represents H, alkyl, mercapto, alkylmercapto, aralkylmercapto, or amino groups when X is S, and alkyl or amino groups when X is Se) are produced by the reaction of HXC(:NH)R''' with RCOCHBrCHR'CO2R''. Examples of compds. prepared, followed by their m.ps. are: 2-methyl-5-thiazoleacetic acids: 4-Ph 200-2°; 4-(4-ethylphenyl) 144°; 4-(4-isopropylphenyl), 173-4°; 4-(2,4-dimethylphenyl), 199-200°; 4-(4-methoxyphenyl), 189-90°; 4-(4-ethoxyphenyl), 188-90°; 4-(4-chlorophenyl) 200-4°; 4-(2-thienyl), 158-9°; 4-(1-naphthyl), 212-13°; 4-(2-naphthyl), 226-9°. 4-Phenyl-5-thiazoleacetic acids: 2-methylmercapto, 145°; 2-ethylmercapto, 116°. 2-Methylmercapto-5-thiazoleacetic acids: 4-(4-methylphenyl), 176°; 4-(1-naphthyl), 125°; 4-(2-naphthyl), 154°. 2-Amino-5-thiazoleacetic acids: 4-(2-thienyl), 202-3°; 4-(1-naphthyl), solid; 4-(2-naphthyl), 255-6°; 4-phenyl (Me ester), 230°. 5-Thiazolepropionic acids: 4-phenyl-2-methyl, 172-3°; 2-amino-4-phenyl. 2-Amino-4-phenylselenazole m. 253°. New γ-bromo-γ-acylpropionic acid intermediates prepared are: 4-methylbenzoyl, 122-4°; 4-ethylbenzoyl, oil; 4-isopropylbenzoyl, 73-5°; 2,4-dimethylbenzoyl, 98.5°; 3,4-dimethylbenzoyl, 99°; 4-ethoxybenzoyl, 130°; 4-chlorobenzoyl, 115-16°; 1-naphthoyl, 172-3°; 2-naphthoyl, 133-5°; 2-thenoyl, 127-8°; benzoyl (Me ester), b17 180°. γ-2-Thenoylpropionic acid m. 116.5-19.5°.

IT 553629-28-0P, 5-Thiazoleacetic acid, 4-(p-methoxyphenyl)-2-methyl-
RL: PREP (Preparation)
(preparation of)

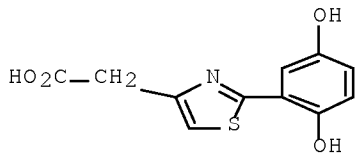
RN 553629-28-0 CAPLUS
CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 85 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1947:20626 CAPLUS Full-text
DOCUMENT NUMBER: 41:20626
ORIGINAL REFERENCE NO.: 41:4130b-f
TITLE: Antibacterial compounds. III. The preparation and activity of certain heterocyclic derivatives of hydroquinone
AUTHOR(S): Seebeck, E.
CORPORATE SOURCE: Lab. Sandoz, Basel, Switz.
SOURCE: Helvetica Chimica Acta (1947), 30, 149-52
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 2,5-(MeO2CO)2C6H3COCl (8 g.) and 8 g. NH2CSNHNH2 (I) kept at 110° 10 min., then dissolved in 150 cc. MeOH, filtered from unreacted I, and the crude product treated with Ac2O gave 1 g. 2-[2,5-bis(carbomethoxyoxy)-phenyl]-4-acetyl-5-imino-Δ2-1,3,4- thiadiazoline (II), m. 242°. II (1 g.) in 20 cc. EtOH and 20 cc. N NaOH (20°, H atmospheric), neutralized with HCl, gave on centrifuging 0.7 g. of the (dihydroxyphenyl) compound (III), m. 330° (decomposition). III (0.6 g.), heated 8 hrs. with 25 cc. N HCl (steam bath) gave 5-(2,5-dihydroxyphenyl)-2-amino-1,3,4-thiadiazole, m. 265°. 2,5-(HO)2C6H3CN (IV), m. 165-7°, was prepared from o-HOC6H4CN and K2S2O8 (see preceding abstract). IV (0.8 g.) with alc. NH3 and H2S in a sealed tube at 100° gave 0.45 g. 2,5-(HO)2C6H3CSNH2 (V), m. 143.5°. V (0.51 g.) and 0.7 cc. BrCH2COCH2CO2Et gave on hydrolysis 2-(2,5-dihydroxyphenyl)-4-thiazoleacetic acid, m. 205-8° (not pure); Et ester m. 134-6°. 2,3-HO(CH2:CHCH2)C6H3CN, m. 35-7°, b11 138-46° (prepared from the corresponding oxime and Ac2O), with K2S2O8 gave 2,5,3-(HO)2(CH2:CHCH2)C6H2CN (VI), m. 65-7°. VI with H2S and NH3 gave the thioamide, m. 120-35°, which with BrCH2COCH2CO2Et gave 2-(2,5-dihydroxy-3-allylphenyl)-4- thiazoleacetic acid, m. 170-2°; Et ester m. 107-9°. Cysteine (VII) (0.754 g.) and 0.75 g. 2,5-(HO)2C6H3CHO gave, on standing, 0.71 g. 2-(2,5-dihydroxyphenyl)-4-thiazolidinecarboxylic acid, m. 178-80°. VII and 3-allylgentisaldehyde gave similarly 2-(2,5-dihydroxy-3-allylphenyl)-4-thiazolidinecarboxylic acid, m. 156-7°. The activity of the heterocyclic derivs. of gentisyl alc. against staphylococci was of the same magnitude as that of the parent compound
IT 858486-06-3P, 4-Thiazoleacetic acid, 2-(2,5-dihydroxyphenyl)-
RL: PREP (Preparation)
(preparation of)
RN 858486-06-3 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2,5-dihydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L24 ANSWER 86 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1945:29915 CAPLUS Full-text
DOCUMENT NUMBER: 39:29915

ORIGINAL REFERENCE NO.: 39:4869h-i,4870a-i,4871a-e
TITLE: Polycyclic thiazoles
AUTHOR(S): Knott, Edward B.
SOURCE: Journal of the Chemical Society (1945) 455-60
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 39:29915

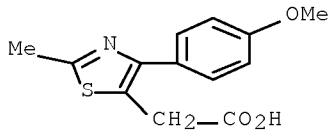
AB A new method consists in first forming the thiazole ring by a normal Hantzsch condensation, followed by an intramol. cyclization to a condensed system, giving good yields of a variety of polycyclic thiazoles containing a HO group in the carbocyclic ring which is fused to the thiazole ring. β-Aroyl-β-bromopropionic acids were prepared from 250 g. of the ArCOCH2CH2CO2H in 2 l. hot CHCl3 by adding 5 cc. Br, heating until the Br was absorbed, and then adding the remainder of the Br (1 mol in all), which is readily absorbed without heating; 4-methylbenzoyl, m. 122-4°; 4-ethylbenzoyl, pale yellow oil; 4-isopropylbenzoyl, m. 73-5°; 2,4,dimethylbenzoyl, m. 98.5°; 4-chlorobenzoyl, m. 115-16°; 4-ethoxybenzoyl, m. 130°; 1-naphthoyl, m. 172-3°; 2-isomer, m. 133-5°: 2-thenoyl, m. 127-8°. β-Bromo-β-benzoylisobutyric acid, m. 163°. The acid (1 mol), 1 mol of CS(NH2)2, and 500 cc. iso-PrOH were boiled 15 min., 0.5 mol anhydrous Na2CO3 added, and the heating continued until evolution of CO2 ceased; the base was precipitated with H2O and crystallized from EtOH or aqueous EtOH; the yield was 90-8%. The following 2-amino-5-thiazoleacetic acids were prepared in this manner: 4-Ph, m. 230-1° (Me ester, pale yellow, m. 167-8°); 4-(4-methylphenyl), m. 224°; 4-(1-naphthyl), yellow, m. 258-9°; 4-(2-naphthyl), m. 255-6°; 4-(2-thienyl), m. 202-3°. α-(2-Amino-4-phenyl-5-thiazolyl)propionic acid, m. 240°, 83% yield. The Br acid (1 mol), 1 mol MeCSNH2, and 500 cc. iso-PrOH were heated to 50° (temperature kept below 65° by cooling) and after 1-2 h. (temperature of 40°) 0.5 mol of anhydrous Na2CO3 added and the mixture allowed to stand 1-2 days, giving the following 2-methyl-5-thiazoleacetic acids: 4-Ph, m. 202-3°, 93.5%; 4-(4-methylphenyl), m. 200-2°, 90%; 4-(4-ethylphenyl), cream, m. 155°, 60%; 4-(4-isopropylphenyl), cream, m. 173-4°, 74%; 4-(2,4-dimethylphenyl), m. 189-90°, 86%; 4-(4-chlorophenyl), m. 200-4°, 91%; 4-(1-naphthyl), m. 212-13°, 43%; 4-(2-naphthyl), m. 226-9°, 68%; 4-(2-thienyl), prepared without heating, m. 158-9°; 4-(4-methoxyphenyl), m. 189-90° (45% as the 1st crop), shows weak white fluorescence in UV light, and m. 171-9° (43% as the 2nd crop), shows blue-green fluorescence, reverts to the higher-melting form on recrystn.; 4-(4-ethoxyphenyl), m. 188-90° (12%), m. 169-90° (73% as 2nd crop), shows bright green fluorescence, reverting to the 1st form on recrystn. α-(4-Phenyl-2-methyl-5-thiazolyl)propionic acid, m. 172-3°, 10% yield. Condensation of 1 mol of the Br acid and 1 mol of an alkyl dithiocarbamate by shaking at room temperature in 1 l. iso-PrOH until solution results and allowing to stand 48 h. gives 5-thiazoleacetic acids as follows: 2-methylmercapto-4-Ph, m. 145°, 39%; 2-ethylmercapto-4-Ph, m. 116°, 23%; 2-methylmercapto-4-(4-methylphenyl), m. 176°, 38%; 2-methylmercapto-4-(2-naphthyl), m. 154°, 42%; the 1-naphthyl isomer, m. 125°, was prepared by heating the reactants to 60°, adding 0.5 mol of anhydrous Na2CO3, and allowing the mixture to stand 48 h. The thiazoleacetic acids (10 g.), 2.5 g. anhydrous AcONa, and 40 cc. Ac2O were refluxed; the 4-Ph derivs. required 3 h., the 4-C10H7 analogs 5-30 min. depending on the substituent, the 4-thienyl analog 30 min.; the reaction mixture was diluted with 10 cc. AcOH and poured into 250 cc. H2O; the yields varied 30 to 90%; the acetates were hydrolyzed with excess cold aqueous 2 N NaOH in hot or cold EtOH. The ethers were prepared from the phenols and alkyl sulfates in alkali at 60°. Naphtha-1',2',4,5-thiazoles: 2-acetamido-4'-acetoxy, pale yellow, m. 286°; 2-acetamido-4'-acetoxy-6'-Me, cream, m. 286°; 4'-hydroxy-2-Me, yellow, m. 252° (sublimes) (acetate, cream, m. 140-1°); 4'-

methoxy-2-Me, yellow, m. 100°; 4'-ethoxy-2-Me, yellow, m. 147-8°; 4'-benzoyloxy-2-Me, m. 169°; 4'-hydroxy-2,6'-dimethyl, pale yellow, m. 250° (decomposition) (acetate, m. 162°); Me ether, greenish, m. 103-4°; Et ether, pale yellow, (m. 121-2°); 4'-benzoyloxy-2,6'-dimethyl, m. 162-3°; 4-hydroxy-2-methyl-6'-Et, m. 248° (decomposition) (acetate, m. 122.5°); Me ether, m. 65°; Et ether, yellow, (m. 87-8°); 4'-hydroxy-2-methyl-6'-iso-Pr, yellow, m. 231° (acetate, m. 101-1.5°; Me ether, pale yellow, m. 63-4°; Et ether, yellow, m. 91°); 4'-hydroxy-2,6',8'-trimethyl, yellow, m. 198° (acetate, m. 181°; Me ether, m. 91-2°; Et ether, pale yellow, m. 131°); 4'-hydroxy-6'-methoxy-2-Me, m. 257° (decomposition) (acetate, m. 161-2°; Me ether, m. 74°; Et ether, pale yellow, m. 115-16°); 4'-hydroxy-6'-ethoxy-2-Me, cream, m. 243° (decomposition) (acetate, yellow, m. 160-2°; Me ether, pale yellow, m. 120-1°; Et ether, yellow, m. 145-6°); 6'-chloro-4'-hydroxy-2-Me, m. 280° (decomposition) (acetate, m. 209-10°; Me ether, pale yellow, m. 134.5°; Et ether, yellow, m. 183.5°); 4'-hydroxy-2-methylmercapto, pale yellow, m. 255° (acetate, pale yellow, m. 143°; Me ether, m. 110-11°); 4'-hydroxy-2-ethylmercapto, m. 206° (acetate, m. 101-3°); 4'-hydroxy-2-methylmercapto-6'-Me, yellow, m. 213° (sublimes) (acetate, yellow, m. 155-6°; Me ether, m. 109-10°); 4'-hydroxy-2,3'-dimethyl, m. 300° (acetate, m. 171-2°; Me ether, pale yellow, m. 91-2°; Et ether, pale yellow, m. 100-1°); 2-acetamido-6'-acetoxy-3'-Me, m. 300°. Phenanthra-4',3',4,5-thiazoles: 2-acetamido-1'-acetoxy, m. 279° 1'-hydroxy-2-Me, pale yellow, m. 260° (sublimes) (acetate, m. 167-9°; Me ether, pale yellow, m. 136-7°; Et ether, pale yellow, m. 144-5°); 1'-hydroxy-2-methylmercapto, yellow, m. 162-4° (acetate, pale yellow, m. 128-9°). Phenanthra-1',2',4,5-thiazoles: 2-acetamido-4'-acetoxy, m. 290°; 4'-hydroxy-2-Me, yellow, m. 278-80° (sublimes) (acetate, m. 159.5°; Me ether, yellow, m. 173°; Et ether, yellow, m. 177.5°); 4'-hydroxy-2-methylmercapto, yellow, m. 240° (sublimes) (acetate, m. 152°). Thianaphtheno[7',6',4,5]thiazoles: 2-acetamido-4'-acetoxy, cream, m. 285-9°; 4'-hydroxy-2-Me, m. 268° (sublimes) (acetate, pink, m. 130-30.5°; Me ether, m. 127.5-8°). A byproduct from the cyclization of 4-phenyl-2-methyl-5-thiazoleacetic acid is about 20% of 4'-acetoxy-3'-acetyl-2-methylnaphtha-1',2',4,5-thiazole, m. 205°; hydrolysis with 2 N NaOH in hot EtOH gives 4'-hydroxy-3'-acetyl-2-methylnaphtha-1',2',4,5-thiazole, yellow, m. 126-7°; 2,4-dinitrophenylhydrazone, scarlet, m. 300°; hydrazone, orange, m. 173°; Me ether, pale yellow, m. 113-14°; this was prepared also from 4'-hydroxy-2-methyl-β-naphthathiazone, AcCl or Ac2O, and AlCl3 in PhNO2. 4'-Hydroxy-3'-acetyl-2,6'-dimethylnaphtha-1',2',4,5-thiazole, yellow, m. 165-6°; acetate, cream, m. 216° (2,4-dinitrophenylhydrazone, orange, m. 306°). 4'-Hydroxy-3'-chloroacetyl-2,6'-dimethylnaphtha-1',2',4,5-thiazole could not be crystallized and was analyzed as the acetate, m. 170-1°; with hot 2 N Na2CO3 it yields 3'-keto-2-methylbenzocoumarano-5',4',4,5-thiazole, pale yellow, m. 233°; with 2-methylmercaptoquinoline-MeI in EtOH containing 2 drops of Et3N (boiling 15 min.) this yields 2-(1-methyl-1,2-dihydroquinolylidene)-2'-(3'-keto-2-methylbenzocoumarano- 5',4',4,5-thiazole), red, m. 300°; the dye sensitizes a AgCl photog. emulsion at 4850 and 5200 A.

IT 553629-28-0P, 5-Thiazoleacetic acid, 4-(p-methoxyphenyl)-2-methyl-
RL: PREP (Preparation)
(preparation of)

RN 553629-28-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)

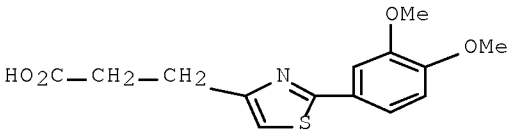


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L24 ANSWER 87 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1930:53162 CAPLUS Full-text
DOCUMENT NUMBER: 24:53162
ORIGINAL REFERENCE NO.: 24:5751f-i
TITLE: Synthesis of thiazole amines possessing pharmacological interest. V, VI
AUTHOR(S): Hinegardner, W. S.; Johnson, T. B.
SOURCE: Journal of the American Chemical Society (1930), 52, 4139-41,4141-4
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C. A. 24, 5038. A series of intermediate compds. prepared in the development of a practical synthesis of 2-p-hydroxyphenylthiazole-4-ethylamine (I). (ClCH2)2CO and thioanisamide give 72% of 2-p-methoxyphenylthiazole-4-chloromethyl, b2-4 185-6°, m. 55-6°; with CHNa(CO2Et)2 there results 51.7% of di-Et 2-p-methoxyphenylthiazole-4-methylmalonate, b2-4 235-9°; the free acid, m. 97°, seps. with 2 mols. H2O; decraboxylation gives 2-p-methoxyphenylthiazole-4-β-propionic acid, m. 126-7°, whose Et ester m. 53-4°; the hydrazide m. 158-9° (95% yield) and the azide m. 78-9° (94% yield); di(2-methoxyphenylthiazole-4-ethyl)-sym-urea, m. 173-4° (97.4% yield). 2-p-Methoxyphenylthiazole-4-ethylphthalimide, m. 120-1° (88% yield), results by heating the urea with C6H4(CO)2O at 220-5°; digestion with N2H4.H4O in EtOH gives 2-p-methoxyphenylthiazole-4-ethylamine, b2-4 292-3°; 48% HBr gives I, which is an oil; the HCl salt m. 218-22°. Attempts to convert the urea into I by 48% HBr were unsuccessful. Veratrolenitrile with H2H in EtOH at 100° gives 90% of 3,4 dimethoxythiobenzamide, m. 183°; with (ClCH2)CO this yields 74% of 2-(3,4-dimethoxyphenylthiazole)-4-chloromethyl, m. 89-90°. Di-Et 2-(3,4-dimethoxyphenylthiazole)-4-methylmalonate, b2-3 215-5° (53% yeild); the free acid m. 141°, seps. with 1 mol. H2O (53% yield); 2-(3,4-dimethoxyphenylthiazole)-4-β-propionic acid, m. 94° (80% yield); Et ester, b2-3 220-3°, m. 69° (81% yield); hydrazide, m. 162° (94% yield); azide, m. 77-8° (90% yield); di-2-(3,4-dimethoxyphenylthiazole-4-ethyl)-sym-urea, m. 165-6° (90% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylphthalimide, m. 143-4° (72% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylamine, b4 210-2° (52% yield); di-HCl salt, m. 225-7°. The di-HO derivative has not been obtained pure from demethylation expts.

IT 858009-38-8, 4-Thiazolepropionic acid, 2-(3,4-dimethoxyphenyl)- (and derivs.)
RN 858009-38-8 CAPLUS
CN 4-Thiazolepropanoic acid, 2-(3,4-dimethoxyphenyl)- (CA INDEX NAME)

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FILE HOME

FILE REGISTRY
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FILE COVERS 1907 - 24 Sep 2009 VOL 151 ISS 13
FILE LAST UPDATED: 23 Sep 2009 (20090923/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

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